```
=> file caplus
FILE 'CAPLUS' ENTERED AT 10:53:03 ON 01 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 1 Dec 2006  VOL 145 ISS 24
FILE LAST UPDATED: 30 Nov 2006  (20061130/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> d que l12
L3            16 SEA FILE=CAPLUS ABB=ON  PLU=ON  GODL K?/AU
L4            21 SEA FILE=CAPLUS ABB=ON  PLU=ON  MISSIO A?/AU
L5            33 SEA FILE=CAPLUS ABB=ON  PLU=ON  DAUB H/AU OR DAUB HEN?/AU
L6            18 SEA FILE=CAPLUS ABB=ON  PLU=ON  STEIN GERLACH M?/AU
L7             0 SEA FILE=CAPLUS ABB=ON  PLU=ON  GREGG Z?/AU
L8           163 SEA FILE=CAPLUS ABB=ON  PLU=ON  KERI G/AU OR KERI GYORG?/AU
L9           138 SEA FILE=CAPLUS ABB=ON  PLU=ON  VARGA Z/AU OR VARGA ZOLTAN?/AU

L10           37 SEA FILE=CAPLUS ABB=ON  PLU=ON  KLEBL B?/AU
L11           60 SEA FILE=CAPLUS ABB=ON  PLU=ON  ORFI L?/AU
L12           10 SEA FILE=CAPLUS ABB=ON  PLU=ON  (L3 OR L4 OR L5 OR L6 OR L7 OR
                 L8 OR L9 OR L10 OR L11) AND ATP


=> d ibib ed ab l12 1-10

L12  ANSWER 1 OF 10  CAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2006:103988  CAPLUS
DOCUMENT NUMBER:          144:141903
TITLE:                    Prediction oriented QSAR modelling of EGFR inhibition
AUTHOR(S):                Szantai-Kis, C.; Kovesdi, I.; Eros, D.; Banhegyi, P.;
                          Ullrich, A.; Keri, G.; Orfi, L.
CORPORATE SOURCE:         Rational Drug Design Laboratory CRC, Semmelweis
                          University, Budapest, 1367/5, Hung.
SOURCE:                   Current Medicinal Chemistry (2006), 13(3), 277-287
                          CODEN: CMCHE7; ISSN: 0929-8673
PUBLISHER:                Bentham Science Publishers Ltd.
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
ED   Entered STN:  03 Feb 2006
AB   Epidermal Growth Factor Receptor (EGFR) is a high priority target in
     anticancer drug research.  Thousands of very effective EGFR inhibitors
     have been developed in the last decade.  The known inhibitors are
     originated from a very diverse chemical space but - without exception - all
```

of them act at the **ATP** (**ATP**) binding site of the enzyme. We have collected all of the diverse inhibitor structures and the relevant biol. data obtained from comparable assays and built prediction oriented Quant. Structure-Activity Relationship (QSAR) which models the **ATP** binding pocket's interactive surface from the ligand side. We describe a QSAR method with automatic Variable Subset Selection (VSS) by Genetic Algorithm (GA) and goodness-of-prediction driven QSAR model building, resulting an externally validated EGFR inhibitory model built from pIC50 values of a diverse structural set of 623 EGFR inhibitors. Repeated Trainings/Evaluations (RTE) were used to obtain model fitness values and the effectiveness of VSS is amplified by using predictive ability scores of descriptors. Numerous models were generated by different methods and viable models were collected. Then, intensive RTE were applied to identify ultimate models for external validations. Finally, suitable models were validated by statistical tests. Since we use calculated mol. descriptors in the modeling, these models are suitable for virtual screening for obtaining novel potential EGFR inhibitors.

REFERENCE COUNT: 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1028791 CAPLUS
DOCUMENT NUMBER: 144:141504
TITLE: Second-generation kinase inhibitors
AUTHOR(S): **Klebl, Bert M.**; Mueller, Gerhard
CORPORATE SOURCE: GPC Biotech AG, Munich, D-81377, Germany
SOURCE: Expert Opinion on Therapeutic Targets (2005), 9(5), 975-993
CODEN: EOTTAO; ISSN: 1472-8222
PUBLISHER: Ashley Publications Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
ED Entered STN: 23 Sep 2005
AB A review. An increasing number of kinase inhibitor candidates are entering clin. development, representing an important change in the pharmaceutical industry; notably, the development of small-mol. kinase inhibitors for signal transduction therapies. Today, kinase inhibitors garner substantial attention in cancer research. Over the last few years, three distinct small-mol. kinase inhibitors reached the market for treatment of chronic myeloid leukemia, gastrointestinal stromal tumors, and non-small cell lung cancers. These three drugs, imatinib, gefitinib and erlotinib, act on a distinct subset of dysregulated, and often cancer-relevant kinases. Imatinib, gefitinib and erlotinib are considered the front-runners of targeted kinase inhibitor drugs. The entire research field gains tremendous insights through the ongoing research and clin. trials with these three drugs and with fast following first-generation kinase inhibitors, many of which are in different phases of clin. development. In addition, novel chemogenomic and chemoproteomic technologies are emanating from the current kinase research area, focussing efforts on the generation of spectrum-selective inhibitors for anticancer therapies as opposed to the monospecific inhibitors for the remaining therapeutic areas.

REFERENCE COUNT: 91 THERE ARE 91 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:690684 CAPLUS
DOCUMENT NUMBER: 143:259747
TITLE: Proteomic Characterization of the Angiogenesis Inhibitor SU6668 Reveals Multiple Impacts on Cellular

Kinase Signaling
AUTHOR(S): **Godl, Klaus**; Gruss, Oliver J.; Eickhoff, Jan; Wissing, Josef; Blencke, Stephanie; Weber, Martina; Degen, Heidrun; Brehmer, Dirk; **Orfi, Laszlo**; Horvath, Zoltan; Keri, Gyoergy; Mueller, Stefan; Cotten, Matt; Ullrich, Axel; **Daub, Henrik**
CORPORATE SOURCE: Axxima Pharmaceuticals AG, Munich, Germany
SOURCE: Cancer Research (2005), 65(15), 6919-6926
CODEN: CNREA8; ISSN: 0008-5472
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 03 Aug 2005
AB Knowledge about mol. drug action is critical for the development of protein kinase inhibitors for cancer therapy. Here, we establish a chemical proteomic approach to profile the anticancer drug SU6668, which was originally designed as a selective inhibitor of receptor tyrosine kinases involved in tumor vascularization. By employing immobilized SU6668 for the affinity capture of cellular drug targets in combination with mass spectrometry, we identified previously unknown targets of SU6668 including Aurora kinases and TANK-binding kinase 1. Importantly, a cell cycle block induced by SU6668 could be attributed to inhibition of Aurora kinase activity. Moreover, SU6668 potently suppressed antiviral and inflammatory responses by interfering with TANK-binding kinase 1-mediated signal transmission. These results show the potential of chemical proteomics to provide rationales for the development of potent kinase inhibitors, which combine rather unexpected biol. modes of action by simultaneously targeting defined sets of both serine/threonine and tyrosine kinases involved in cancer progression.
REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:19286 CAPLUS
DOCUMENT NUMBER: 142:254023
TITLE: Chemical proteomic analysis reveals alternative modes of action for pyrido[2,3-d]pyrimidine kinase inhibitors
AUTHOR(S): Wissing, Josef; **Godl, Klaus**; Brehmer, Dirk; Blencke, Stephanie; Weber, Martina; Habenberger, Peter; **Stein-Gerlach, Matthias**; **Missio, Andrea**; Cotten, Matt; Mueller, Stefan; **Daub, Henrik**
CORPORATE SOURCE: Axxima Pharmaceuticals AG, Munich, 81377, Germany
SOURCE: Molecular and Cellular Proteomics (2004), 3(12), 1181-1193
CODEN: MCPOBS; ISSN: 1535-9476
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 10 Jan 2005
AB Small mol. inhibitors belonging to the pyrido[2,3-d]pyrimidine class of compds. were developed as antagonists of protein tyrosine kinases implicated in cancer progression. Derivs. from this compound class are effective against most of the imatinib mesylate-resistant BCR-ABL mutants isolated from advanced chronic myeloid leukemia patients. Here, the authors established an efficient proteomics method employing an immobilized pyrido[2,3-d]pyrimidine ligand as an affinity probe and

identified more than 30 human protein kinases affected by this class of compds. Remarkably, in vitro kinase assays revealed that the serine/threonine kinases Rip-like interacting caspase-like apoptosis-regulatory protein kinase (RICK) and p38α were among the most potently inhibited kinase targets. Thus, pyrido[2,3-d]pyrimidines did not discriminate between tyrosine and serine/threonine kinases. Instead, the authors found that these inhibitors are quite selective for protein kinases possessing a conserved small amino acid residue such as threonine at a critical site of the **ATP** binding pocket. The authors further demonstrated inhibition of both p38 and RICK kinase activities in intact cells upon pyrido[2,3-d]pyrimidine inhibitor treatment. Moreover, the established functions of these two kinases as signal transducers of inflammatory responses could be correlated with a potent in vivo inhibition of cytokine production by a pyrido[2,3-d]pyrimidine compound Thus, our data demonstrate the utility of proteomic methods employing immobilized kinase inhibitors for identifying new targets linked to previously unrecognized therapeutic applications.

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:419904 CAPLUS
DOCUMENT NUMBER: 142:70669
TITLE: Characterization of a Conserved Structural Determinant Controlling Protein Kinase Sensitivity to Selective Inhibitors
AUTHOR(S): Blencke, Stephanie; Zech, Birgit; Engkvist, Ola; Greff, Zoltan; **Orfi, Laszlo**; Horvath, Zoltan; Keri, Gyoergy; Ullrich, Axel; **Daub, Henrik**
CORPORATE SOURCE: Axxima Pharmaceuticals AG, Munchen, 81377, Germany
SOURCE: Chemistry & Biology (2004), 11(5), 691-701
CODEN: CBOLE2; ISSN: 1074-5521
PUBLISHER: Cell Press
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 25 May 2004
AB Some protein kinases are known to acquire resistance to selective small mol. inhibitors upon mutation of a conserved threonine at the **ATP** binding site to a larger residue. Here, we performed a comprehensive mutational anal. of this structural element and determined the cellular sensitivities of several disease-relevant tyrosine kinases against various inhibitors. Mutant kinases possessing a larger side chain at the critical site showed resistance to most compds. tested, such as ZD1839, PP1, AG1296, STI571, and a pyrido[2,3-d]pyrimidine inhibitor. In contrast, indolinones affected both wild-type and mutant kinases with similar potencies. Resistant mutants were established for pharmacol. anal. of βPDGF receptor-mediated signaling and allowed the generation of a drug-inducible system of cellular Src kinase activity. Our data establish a conserved structural determinant of protein kinase sensitivity relevant for both signal transduction research and drug development.
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:380060 CAPLUS
DOCUMENT NUMBER: 140:399130
TITLE: Evaluation of Kinase Inhibitor Selectivity by Chemical Proteomics
AUTHOR(S): **Daub, Henrik**; **Godl, Klaus**;

Brehmer, Dirk; **Klebl, Bert**; Mueller, Gerhard
CORPORATE SOURCE: Axxima Pharmaceuticals AG, Munich, Germany
SOURCE: Assay and Drug Development Technologies (2004), 2(2), 215-224
CODEN: ADDTAR; ISSN: 1540-658X
PUBLISHER: Mary Ann Liebert, Inc.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
ED Entered STN: 11 May 2004
AB A review. Small-mol. inhibitors of protein kinases constitute a novel class of drugs for therapeutic intervention in a variety of human diseases. Most of these agents target the relatively conserved **ATP**-binding site of protein kinases and have only been tested against a rather small subset of all human protein kinases. Therefore, the selectivity of protein kinase inhibitors has remained a widely underestimated, but highly important issue in drug development programs. In this review, we focus on the recent advancement of chemical proteomic methods to evaluate drug selectivity in an unbiased, comprehensive way. Efficient affinity purification procedures using immobilized kinase inhibitors combined with the sensitivity of mass spectrometry detection permit the mapping of drug targets on a proteome-wide scale. Data from this type of assessment can be used to set up tailor-made selectivity panels, which guide compound development in the context of the most relevant off-targets during lead optimization. In cases in which identified alternative targets are of validated clin. relevance, chemical proteomics provides the opportunity to repeatedly exploit a once established kinase inhibitor principle for addnl. target kinases and can thereby dramatically shorten the time toward highly selective, preclin. candidates. Moreover, the identification of alternative targets for preclin. or clin. drugs can provide new insights into their cellular modes of action, which might help to define those disease settings in which the most beneficial therapeutic effect is likely to occur.
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:121059 CAPLUS
DOCUMENT NUMBER: 140:160157
TITLE: Medium and method for enriching, purifying or depleting **ATP** binding proteins from a pool of proteins
INVENTOR(S): **Godl, Klaus; Missio, Andrea; Daub, Henrik; Stein-Gerlach, Matthias** ; Greff, Zoltan
PATENT ASSIGNEE(S): Axxima Pharmaceuticals AG, Germany; Klebl, Bert; Orfi, Laszlo; Keri, Gyoergy; Vaarga, Zoltan
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013633	A2	20040212	WO 2003-EP8375	20030729
WO 2004013633	A3	20041028		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003258542	A1	20040223	AU 2003-258542	20030729
EP 1527345	A2	20050504	EP 2003-766347	20030729
US 2006105445	A1	20060518	US 2005-523577	20050822
PRIORITY APPLN. INFO.:			EP 2002-16840 A	20020729
			EP 2002-28880 A	20021223
			WO 2003-EP8375 W	20030729

OTHER SOURCE(S): MARPAT 140:160157
ED Entered STN: 13 Feb 2004
AB The present invention relates to a medium and a method for enriching **ATP** binding proteins, e.g. proteinkinases, from a pool of proteins, like a proteome. The medium of the present invention comprises specific inhibitors immobilized on a support material. According to the method of the present invention the above-mentioned immobilized compds. are used to selectively bind protein kinases from a pool of heterogeneous proteins.

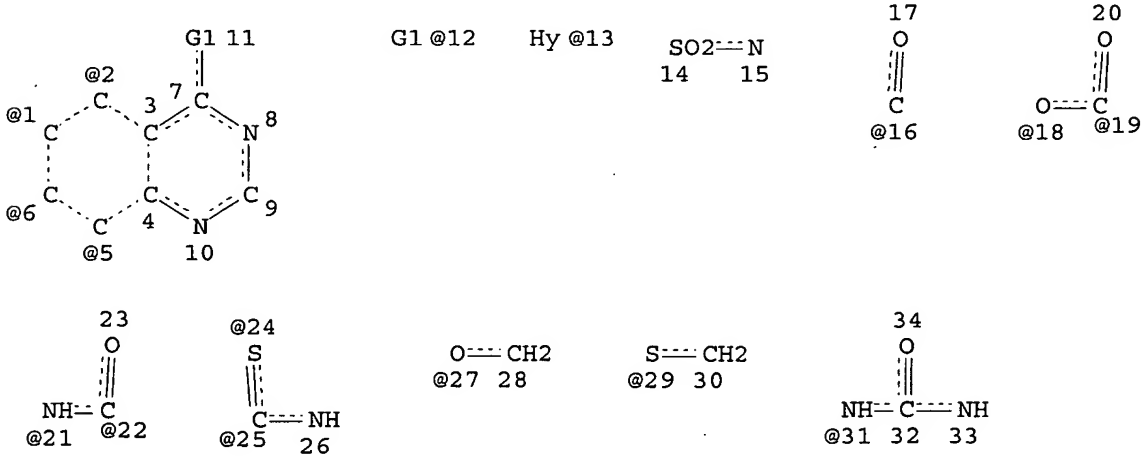
L12 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:468084 CAPLUS
DOCUMENT NUMBER: 139:357752
TITLE: Modulation of drug effects by ABC transporters
AUTHOR(S): Szakacs, Gergely; Sarkadi, Balazs
CORPORATE SOURCE: Research Group of Peptide Chemistry, Hungarian Academy of Sciences, Budapest, Hung.
SOURCE: Molecular Pathomechanisms and New Trends in Drug Research (2003), 545-552. Editor(s): **Keri, Gyorgy; Toth, Istvan.** Taylor & Francis Ltd.: London, UK.
CODEN: 69EAWB; ISBN: 0-415-27725-6
DOCUMENT TYPE: Conference; General Review
LANGUAGE: English
ED Entered STN: 19 Jun 2003
AB A review with refs. The authors discuss cancer chemotherapy, cancer drug resistance, multidrug resistance, MDR proteins, and modulation of drug effects by ABC transporters.
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:618908 CAPLUS
DOCUMENT NUMBER: 136:244179
TITLE: A comprehensive analysis of gene expression profiles in a yeast N-glycosylation mutant
AUTHOR(S): **Klebl, Bert**; Kozian, Detlef; Leberer, Ekkehard; Kukuruzinska, Maria A.
CORPORATE SOURCE: Aventis Center for Functional Genomics, Aventis Pharma GmbH Deutschland, Martinsried, D-82152, Germany
SOURCE: Biochemical and Biophysical Research Communications (2001), 286(4), 714-720
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal

LANGUAGE: English
ED Entered STN: 27 Aug 2001
AB Although protein N-glycosylation is critical to many cell functions, its downstream targets remain largely unknown. In all eukaryotes, N-glycosylation utilizes the lipid-linked oligosaccharide (LLO) precursor, whose synthesis is initiated by the ALG7 gene. To elucidate the key signaling and metabolic events affected by N-glycosylation, genome-wide expression profiling of yeast cells carrying a hypomorphic allele of ALG7 was performed. DNA microarrays showed that of more than 97% of known or predicted yeast genes, 29 displayed increased expression while 23 were repressed in alg7 mutants. Changes in transcript abundance were observed for a and α mating-type genes, for genes functioning in several mitogen-activated protein kinase (MAPK) cascades, as well as in phosphate, amino acid, carbohydrate, mitochondrial and **ATP** metabolism Therefore, DNA microarrays have revealed direct and indirect targets, including internal feedback loops, through which N-glycosylation affects signaling and metabolic activities and is functionally linked with cellular regulatory circuitry. (c) 2001 Academic Press.
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

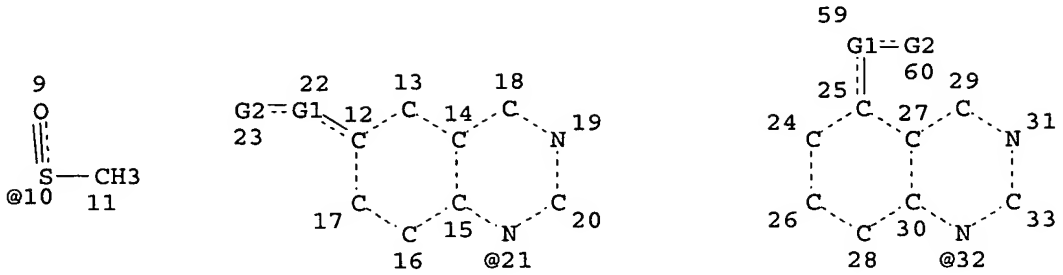
L12 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:160633 CAPLUS
DOCUMENT NUMBER: 124:250067
TITLE: Tyrphostin induces non-apoptotic programmed cell death in colon tumor cells
AUTHOR(S): Szende, B.; Keri, Gy.; Szegedi, Zs.; Benedeczky, I.; Csikos, A.; **Orfi, L.**; Gazit, A.
CORPORATE SOURCE: 1st Institute Pathology and Experimental Cancer Research, Semmelweis University Medicine, Budapest, 1085, Hung.
SOURCE: Cell Biology International (1995), 19(11), 903-11
CODEN: CBIIEV; ISSN: 1065-6995
PUBLISHER: Academic
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 19 Mar 1996
AB The programmed cell death-inducing effect of the EGF receptor tyrosine kinase inhibitor α-cyano-3,4-dihydroxycinnamthioamide (AG213) was investigated in vitro on HT-29 human colon tumor. AG213 at concns. between 45 to 450 μM blocks the proliferation of HT-29 cells. Morphol. findings suggest that the selective tyrosine kinase inhibitor AG213 induces Clarke III type (non-lysosomal vesiculate cytoplasmic) programmed cell death; unlike **ATP** analog non-selective tyrosine kinase inhibitors like Genistein which were found to induce apoptosis. Cycloheximide and Actinomycin-D reduced the effect of AG213 pointing to the fact that protein and RNA synthesis are also needed for this form of cell death. Acid phosphatase activity was found in the Golgi and in the newly formed intracytoplasmic vacuoles 3 h after AG213 treatment which disappeared by 6 h. The induction of Clarke III cell death by tyrosine kinase inhibitors may open a new modality to selective killing of tumor cells.

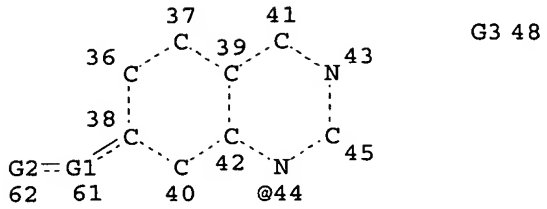
```
=> => file reg; d stat que l21; d stat que l27
FILE 'REGISTRY' ENTERED AT 12:46:13 ON 01 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   30 NOV 2006  HIGHEST RN 914452-16-7
DICTIONARY FILE UPDATES:  30 NOV 2006  HIGHEST RN 914452-16-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

L15             STR
```

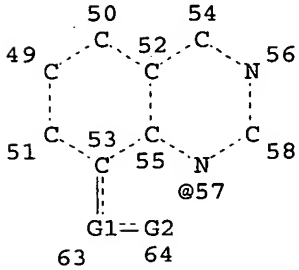



```
VAR G1=CH2/NH/O/S/13/24/16/18/19/21/22/25/27/29/31
VPA 12-2/1/6/5 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  AT  13
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS M4-X5 C  AT  13

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  34

STEREO ATTRIBUTES: NONE
```

L19 STR







REP G1=(1-10) A
VAR G2=NH/OH/SH/10
VAR G3=21/32/44/57
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

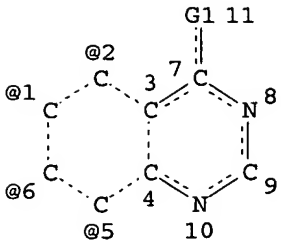
full file search run on L15 and L19 together

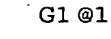
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 52

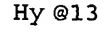
STEREO ATTRIBUTES: NONE
L21 159 SEA FILE=REGISTRY SSS FUL L15 AND L19

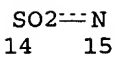
100.0% PROCESSED 6130 ITERATIONS 159 ANSWERS
SEARCH TIME: 00.00.01

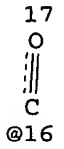
L15 STR

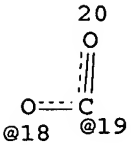


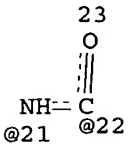


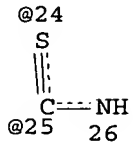


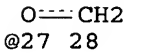


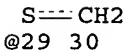


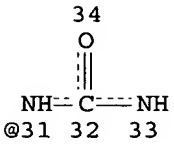








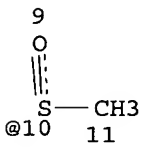


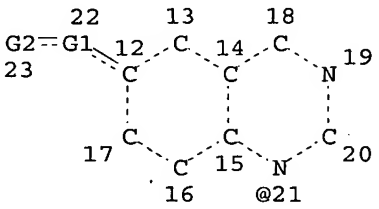


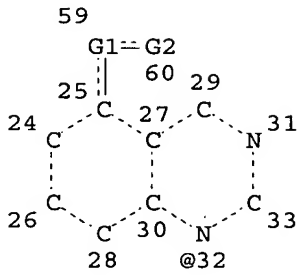
VAR G1=CH2/NH/O/S/13/24/16/18/19/21/22/25/27/29/31
VPA 12-2/1/6/5 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS MCY AT 13
DEFAULT ECLEVEL IS LIMITED
ECOUNT IS M4-X5 C AT 13

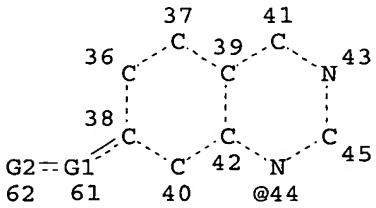
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 34

STEREO ATTRIBUTES: NONE
L19 STR

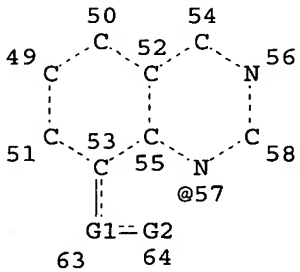








G3 48

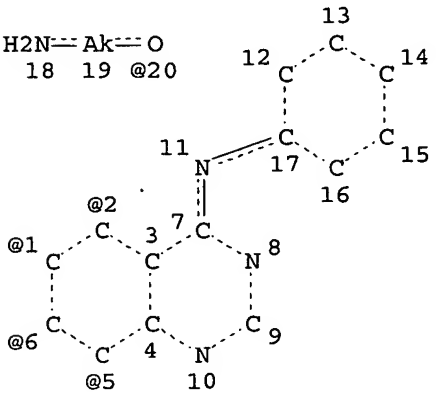


REP G1=(1-10) A
VAR G2=NH/OH/SH/10
VAR G3=21/32/44/57
NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 52

STEREO ATTRIBUTES: NONE
L21 159 SEA FILE=REGISTRY SSS FUL L15 AND L19
L25 STR



VPA 20-2/1/6/5 U
NODE ATTRIBUTES:
CONNECT IS E2 RC AT 19
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE
L27 0 SEA FILE=REGISTRY SUB=L21 SSS FUL L25

100.0% PROCESSED 7 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

```
=> file caplus; d que nos l24
FILE 'CAPLUS' ENTERED AT 12:47:02 ON 01 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 1 Dec 2006  VOL 145 ISS 24
FILE LAST UPDATED: 30 Nov 2006  (20061130/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html


L15             STR
L19             STR
L21         159 SEA FILE=REGISTRY SSS FUL L15 AND L19
L24          23 SEA FILE=CAPLUS ABB=ON  PLU=ON  L21


=> d ibib ed abs hitstr l24 1-23

L24  ANSWER 1 OF 23  CAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2006:796782  CAPLUS
DOCUMENT NUMBER:          145:202969
TITLE:                    Use of tyrosine kinase inhibitors for the treatment of
                          chronic rhinosinusitis and nasal polyposis
INVENTOR(S):              Jung, Birgit; Disse, Bernd; Pohl, Gerald
PATENT ASSIGNEE(S):       Boehringer Ingelheim International GmbH, Germany;
                          Boehringer Ingelheim Pharma Gmbh & Co.Kg
SOURCE:                   PCT Int. Appl., 35pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 German
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2006082129         A1    20060810    WO 2006-EP50215         20060116
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
```

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

DE 102005005505	A1	20060810	DE 2005-102005005505	20050204
US 2006178364	A1	20060810	US 2006-275903	20060202
PRIORITY APPLN. INFO.:			DE 2005-102005005505A	20050204
			DE 2005-102005036216A	20050802

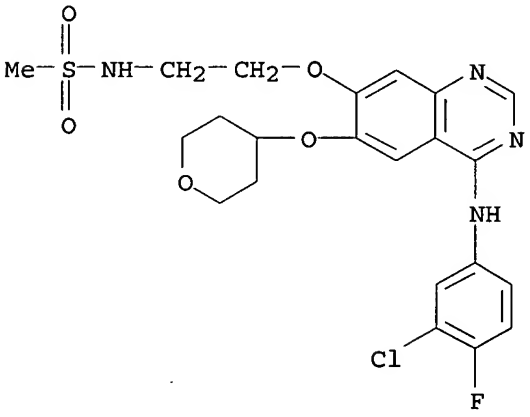
ED Entered STN: 11 Aug 2006

AB The invention discloses the use of selected EGFR kinase inhibitors, especially selected quinazolines, quinolines, and pyrimidopyrimidines, for treating nasal polyposis and chronic rhinosinusitis.

IT **610302-34-6 610302-34-6D**, tautomers, and salts
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(EGFR kinase inhibitors for treatment of chronic rhinosinusitis and nasal polyposis)

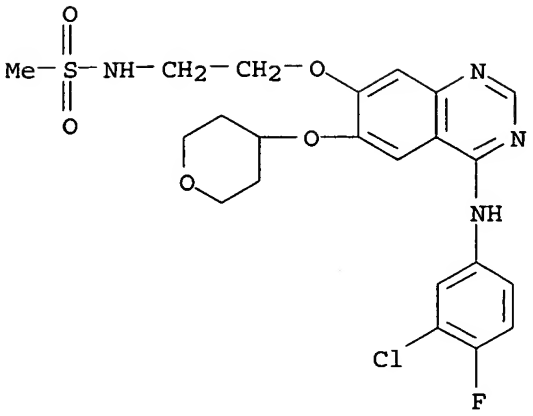
RN 610302-34-6 CAPLUS

CN Methanesulfonamide, N-[2-[[4-[(3-chloro-4-fluorophenyl)amino]-6-[(tetrahydro-2H-pyran-4-yl)oxy]-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 610302-34-6 CAPLUS

CN Methanesulfonamide, N-[2-[[4-[(3-chloro-4-fluorophenyl)amino]-6-[(tetrahydro-2H-pyran-4-yl)oxy]-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:149262 CAPLUS
DOCUMENT NUMBER: 144:239931
TITLE: Pharmaceutical compositions for the treatment of respiratory and gastrointestinal disorders
INVENTOR(S): Jung, Birgit; Himmelsbach, Frank
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma Gmbh & Co. KG
SOURCE: PCT Int. Appl., 321 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006015775	A2	20060216	WO 2005-EP8385	20050803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006035893	A1	20060216	US 2005-189643	20050726
PRIORITY APPLN. INFO.:			EP 2004-18808 A	20040807
OTHER SOURCE(S):	MARPAT 144:239931			

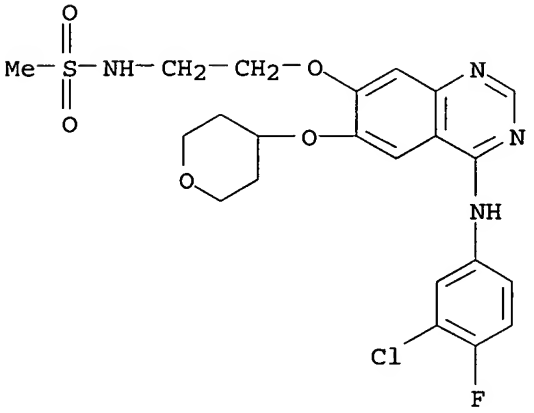
ED Entered STN: 17 Feb 2006
AB The present invention relates to novel pharmaceutical compns. comprising at least 1 EGFR kinase inhibitor and at least one addnl. active compound selected from β-2 mimetics, steroids, PDE-IV inhibitors, p38 MAP kinase inhibitors, NK1 antagonists and endothelin-antagonists, processes for preparing the compns. and the use thereof as drugs in the treatment of

respiratory or gastrointestinal complaints, as well as inflammatory diseases of the joints, the skin or the eyes. Thus, an inhalable powder contained an EGFR kinase inhibitor 150, formoterol fumarate dihydrate 50, and lactose 12,300 mg/capsule.

IT **610302-34-6**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. for treatment of respiratory and gastrointestinal disorders)

RN 610302-34-6 CAPLUS

CN Methanesulfonamide, N-[2-[[4-[(3-chloro-4-fluorophenyl)amino]-6-[(tetrahydro-2H-pyran-4-yl)oxy]-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



L24 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1155523 CAPLUS
DOCUMENT NUMBER: 143:416252
TITLE: Novel medicament combinations for the treatment of respiratory diseases
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany
SOURCE: U.S. Pat. Appl. Publ., 50 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005239778	A1	20051027	US 2005-109094	20050419
DE 102004019540	A1	20051110	DE 2004-102004019540	20040422
DE 102004052987	A1	20060504	DE 2004-102004052987	20041103
CA 2559699	AA	20051103	CA 2005-2559699	20050418
WO 2005102349	A1	20051103	WO 2005-EP4073	20050418

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

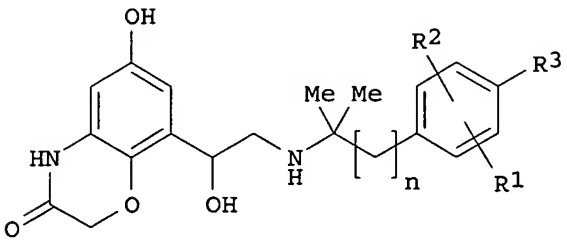
PRIORITY APPLN. INFO.:

DE 2004-102004019540A		20040422
US 2004-578542P	P	20040610
DE 2004-102004052987A		20041103
EP 2005-2496	A	20050207
WO 2005-EP4073	W	20050418

OTHER SOURCE(S): MARPAT 143:416252

ED Entered STN: 28 Oct 2005

GI



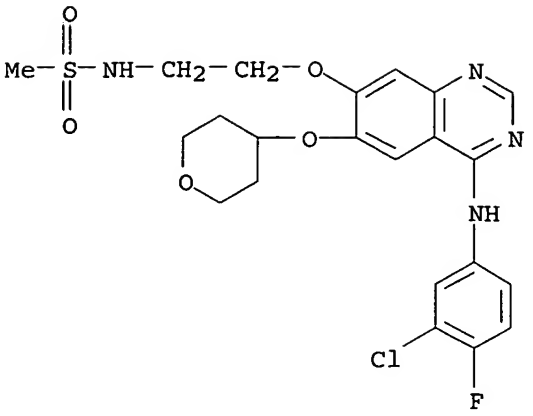
I

AB The present invention relates to a pharmaceutical composition comprising one or more compds. of formula I wherein n denotes 1 or 2; R1 denotes hydrogen, halogen, C1-C4-alkyl or -O-C1-C4-alkyl; R2 denotes hydrogen, halogen, C1-C4-alkyl or -O-C1-C4-alkyl; R3 denotes C1-C4-alkyl, OH, halogen, -O-C1-C4-alkyl, -O-C1-C4-alkylene-COOH, -O-C1-C4-alkylene-CO-O-C1-C4-alkyl, and at least one other active substance for the treatment of respiratory diseases. The second active substance can by an anticholinergic, a phosphodiesterase IV inhibitor, a steroid, a LTD4 antagonist or an EGFR inhibitor.

IT **610302-34-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(EGFR inhibitor; novel medicament combinations for treatment of respiratory diseases)

RN 610302-34-6 CAPLUS

CN Methanesulfonamide, N-[2-[[4-[(3-chloro-4-fluorophenyl)amino]-6-[(tetrahydro-2H-pyran-4-yl)oxy]-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



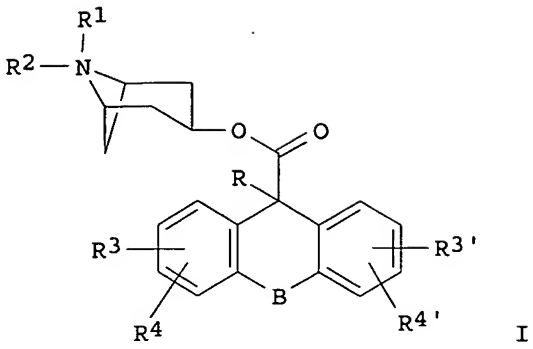
L24 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:638739 CAPLUS
DOCUMENT NUMBER: 143:159556
TITLE: Novel pharmaceutical combinations containing scopine or tropic acid esters and EGfR-kinase inhibitors
INVENTOR(S): Pieper, Michael P.; Pohl, Gerald; Jung, Birgit; Pairet, Michel
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005065687	A1	20050721	WO 2005-EP9	20050104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 102004001607	A1	20050811	DE 2004-102004001607	20040109
US 2005203088	A1	20050915	US 2005-28268	20050103
CA 2551900	AA	20050721	CA 2005-2551900	20050104
EP 1706119	A1	20061004	EP 2005-700674	20050104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				

PRIORITY APPLN. INFO.:
DE 2004-102004001607A 20040109
US 2004-557082P P 20040326
WO 2005-EP9 W 20050104

OTHER SOURCE(S): MARPAT 143:159556
ED Entered STN: 22 Jul 2005

GI



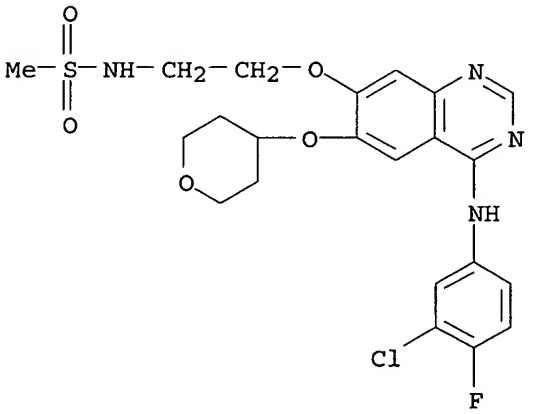
I

AB The invention relates to novel pharmaceutical compns. based on compds. of general formula (I) wherein X and the groups A, B, R, R1, R2, R3, R3', R4 and R4' have the designations cited in the claims and in the description, and EGFR-kinase inhibitors. The invention also relates to methods for the production of said compns., and to the use of the same for the treatment of respiratory illnesses. Thus an inhalation powder contained (μg/capsule): scopine or tropic acid ester 60; 4-[(3-Chloro-4-fluorophenyl)amino]-6-[2-((S)-6-methyl-2-oxomorpholine-4-yl)ethoxy]-7-methoxyquinazoline 3500; lactose 3440.

IT **610302-34-6**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical combinations containing scopine or tropic acid esters and EGfR-kinase inhibitors)

RN 610302-34-6 CAPLUS

CN Methanesulfonamide, N-[2-[[4-[(3-chloro-4-fluorophenyl)amino]-6-[(tetrahydro-2H-pyran-4-yl)oxy]-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:394827 CAPLUS
DOCUMENT NUMBER: 142:423842

TITLE: Use of tyrosine kinase inhibitors for the treatment of inflammatory processes
INVENTOR(S): Jung, Birgit; Pueschner, Hubert
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany
SOURCE: U.S. Pat. Appl. Publ., 7 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005096332	A1	20050505	US 2004-967396	20041018
DE 10350717	A1	20050602	DE 2003-10350717	20031030
CA 2543649	AA	20050512	CA 2004-2543649	20041023
WO 2005041973	A1	20050512	WO 2004-EP11989	20041023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1682147	A1	20060726	EP 2004-790780	20041023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.:

DE 2003-10350717	A	20031030
US 2003-524671P	P	20031124
WO 2004-EP11989	W	20041023

ED Entered STN: 09 May 2005

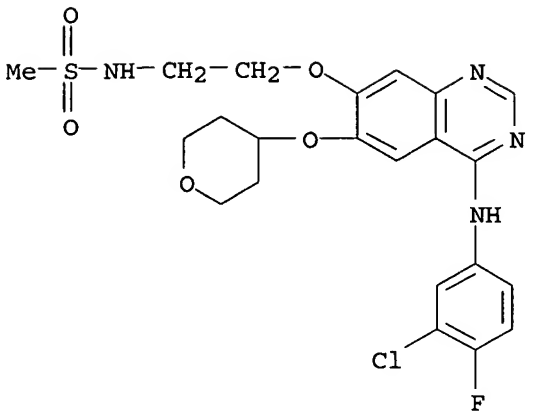
AB The present invention relates to the use of selected quinazolines, the tautomers, stereoisomers and salts thereof, particularly the physiol. acceptable salts thereof with inorg. or organic acids or bases, for preparing a pharmaceutical composition for the prevention or treatment of diseases of the airways or lungs as well as other inflammatory diseases. Treatment of rats with the EGFR kinase inhibitor 4-[(3-chloro-4-fluorophenyl)amino]-6-[1-[(morpholin-4-yl)carbonyl]piperidin-4-yloxy]-7-methoxyquinazoline resulted in a significant ($p<0.005$) inhibition of the smoke-induced accumulation of neutrophilic granulocytes into lung tissue and thus produced an antiinflammatory activity.

IT **610302-34-6**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(selected quinazoline tyrosine kinase inhibitors for treatment of inflammatory processes)

RN 610302-34-6 CAPLUS

CN Methanesulfonamide, N-[2-[[4-[(3-chloro-4-fluorophenyl)amino]-6-[(tetrahydro-2H-pyran-4-yl)oxy]-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

6/23.



L24 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:702037 CAPLUS
DOCUMENT NUMBER: 141:225834
TITLE: Preparation of phenylglycine sulfonamide derivatives useful as serine protease inhibitors
INVENTOR(S): Glunz, Peter W.; Bisacchi, Gregory S.; Morton, George C.; Holubec, Alexandra A.; Priestley, E. Scott; Zhang, Xiaojun; Treuner, Uwe D.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004072101	A2	20040826	WO 2004-US3961	20040210
WO 2004072101	A3	20050324		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004204412	A1	20041014	US 2004-775923	20040210
US 7122559	B2	20061017		
EP 1594505	A2	20051116	EP 2004-709880	20040210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

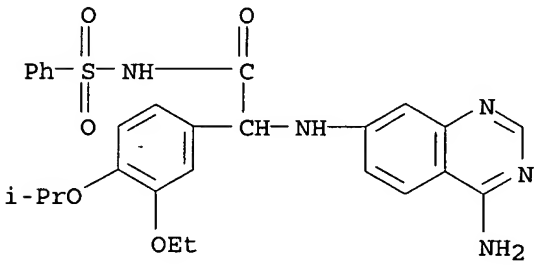
PRIORITY APPLN. INFO.:
US 2003-446578P P 20030211
US 2003-520781P P 20031117
WO 2004-US3961 W 20040210

OTHER SOURCE(S): MARPAT 141:225834
ED Entered STN: 27 Aug 2004
AB Phenylglycine derivs. Z-N(W)CHRCO-X [X is NR6S(O)pR16, where p is 1 or 2, R6 is H, alkyl, NH2, alkylamino, OH or alkoxy and R16 is (un)substituted alkyl or alkenyl, aryl, heteroaryl, cycloalkyl or heterocyclyl; W is H or

(CR7R8)1-3-W1, where W1 is H or a bond with R6 and R7/R8 are H, alkoxy, amino, alkylsulfonylamino, alkyl, etc.; Z is an optionally-substituted 5-membered heteroaryl, 5- or 6-membered heterocyclyl or cycloalkyl, 9- or 10-membered bicyclic aryl or heteroaryl or 6-membered aryl or heteroaryl ring; R is (un)substituted phenyl], including stereoisomers and pharmaceutically-acceptable salts, were prepared as inhibitors of serine proteases such as factor VIIa. Thus, N-[(3-ethoxy-4-isopropoxyphenyl)(1,2,3,4-tetrahydroisoquinolin-7-ylamino)acetyl]benzenesulfonamide TFA salt was prepared by a multistep procedure involving condensation of 3-ethoxy-4-isopropoxybenzaldehyde, 7-amino-3,4-dihydro-1H-isoquinoline-2-carboxylic acid tert-Bu ester, and benzyl isonitrile as key step.

IT **745019-54-9P 745019-55-0P 745019-56-1P 745019-57-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylglycine sulfonamide derivs. useful as serine protease inhibitors)

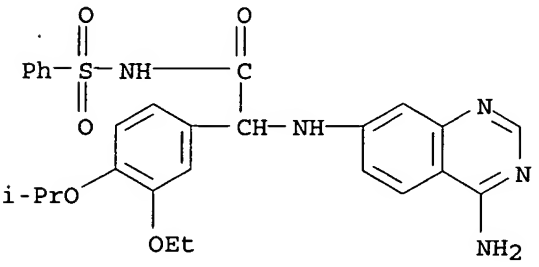
RN 745019-54-9 CAPLUS
CN Benzeneacetamide, α-[(4-amino-7-quinazolinyl)amino]-3-ethoxy-4-(1-methylethoxy)-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 745019-55-0 CAPLUS
CN Benzeneacetamide, α-[(4-amino-7-quinazolinyl)amino]-3-ethoxy-4-(1-methylethoxy)-N-(phenylsulfonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

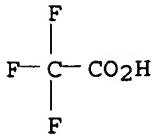
CM 1

CRN 745019-54-9
CMF C27 H29 N5 O5 S

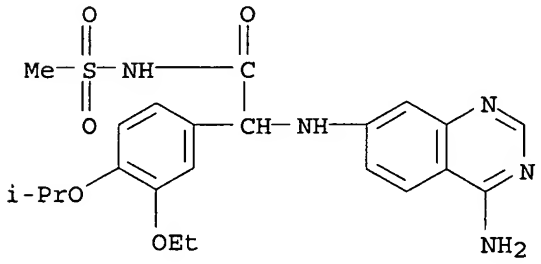


CM 2

CRN 76-05-1
CMF C2 H F3 O2



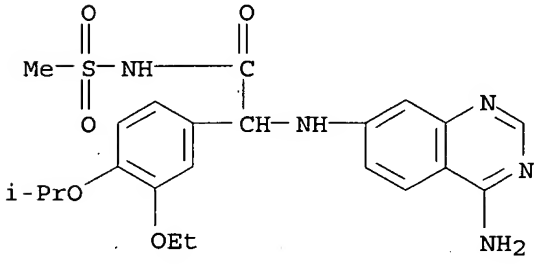
RN 745019-56-1 CAPLUS
CN Benzeneacetamide, α-[(4-amino-7-quinazolinyl)amino]-3-ethoxy-4-(1-methylethoxy)-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 745019-57-2 CAPLUS
CN Benzeneacetamide, α-[(4-amino-7-quinazolinyl)amino]-3-ethoxy-4-(1-methylethoxy)-N-(methylsulfonyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

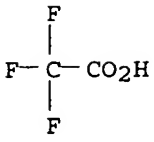
CM 1

CRN 745019-56-1
CMF C22 H27 N5 O5 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

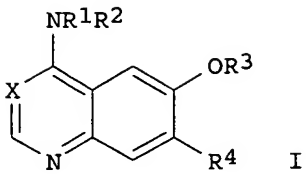


L24 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:796492 CAPLUS
DOCUMENT NUMBER: 139:307786
TITLE: Preparation of 4-(phenylamino)quinazolines as inhibitors of EGF-receptor kinase
INVENTOR(S): Himmelsbach, Frank; Jung, Birgit; Solca, Flavio
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SOURCE: PCT Int. Appl., 148 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082290	A1	20031009	WO 2003-EP3062	20030325
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10214412	A1	20031009	DE 2002-10214412	20020330
DE 10231711	A1	20040122	DE 2002-10231711	20020713
CA 2476008	AA	20031009	CA 2003-2476008	20030325
AU 2003226705	A1	20031013	AU 2003-226705	20030325
BR 2003008902	A	20050104	BR 2003-8902	20030325
EP 1492536	A1	20050105	EP 2003-745271	20030325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005529090	T2	20050929	JP 2003-579827	20030325
NO 2004003997	A	20041027	NO 2004-3997	20040923

PRIORITY APPLN. INFO.:
DE 2002-10214412 A 20020330
DE 2002-10231711 A 20020713
WO 2003-EP3062 W 20030325

OTHER SOURCE(S): MARPAT 139:307786
ED Entered STN: 10 Oct 2003
GI



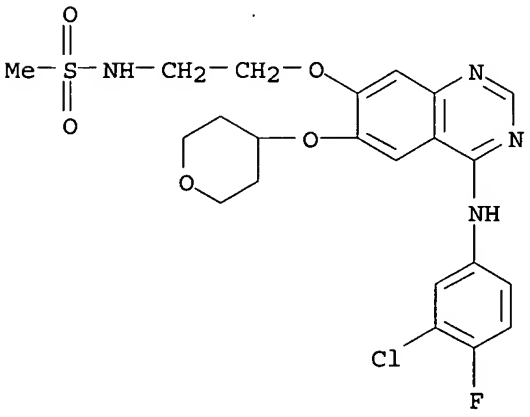
I

AB Title compds. [I; R1 = H, C1-4 alkyl; R2 = (substituted) Ph, 1-phenylethyl; R3 = (amino-substituted) cyclobutyl, cyclopentyl, cyclohexyl; R4 = H, F, Cl, Br, alkoxy, (fluorinated) OMe, OCH2CH3, (substituted) alkyloxy, etc.; X = N, cyano-substituted CH], tautomers, stereoisomers, mixts., and salts thereof, especially the physiol. acceptable salts thereof with organic and inorg. acids, were prepared Thus, 4-[(3-chloro-4-fluorophenyl)amino]-6-hydroxy-7-methoxyquinazoline in MeCN was treated with (R)-3-hydroxytetrahydrofuran and Ph3P followed by stirring with di-Et azodiformate over night at room temperature to give 15% 4-[(3-chloro-4-fluorophenyl)amino]-6-((S)-tetrahydrofuran-3-yloxy)-7-methoxyquinazoline. The latter inhibited EGF-receptor kinase with IC50 = 0.13 nM.

IT **610302-34-6P 610302-38-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenylamino)quinazolines as inhibitors of EGF-receptor kinase)

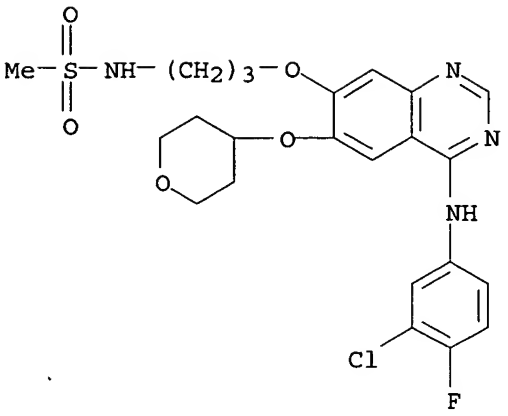
RN 610302-34-6 CAPLUS

CN Methanesulfonamide, N-[2-[[4-[(3-chloro-4-fluorophenyl)amino]-6-[(tetrahydro-2H-pyran-4-yl)oxy]-7-quinazolinyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 610302-38-0 CAPLUS

CN Methanesulfonamide, N-[3-[[4-[(3-chloro-4-fluorophenyl)amino]-6-[(tetrahydro-2H-pyran-4-yl)oxy]-7-quinazolinyl]oxy]propyl]- (9CI) (CA INDEX NAME)



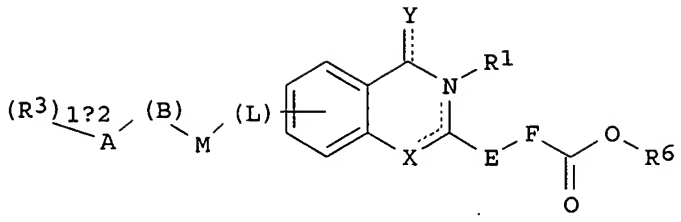
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:793620 CAPLUS
DOCUMENT NUMBER: 137:294975
TITLE: Preparation of quinazolinepropanoic acids and related compounds for the treatment of integrin-mediated disorders
INVENTOR(S): Hoekstra, William J.; Lawson, Edward C.; Costanzo, Michael J.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

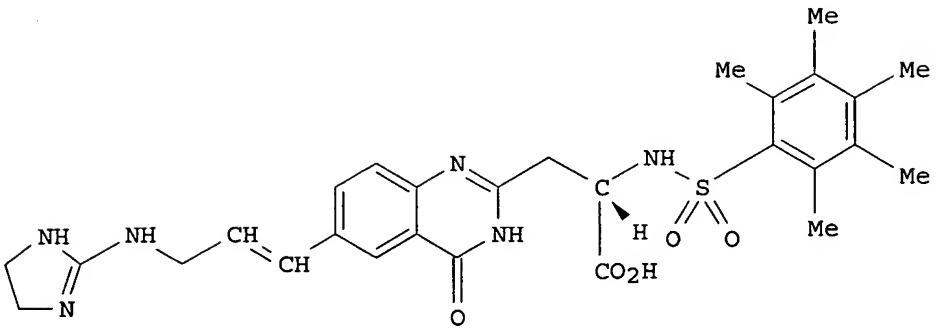
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002081467	A1	20021017	WO 2002-US10596		20020405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2003139398	A1	20030724	US 2002-117542		20020405
US 7081460	B2	20060725			
EP 1389205	A1	20040218	EP 2002-763938		20020405
EP 1389205	B1	20051221			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004529918	T2	20040930	JP 2002-579455		20020405
PRIORITY APPLN. INFO.:			US 2001-282648P	P	20010409
			WO 2002-US10596	W	20020405

OTHER SOURCE(S): MARPAT 137:294975
ED Entered STN: 18 Oct 2002

GI



I



II

AB The invention is directed to novel quinazoline and quinazoline-like derivs. (shown as I (e.g. 6-[(1E)-3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-1-propenyl]-(αS)-3,4-dihydro-4-oxo-α-[[(2,3,4,5,6-pentamethylphenyl)sulfonyl]amino]-2-quinazolinepropanoic acid (shown as II)); and pharmaceutically acceptable racemates, enantiomers, diastereomers and salts thereof), their usefulness as integrin antagonists and methods for the treatment of integrin-mediated disorders. In I, A is carbonyl, amino, carbamoyl, acetamido, acetimido, amidino, iminomethylamino, ureido, biureto, biurea, thioureido, guanidino, biguanido, biguanidino, amidrazone, hydrazo, carbazoyl, semicarbazido, cycloalkylene, heterocyclene, arylene and heteroarylene. (B) is optionally present and is NH, O and C(O); M is C1-C6 alkylene, C2-6 alkenylene, C2-C6 alkynylene and arylene. R3 is 1-2 substituents independently H, C1-C8 alkyl, cycloalkyl, heterocyclo, aryl, aryl(C1-C8)alkyl, heteroaryl, heteroaryl(C1-C8)alkyl, amino, C1-C8 alkylamino, di(C1-C8)alkylamino, imino, iminomethyl, amidino, C1-C8 alkylamidino, di(C1-C8)alkylamidino, cycloalkylamidino, halogen and hydroxy. (L) is optionally present and is NH, O, S and C(O); Y is two substituents joined to the ring by single-bonds and one substituent joined to the ring by a double-bond. X is N, NH, O and S; R1 is optionally present and is H, C1-C8 alkyl, cycloalkyl, cycloalkyl(C1-C6)alkyl, aryl, aryl(C1-C6)alkyl, heteroaryl, heteroaryl(C1-C6)alkyl, arylamino and heteroarylamino; E is C1-C4 alkyl substituted with W and W'; F is C1-C4 alkyl substituted with U and U'. W, W', U and U' are independently H, C1-C8 alkyl, C2-C8 alkenyl, C2-C8 alkynyl, cycloalkyl, cycloalkyl(C1-C4)alkyl, heterocyclo, heterocyclo(C1-C4)alkyl, aryl, aryl(C1-C4)alkyl, biaryl, heteroaryl, heteroaryl(C1-C4)alkyl, -N[(R4),T(R5)] and halogen. R4 is H and C1-C8 alkyl; T is arylene, carbonyl, carboxy, sulfonyl and -C(O)NH-. R5 is H, C1-C8 alkyl, C2-C8 alkenyl, cycloalkyl, heterocyclo, aryl, aryl(C1-C4)alkyl, aryl(C2-C4)alkenyl, biaryl, biaryl(C1-C4)alkyl, heteroaryl,

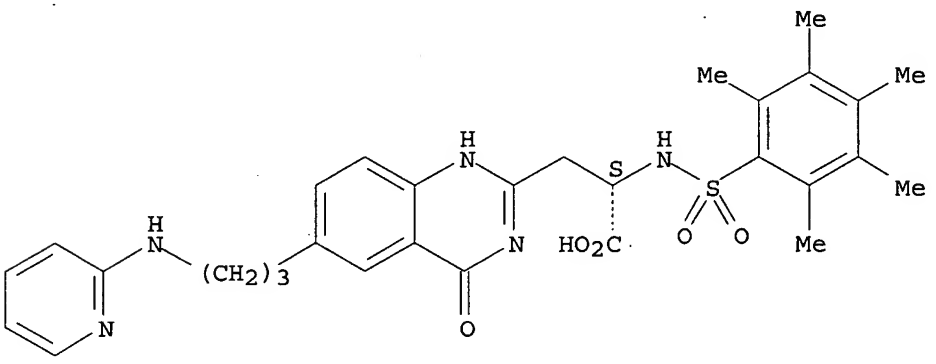
heteroaryl(C1-C4)alkyl and amino. R6 is H, C1-C8 alkyl and (CH2)1-8CON(R7)2; and, R7 is H, C1-C8 alkyl and cycloalkyl. Although the methods of preparation are not claimed, 18 example prepns. are included and 82 specific compds. are claimed. I block vitronectin by binding to isolated αvβ3 (demonstrating IC50 values of from .apprx.1 to .apprx.300 nM) and inhibit fibrinogen by binding to isolated GPIIb/IIIa as well. I inhibit integrin-mediated cell-cell or cell-matrix adhesion and, therefore, may be useful in treating integrin mediated disorders including, but not limited to, restenosis, thrombosis, inflammation, atherosclerosis, arthritis, angiogenesis, osteoporosis, bone resorption, tumor cell metastasis, tumor growth, macular degeneration, diabetic retinopathy, and diseases of the lung/airway.

IT **470443-41-5P**, (αS)-3,4-Dihydro-4-oxo-α-[[(2,3,4,5,6-pentamethylphenyl)sulfonyl]amino]-6-[3-(2-pyridinylamino)propyl]-2-quinazolinepropanoic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazolinepropanoic acids and related compds. for treatment of integrin-mediated disorders)

RN 470443-41-5 CAPLUS

CN 2-Quinazolinepropanoic acid, 1,4-dihydro-4-oxo-α-[[(pentamethylphenyl)sulfonyl]amino]-6-[3-(2-pyridinylamino)propyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

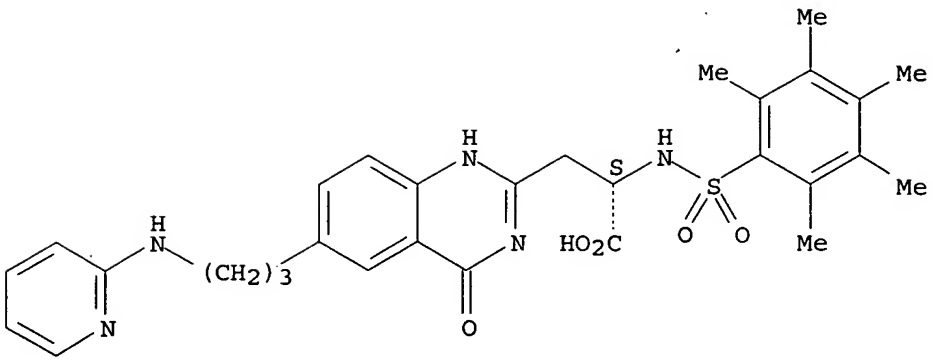


IT **470443-42-6P**, (αS)-3,4-Dihydro-4-oxo-α-[[(2,3,4,5,6-pentamethylphenyl)sulfonyl]amino]-6-[3-(2-pyridinylamino)propyl]-2-quinazolinepropanoic acid dihydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinepropanoic acids and related compds. for treatment of integrin-mediated disorders)

RN 470443-42-6 CAPLUS

CN 2-Quinazolinepropanoic acid, 1,4-dihydro-4-oxo-α-[[(pentamethylphenyl)sulfonyl]amino]-6-[3-(2-pyridinylamino)propyl]-, dihydrochloride, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

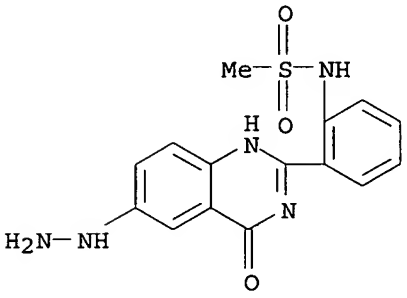
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:275972 CAPLUS
DOCUMENT NUMBER: 136:275710
TITLE: Reagents for labeling biomolecules having aldehyde or ketone moieties
INVENTOR(S): Haugland, Richard P.; Steinberg, Thomas H.; Patton, Wayne P.; Diwu, Zhenjun
PATENT ASSIGNEE(S): Molecular Probes, Inc., USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

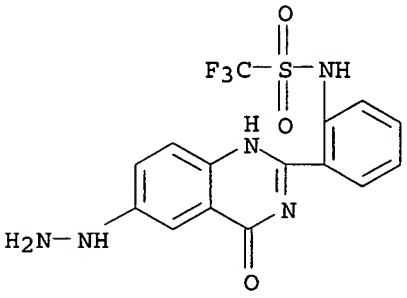
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028841	A2	20020411	WO 2001-US30851	20011002
WO 2002028841	A3	20020801		
WO 2002028841	B1	20021121		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2424300	AA	20020411	CA 2001-2424300	20011002
AU 2001096502	A5	20020415	AU 2001-96502	20011002
US 2002137068	A1	20020926	US 2001-970215	20011002
US 6967251	B2	20051122		
EP 1322625	A2	20030702	EP 2001-977376	20011002
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004510767	T2	20040408	JP 2002-532427	20011002

US 2005250152 A1 20051110 US 2005-182122 20050715
PRIORITY APPLN. INFO.: US 2000-237932P P 20001002
US 2001-970215 A3 20011002
WO 2001-US30851 W 20011002

OTHER SOURCE(S): MARPAT 136:275710
ED Entered STN: 12 Apr 2002
AB The invention concerns novel fluorescent derivatization reagents are described that are suitable for coupling to biomols. that contain aldehyde or ketone functional groups. The method of treating a sample with the derivatization reagents is described. The reagents are particularly useful for labeling glycoproteins or glycopeptides, nucleic acids, and lipopolysaccharides in electrophoresis gels.
IT **406680-29-3P 406680-30-6P**
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(reagents for labeling biomols. having aldehyde or ketone moieties)
RN 406680-29-3 CAPLUS
CN Methanesulfonamide, N-[2-(6-hydrazino-1,4-dihydro-4-oxo-2-quinazolinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 406680-30-6 CAPLUS
CN Methanesulfonamide, 1,1,1-trifluoro-N-[2-(6-hydrazino-1,4-dihydro-4-oxo-2-quinazolinyl)phenyl]- (9CI) (CA INDEX NAME)



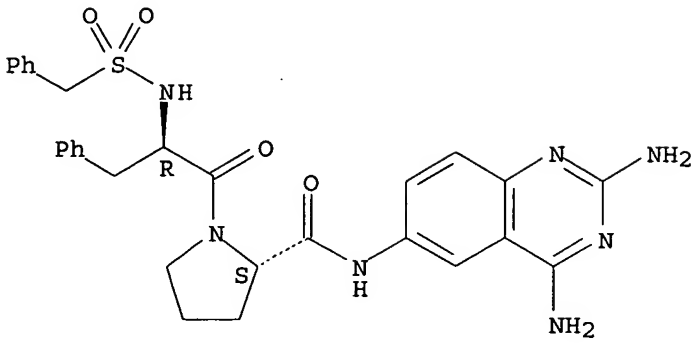
L24 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:833347 CAPLUS
DOCUMENT NUMBER: 135:358167
TITLE: Preparation of peptides as thrombin inhibitors
INVENTOR(S): Kikelj, Danijel; Peterlin, Lucija; Marinko, Petra; Breznik, Matej; Stregnar, Mojca; Trampuz, Bakija Alenka; Fortuna, Marjana

PATENT ASSIGNEE(S): Lek Pharmaceutical and Chemical Company D.D., Slovenia; University of Ljubljana; Browne, Robin Forsythe
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001085760	A1	20011115	WO 2001-GB1997		20010504
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
SI 20582	C	20011231	SI 2000-111		20000505
EP 1287018	A2	20030305	EP 2001-925739		20010504
EP 1287018	B1	20050119			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
AT 287416	E	20050215	AT 2001-925739		20010504
ES 2239134	T3	20050916	ES 2001-1925739		20010504
US 2003191139	A1	20031009	US 2003-275215		20030131
US 7112590	B2	20060926			
PRIORITY APPLN. INFO.:			SI 2000-111	A	20000505
			WO 2001-GB1997	W	20010504

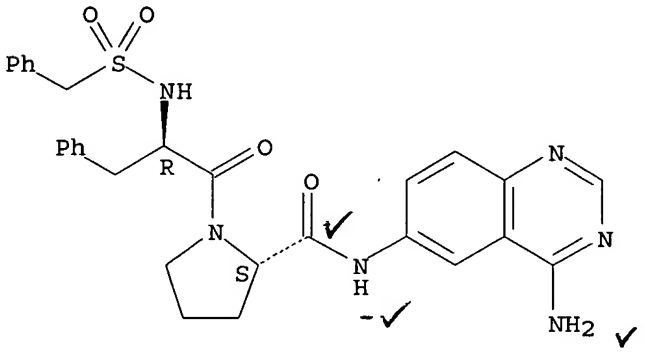
OTHER SOURCE(S): MARPAT 135:358167
ED Entered STN: 16 Nov 2001
AB Compds. of D-CO-B-A-Het [Het is a heterocyclic moiety of defined structure, e.g., 5,6,7,8-tetrahydro-2-quinazolinamine, 4,5,6,7-tetrahydro-2H-indazol-2-ylamine, and 4,5,6,7-tetrahydro-1,3-benzothiazol-2-ylamine; A is CONH, CH2NH, CONHCH2, CH2NHCH2, CH2NHCONH, CH2NHCH2CONH, CH2NHCOCH2NH, CH2NHCONHCH2, CH2NHCH2CONHCH2 or CH2NHCOCH2NHCH2; B is 1,2-pyrrolidinediyl or 4-hydroxy derivative, 1,5-thiazolidinediyl, 1,2-piperidinediyl, NR3CHR4 (R3, R4 = H, C1-C4 alkyl, C3-C7 cycloalkyl); D is RcRdCH (Rc is NH2, alkylamino, hydroxyalkylamino, carboxyalkylamino, etc.; Rd is H, CH2OH, CH2SH, alkyl, cycloalkylalkyl, heterocyclylalkyl, arylalkyl)] or their pharmaceutically acceptable salts were prepared as thrombin inhibitors. Thus, (2S)-N-(2-amino-4,5,6,7-tetrahydro-1,3-benzothiazol-6-yl)-1-[(2R)-2-[(benzylsulfonyl)amino]-3-cyclohexylpropanoyl]-2-pyrrolidinecarboxamide, prepared by coupling of N-(benzylsulfonyl)-β-cyclohexyl-D-Ala-L-Pro-OH with 4,5,6,7-tetrahydro-1,3-benzothiazole-2,6-diamine dihydrobromide, showed Ki = 0.12 and >68.3 μM for inhibition of thrombin and trypsin, resp.
IT **372982-70-2P 372982-71-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as thrombin inhibitors)
RN 372982-70-2 CAPLUS
CN L-Prolinamide, N-[(phenylmethyl)sulfonyl]-D-phenylalanyl-N-(2,4-diamino-6-quinazolinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 372982-71-3 CAPLUS
CN L-Prolinamide, N-[(phenylmethyl)sulfonyl]-D-phenylalanyl-N-(4-amino-6-quinazolinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



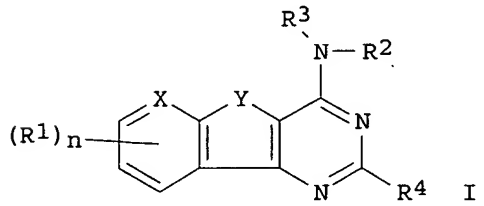
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:816643 CAPLUS
DOCUMENT NUMBER: 135:344500
TITLE: Preparation of condensed heteroaryl derivatives as phosphatidylinositol 3-kinase inhibitors and anticancer agents
INVENTOR(S): Hayakawa, Masahiko; Kaizawa, Hiroyuki; Moritomo, Hiroyuki; Kawaguchi, Ken-ichi; Koizumi, Tomonobu; Yamano, Mayumi; Matsuda, Koyo; Okada, Minoru; Ohta, Mitsuaki
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Ludwig Institute for Cancer Research; Imperial Cancer Research Technology Ltd.
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083456	A1	20011108	WO 2001-JP3650	20010426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2407593	AA	20011108	CA 2001-2407593	20010426
AU 2001052610	A5	20011112	AU 2001-52610	20010426
US 2002151544	A1	20021017	US 2001-843615	20010426
US 6608053	B2	20030819		
EP 1277738	A1	20030122	EP 2001-925981	20010426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 3649395	B2	20050518	JP 2001-580885	20010426
CN 1629145	A	20050622	CN 2004-10055760	20010426
US 6608056	B1	20030819	US 2002-243416	20020913
US 2003236271	A1	20031225	US 2003-459002	20030610
US 6838457	B2	20050104		
US 2004009978	A1	20040115	US 2003-459220	20030610
US 6770641	B2	20040803		
US 2005014771	A1	20050120	US 2004-918094	20040813
US 7037915	B2	20060502		
JP 2005120102	A2	20050512	JP 2004-332225	20041116
JP 3810017	B2	20060816		
US 2006058321	A1	20060316	US 2005-250782	20051014

PRIORITY APPLN. INFO.:	JP 2000-128472	A	20000427
	US 2000-200537P	P	20000427
	US 2000-200481P	P	20000428
	JP 2001-580885	A3	20010426
	US 2001-843615	A3	20010426
	WO 2001-JP3650	W	20010426
	US 2002-243416	A3	20020913
	US 2003-459002	A1	20030610
	US 2004-918094	A1	20040813

OTHER SOURCE(S): MARPAT 135:344500
ED Entered STN: 09 Nov 2001
GI



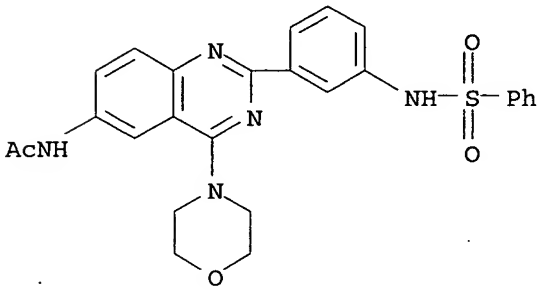
I

AB The title compds, e.g. I [n = 0 - 3; R1 = alkyl, etc.; R2, R3 = H, alkyl, etc; further detail on R2 and R3 is given; R4 = (un)substituted aryl, etc.; X = N, CH; Y = O, S, NH], are prepared Several compds. of this invention in vitro showed IC50 values of ≤ 1 μM against phosphatidylinositol 3-kinase (p110 α subtype). The antitumor

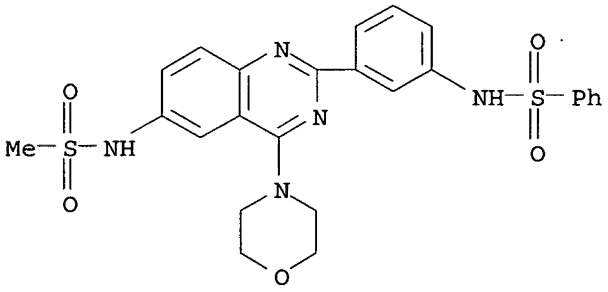
activity of compds. of this invention is also demonstrated.

IT **371940-64-6P 371940-68-0P 371940-72-6P 371940-75-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of condensed heteroaryl derivs. as phosphatidylinositol 3-kinase inhibitors and anticancer agents)

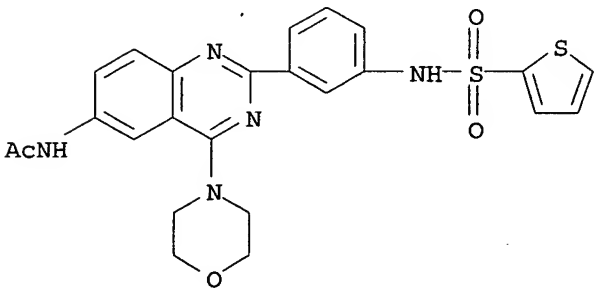
RN 371940-64-6 CAPLUS
CN Acetamide, N-[4-(4-morpholinyl)-2-[3-[(phenylsulfonyl)amino]phenyl]-6-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 371940-68-0 CAPLUS
CN Benzenesulfonamide, N-[3-[6-[(methylsulfonyl)amino]-4-(4-morpholinyl)-2-quinazolinyl]phenyl]- (9CI) (CA INDEX NAME)

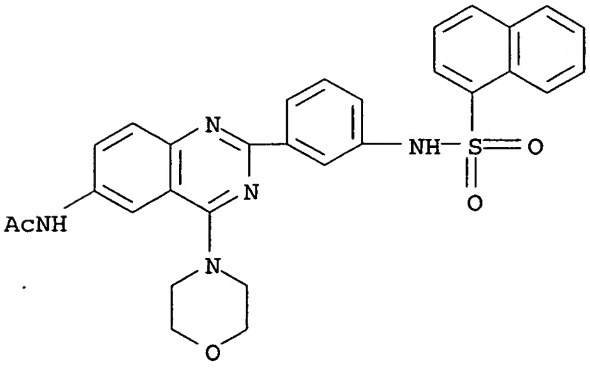


RN 371940-72-6 CAPLUS
CN Acetamide, N-[4-(4-morpholinyl)-2-[3-[(2-thienylsulfonyl)amino]phenyl]-6-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 371940-75-9 CAPLUS

CN Acetamide, N-[4-(4-morpholinyl)-2-[3-[(1-naphthalenylsulfonyl)amino]phenyl]-6-quinazolinyl]- (9CI) (CA INDEX NAME)

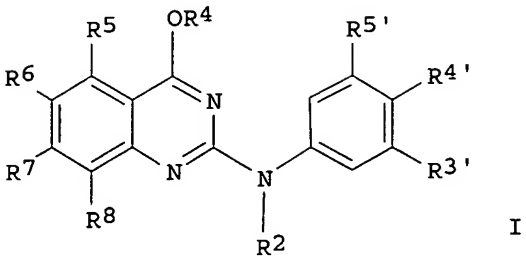


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:228868 CAPLUS
DOCUMENT NUMBER: 134:252356
TITLE: Preparation of 2-(arylamino)-4-quinazolinols as inhibitors of cleavage of protein substrates by caspase-3
INVENTOR(S): Jacobs, Robert Toms; Folmer, James; Simpson, Thomas Richard; Chaudhari, Bipinchandra; Frazee, William Jackson; Davenport, Timothy Wayne
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001021598	A1	20010329	WO 2000-GB3555		20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1218358	A1	20020703	EP 2000-958907		20000918
EP 1218358	B1	20060913			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003509501	T2	20030311	JP 2001-524977		20000918
AT 339406	E	20061015	AT 2000-958907		20000918
US 6399603	B1	20020604	US 2000-668322		20000922
PRIORITY APPLN. INFO.:			US 1999-155623P	P	19990923
			WO 2000-GB3555	W	20000918

OTHER SOURCE(S): MARPAT 134:252356
ED Entered STN: 30 Mar 2001
GI



I

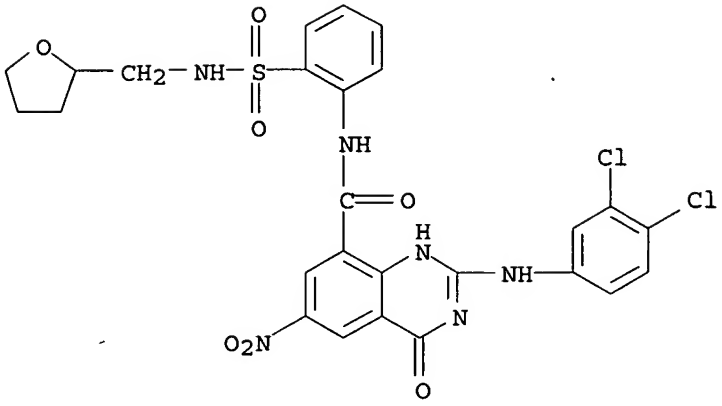
AB I (e.g. [2-[(3,4-dichlorophenyl)amino]-4-hydroxy-6-nitroquinazolin-8-yl]-N-[(4-fluorophenyl)methyl]carboxamide) or a pharmaceutically-acceptable salt thereof and methods of using such compds. for the treatment of various diseases and pharmaceutical compns. comprising such compds. are claimed. In I, R2 is H, acetyl or (C1-C5)alkyl. R4 is H, acetyl or (C1-C5)alkyl. R5, R6 and R7 are independently H, halogen, (C1-C2)alkyl, halo(C1-C2)alkyl, nitro and cyano. R8 is H, Ph, (C1-C6)alkyl, Ri, heterocycle, substituted heterocycle, -(CH2)mC(O)N-[(CH2)pRg]Rb, -(CH2)mN[(CH2)pRg]Rb, -CH:CHRC, halogen, -(CH2)mC(O)(CH2)mRo, -C(O)Rp, -(CH2)mC(O)O[(CH2)pRg], -(CH2)mN[(CH2)pRg]C(O)Rb, -(CH2)mOC(O)[(CH2)pRg], -CHORdORe, -CH2XRf, -S(O)2N[(CH2)pRg]Rb, -N[(CH2)pRg]S(O)2Rb, -S(O)2N[(CH2)pRg]Rb, -C(O)H, allyl and 4-hydroxybut-1-en-4-yl. R3', R4' and R5' are independently H, halogen, (C1-C4)alkyl, (C1-C4)alkoxy and halo(C1-C4)alkyl; wherein at least one of R5, R6, R7, R8, R3' and R5' is not H; and R4' is not equal to R7. Rb is H, (C1-C4)alkyl or substituted (C1-C4)alkyl. Rc is H, Ph, Ri, heterocycle, substituted heterocycle, -CO2Rb, -C(O)NRbRb, -S(O)n-Rf, 2-hydroxyisopropyl and cyano. Rd and Re are independently (C1-C4)alkyl; or Rd and Re together are -CH2CH2- or -CH2CH2CH2-. Rf is (C1-C4)alkyl, vinyl, -CH2CO2Rb, Ph or benzyl. Rg is (C1-C10)alkyl, substituted (C1-C10)alkyl, Ph, Ri, heterocycle, substituted heterocycle, -ORb, -NRbRb, -NRjRo, -N(Rj)SO2Rj, -CO2Rb, -C(O)NRjRj, -SO2phenyl and 2-oxopyrrolid-1-yl; or Rg and Rb together form -CH2CH2N(Rj)CH2CH2-, -(CH2)4-, -CH(Rh)CH2CH2CH2-, or -CH2CH2OCH2CH2-. Rh is -CO2Rf or -CH2O-Ph. Ri is Ph, containing 1-3 substituents selected from halogen, (C1-C6)alkyl, -ORj, -O(substituted phenyl)-NRjRj, halo(C1-C6)alkyl, halo(C1-C4)alkoxy, nitro, -C(O)Rj, -C(O)(substituted phenyl), -(CH2)mC(O)NRjRk, -(CH2)mC(O)N(Rj)SO2[(C1-C6)alkyl], -(CH2)mC(O)NRj(substituted phenyl), -(CH2)nCO2Rj, -OC(O)Rj, -N(Rj)C(O)Rj, -NRjC(O)halo(C1-C4)alkoxy, -C(O)NRjRj, -NRjS(O)2(C1-C4)alkyl, -SOn(C1-C6)alkyl, -SOn(halogen), -SOm(CH2)nphenyl, -SO2NRjRj, -SO2NRjRk, -SO2NRj(substituted (C1-C6)alkyl), -SO2(CH2)nRo, -SO2N(Rj)(CH2)nRo, -SOn(halo(C1-C3)alkyl), -SOn(pyrrolidin-1-yl substituted in the 2 position by Rn), -CN, -SCN, Ph, heterocycle and benzyl. Rj is H or (C1-C6)alkyl. Rk is -(CH2)nCH2OCH2Rb, -C(O)NRjRj or -C(O)Rj. Rm is heterocycle, containing one or two substituents selected from halogen, (C1-C6)alkyl, -ORj, -O(substituted phenyl)-NRjRj, halo(C1-C6)alkyl, halo(C1-C4)alkoxy, nitro, -C(O)Rj, -C(O)(substituted phenyl), -(CH2)mC(O)NRjRk, -(CH2)mC(O)N(Rj)SO2[(C1-C6)alkyl], -(CH2)mC(O)NRj(substituted phenyl), -(CH2)nCO2Rj, -OC(O)Rj, -N(Ri)C(O)Rj, -NRjC(O)-halo(C1-C4)alkoxy, -C(O)NRjRj, -NRjS(O)2(C1-C4)alkyl, -SOn(C1-C6)alkyl, -SOn(halogen), -SOm(CH2)nphenyl, -SO2NRjRj, -SO2NRjRk, -SO2NRj(substituted (C1-C6)alkyl),

-SO2(CH2)nRo, -SO2N(Rj)(CH2)nRo, -SOn(halo(C1-C3)alkyl), -SOn(pyrrolidin-1-yl substituted in the 2 position by Rn), -CN, -SCN, Ph, heterocycle and benzyl. Rn is -C(O)Rj, -CH2ORj or -C(O)NRjRj. Ro is Ph, substituted Ph, heterocycle or substituted heterocycle. Rp is a heterocycle containing one or two substituents selected from substituted Ph, heterocycle, Ph, benzyl, -SOnRo or SO2NRjRj. M is 0-3; n is 0-2; p is 0-7; X is S, O or N. A method is claimed of treating a mammalian disease selected from cell apoptosis, immune deficiency syndromes, autoimmune diseases, pathogenic infections, cardiovascular and neurol. injury, alopecia, aging, cancer, Parkinson's disease, Alzheimer's disease, Huntington's disease, acute and chronic neurodegenerative disorders, stroke, vascular dementia, head trauma, ALS, neuromuscular disease, myocardial ischemia, cardiomyopathy, macular degeneration, osteoarthritis, diabetes, acute liver failure and spinal cord injury. Although caspase-3 inhibition and apoptosis assay methods are described, quant. assay results are not given. Although the methods of preparation are not claimed, 17 example prepns. are included.

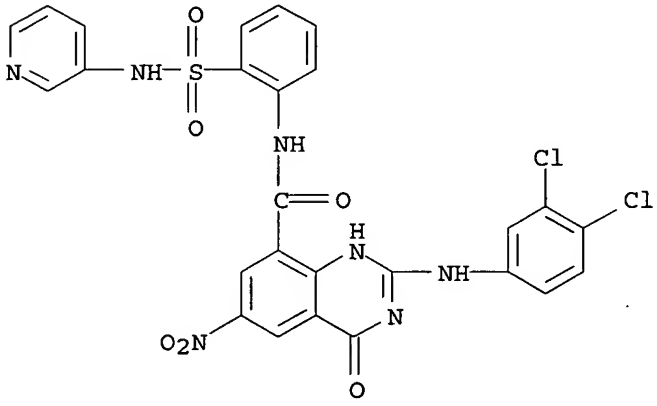
IT **331642-90-1P 331642-96-7P 331643-07-3P**
331643-09-5P 331643-12-0P 331643-14-2P
331643-15-3P 331643-17-5P 331643-19-7P
331643-20-0P 331643-25-5P 331643-29-9P
331643-31-3P 331643-32-4P 331643-33-5P
331643-34-6P 331643-41-5P 331643-42-6P
331643-43-7P 331643-44-8P 331643-45-9P
331643-50-6P 331643-60-8P 331643-61-9P
331643-62-0P 331643-63-1P 331643-65-3P
331643-66-4P 331643-67-5P 331643-68-6P
331643-71-1P 331643-72-2P 331643-73-3P
331643-74-4P 331643-75-5P 331643-76-6P
331643-77-7P 331643-78-8P 331643-83-5P
331643-84-6P 331643-86-8P 331643-87-9P
331643-91-5P 331643-92-6P 331643-93-7P
331643-94-8P 331643-95-9P 331643-96-0P
331643-97-1P 331643-98-2P 331643-99-3P
331644-01-0P 331644-06-5P 331644-10-1P
331644-15-6P 331644-16-7P 331644-17-8P
331644-18-9P 331644-19-0P 331644-20-3P
331644-21-4P 331644-22-5P 331644-24-7P
331644-25-8P 331644-26-9P 331644-27-0P
331644-28-1P 331644-30-5P 331644-31-6P
331644-38-3P 331644-39-4P 331644-40-7P
331644-43-0P 331644-44-1P 331644-45-2P
331644-46-3P 331644-67-8P 331644-77-0P
331644-79-2P 331645-11-5P 331645-12-6P
331645-13-7P 331645-14-8P 331645-15-9P
331645-16-0P 331645-17-1P 331645-18-2P
331645-19-3P 331645-20-6P 331645-21-7P
331645-22-8P 331645-23-9P 331645-24-0P
331645-25-1P 331645-35-3P 331645-78-4P
331645-89-7P 331645-90-0P 331647-51-9P
331647-53-1P 331681-70-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(arylamino)-4-quinazolinols as inhibitors of cleavage of protein substrates by caspase-3)

RN 331642-90-1 CAPLUS

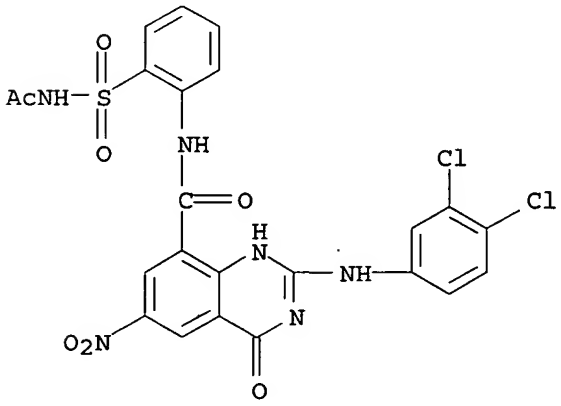
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[2-[[[(tetrahydro-2-furanyl)methyl]amino]sulfonyl]phenyl]-(9CI) (CA INDEX NAME)



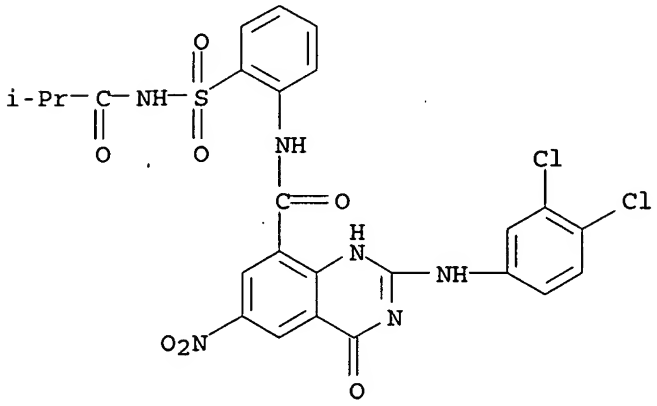
RN 331642-96-7 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[2-[(3-pyridinylamino)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



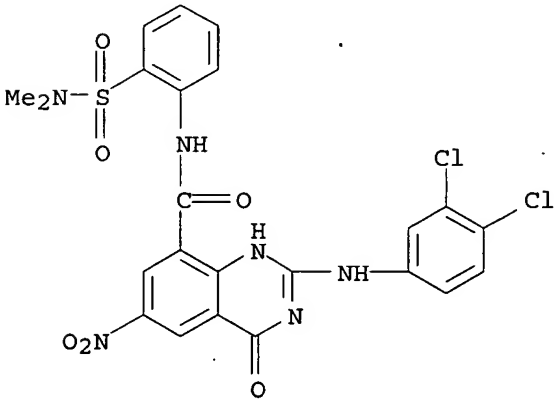
RN 331643-07-3 CAPLUS
CN 8-Quinazolinecarboxamide, N-[2-[(acetylamino)sulfonyl]phenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



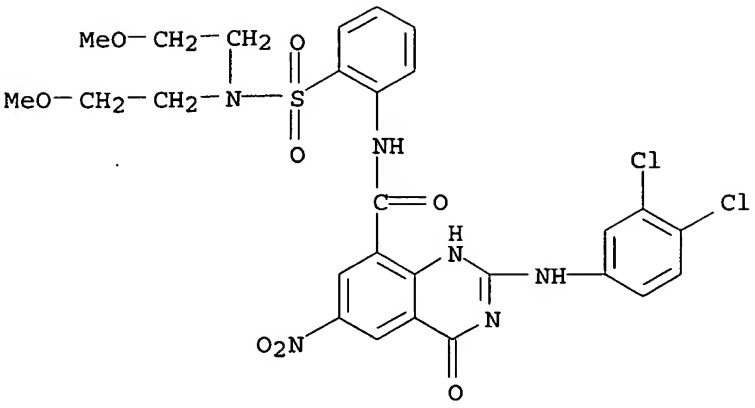
RN 331643-09-5 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[[(2-methyl-1-oxopropyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



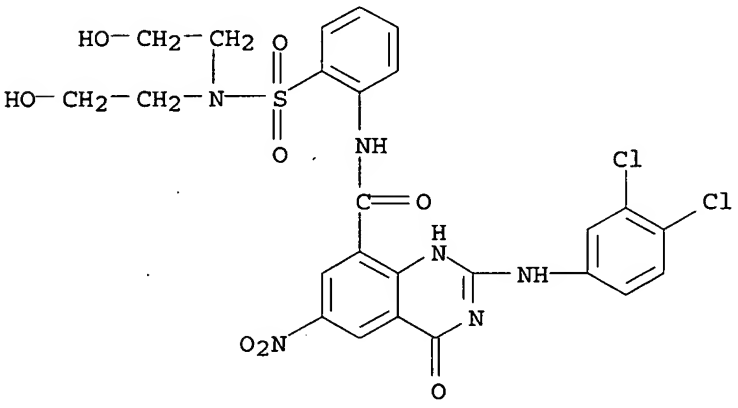
RN 331643-12-0 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[2-[(dimethylamino)sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



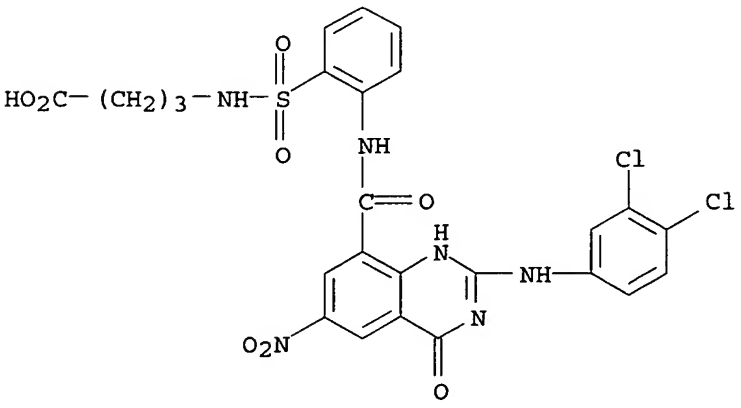
RN 331643-14-2 CAPLUS
CN 8-Quinazolinecarboxamide, N-[2-[[bis(2-methoxyethyl)amino]sulfonyl]phenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



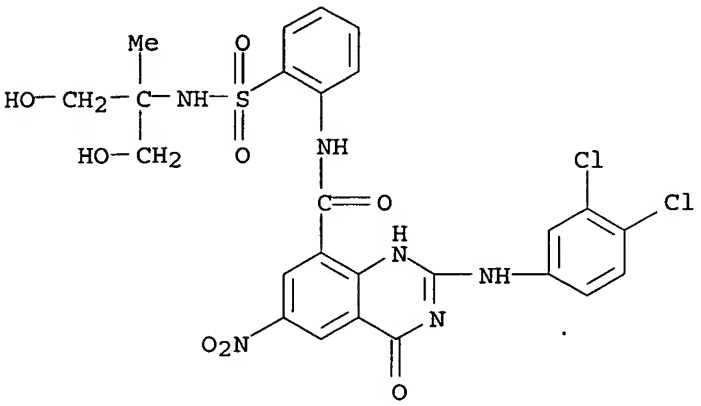
RN 331643-15-3 CAPLUS
CN 8-Quinazolinecarboxamide, N-[2-[[bis(2-hydroxyethyl)amino]sulfonyl]phenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



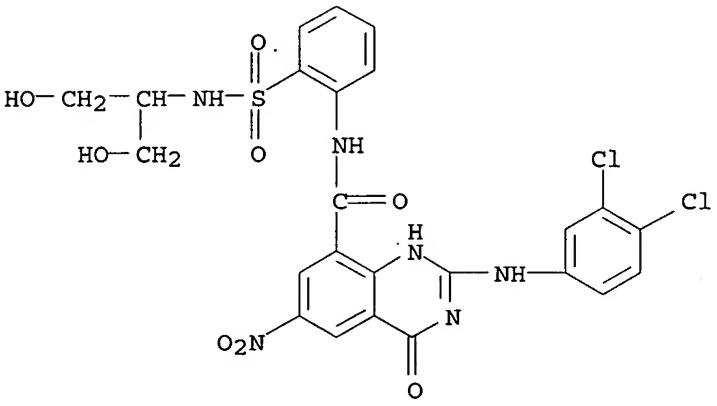
RN 331643-17-5 CAPLUS
CN Butanoic acid, 4-[[[2-[[[2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-8-quinazolinyl]carbonyl]amino]phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



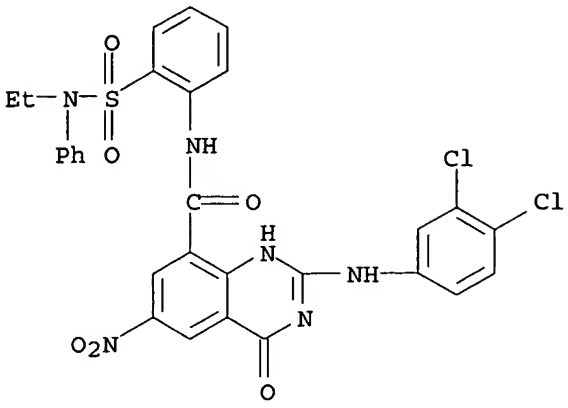
RN 331643-19-7 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[[[2-hydroxy-1-(hydroxymethyl)-1-methylethyl]amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



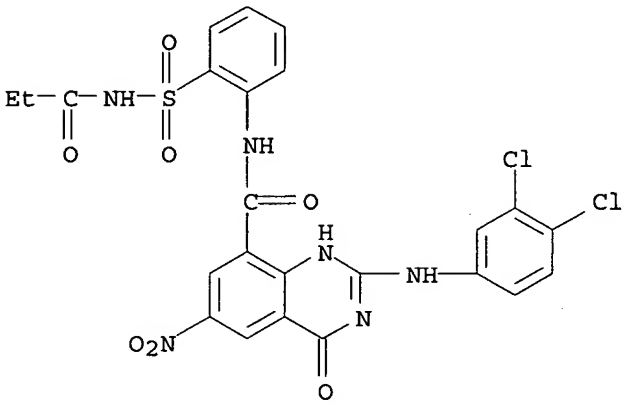
RN 331643-20-0 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



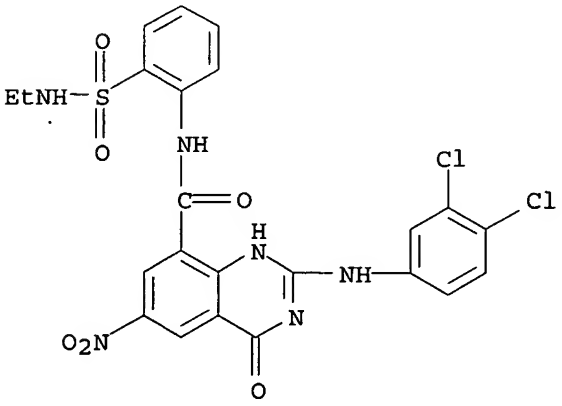
RN 331643-25-5 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[2-[(ethylphenylamino)sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



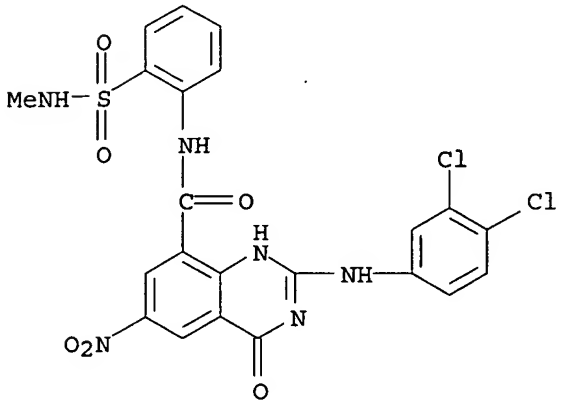
RN 331643-29-9 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[2-[[(1-oxopropyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



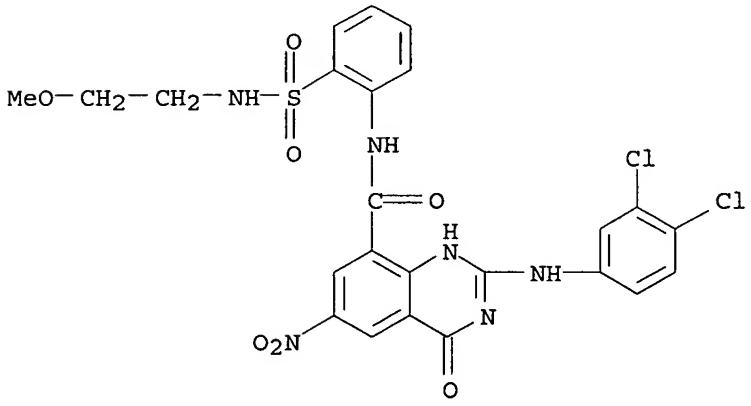
RN 331643-31-3 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[2-[(ethylamino)sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



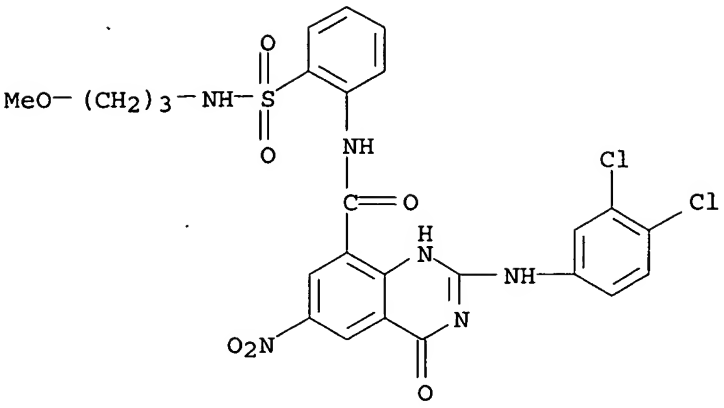
RN 331643-32-4 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[(methylamino)sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



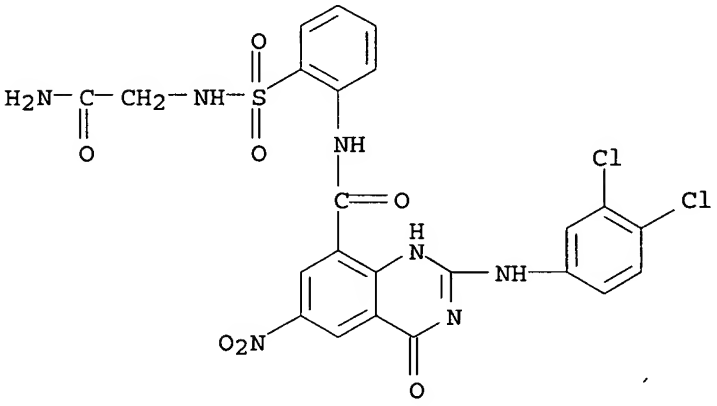
RN 331643-33-5 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[[(2-methoxyethyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



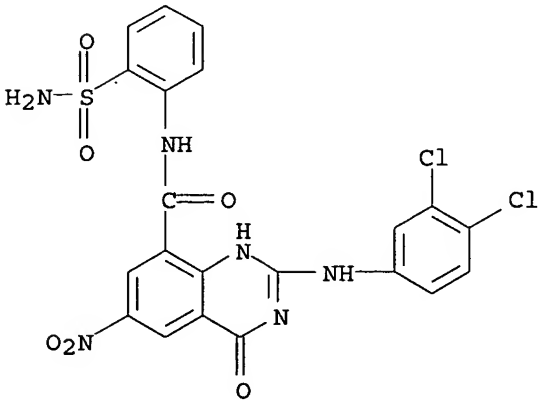
RN 331643-34-6 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[[(3-methoxypropyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



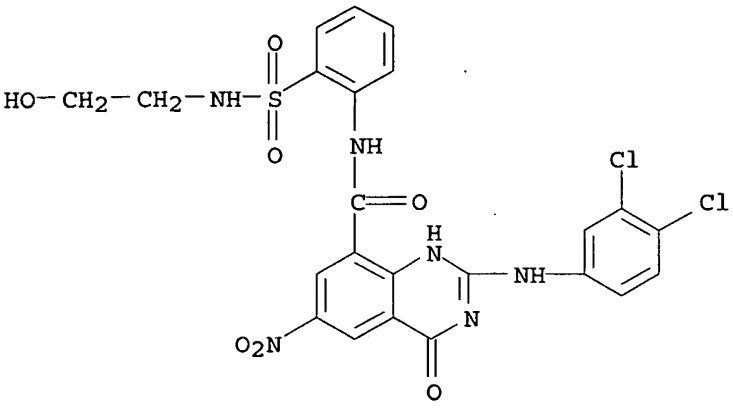
RN 331643-41-5 CAPLUS
CN 8-Quinazolinecarboxamide, N-[2-[[(2-amino-2-oxoethyl)amino]sulfonyl]phenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



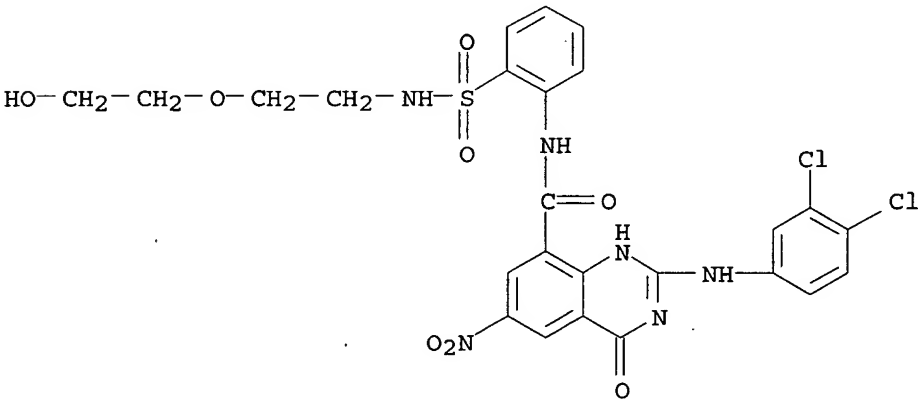
RN 331643-42-6 CAPLUS
CN 8-Quinazolinecarboxamide, N-[2-(aminosulfonyl)phenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



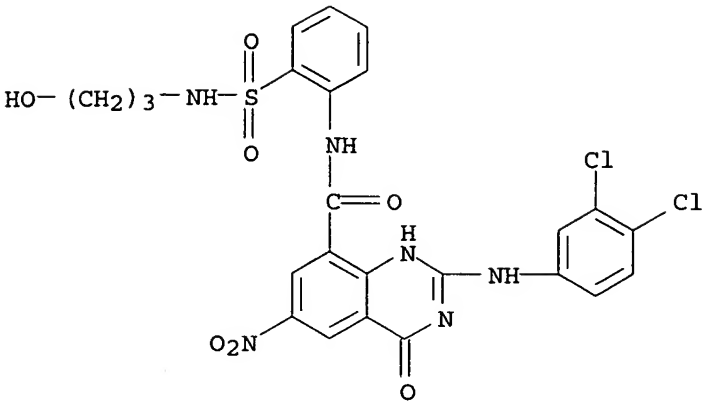
RN 331643-43-7 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[[(2-hydroxyethyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



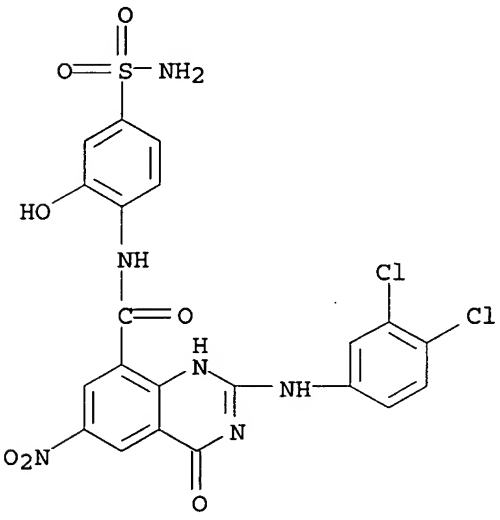
RN 331643-44-8 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[[[2-(2-hydroxyethoxy)ethyl]amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



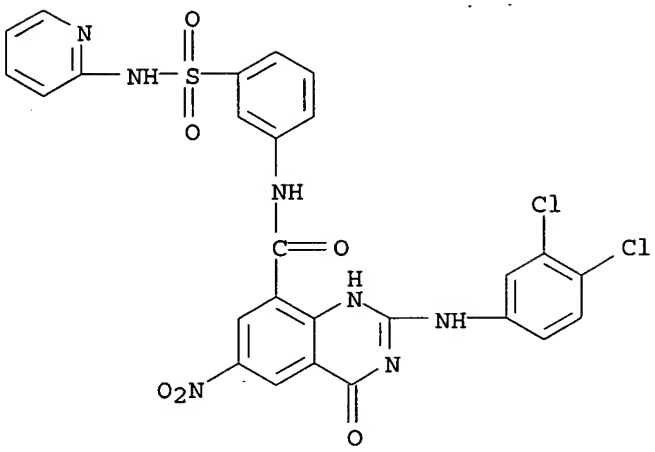
RN 331643-45-9 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[[(3-hydroxypropyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



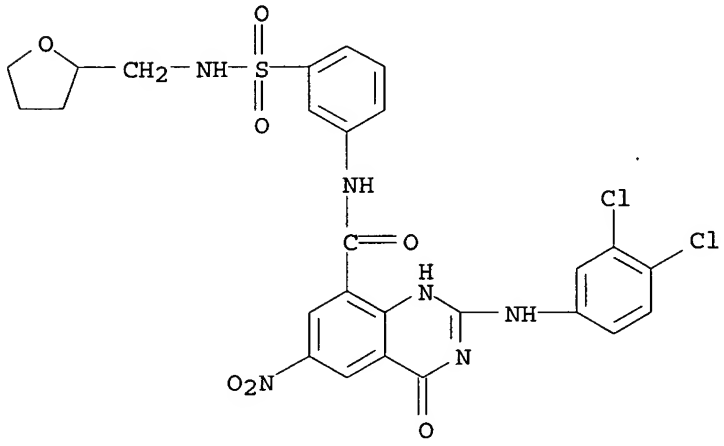
RN 331643-50-6 CAPLUS
CN 8-Quinazolinecarboxamide, N-[4-(aminosulfonyl)-2-hydroxyphenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



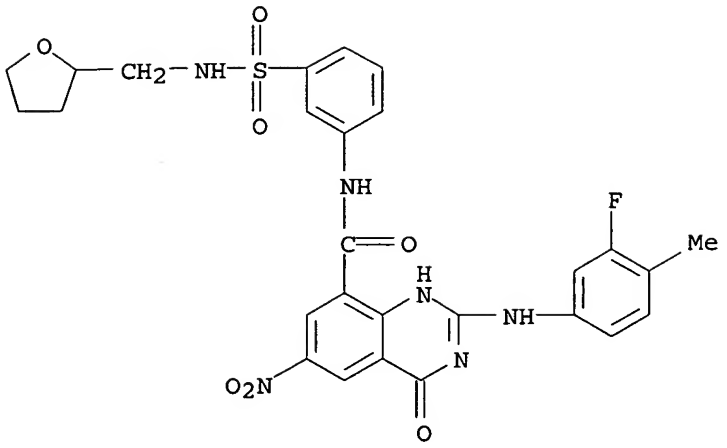
RN 331643-60-8 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[3-[(2-pyridinylamino)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



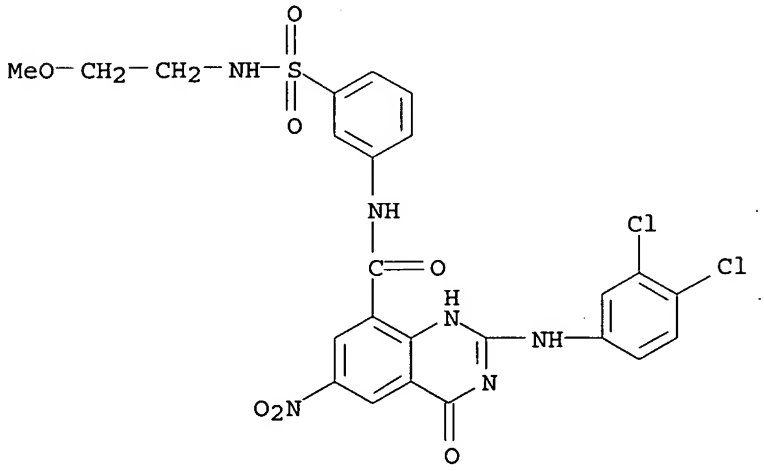
RN 331643-61-9 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[3-[[[(tetrahydro-2-furanyl)methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



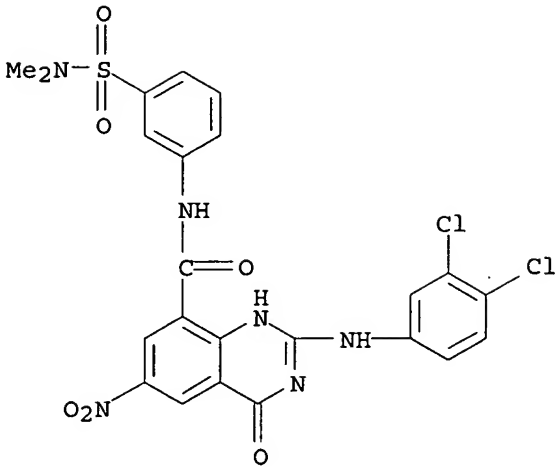
RN 331643-62-0 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3-fluoro-4-methylphenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[3-[[[(tetrahydro-2-furanyl)methyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



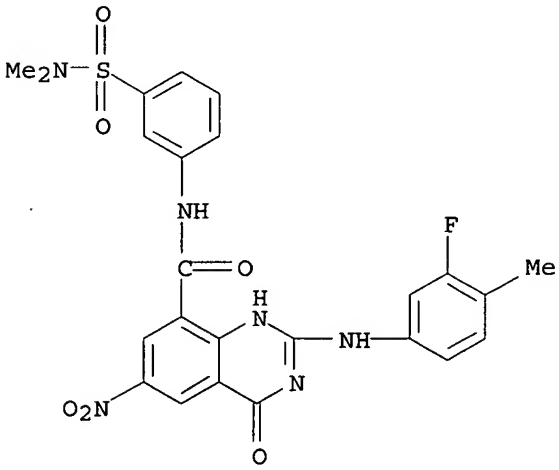
RN 331643-63-1 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[3-[[(2-methoxyethyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



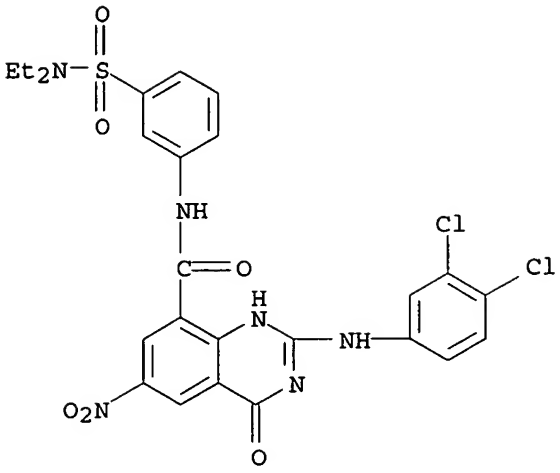
RN 331643-65-3 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[3-[(dimethylamino)sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



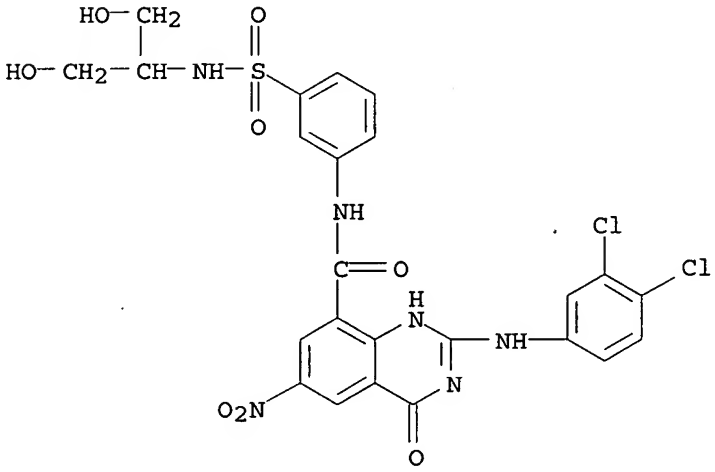
RN 331643-66-4 CAPLUS
CN 8-Quinazolinecarboxamide, N-[3-[(dimethylamino)sulfonyl]phenyl]-2-[(3-fluoro-4-methylphenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



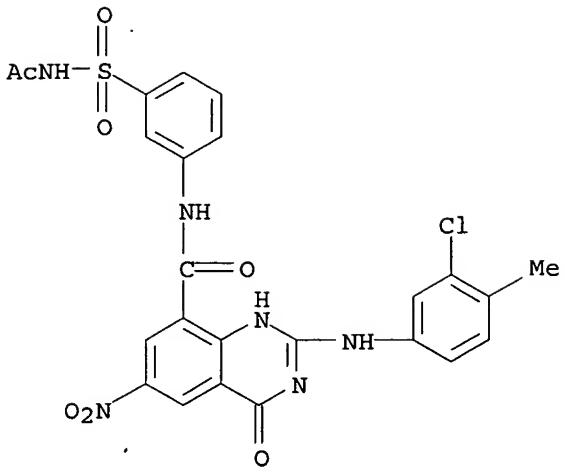
RN 331643-67-5 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[3-[(diethylamino)sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



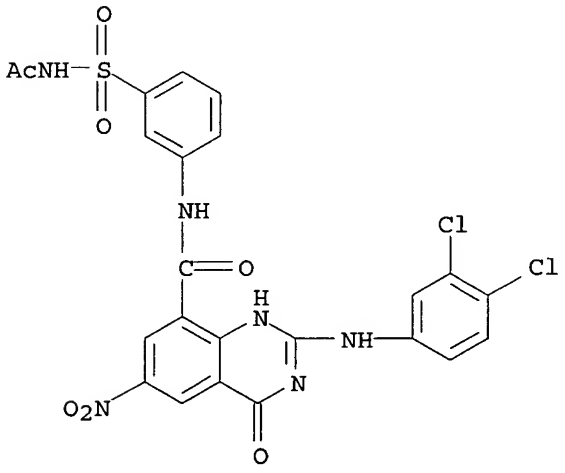
RN 331643-68-6 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[3-[[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



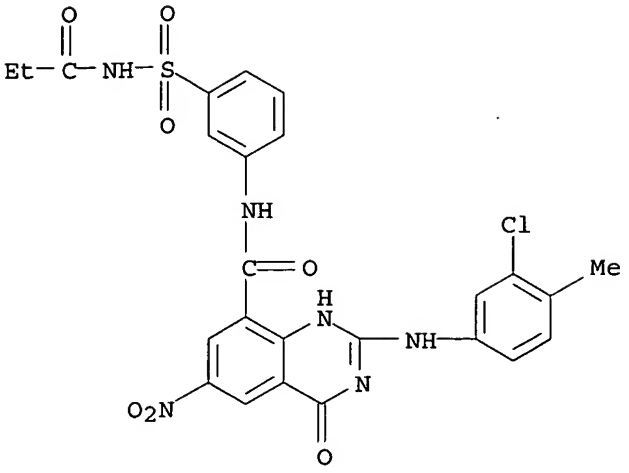
RN 331643-71-1 CAPLUS
CN 8-Quinazolinecarboxamide, N-[3-[(acetylamino)sulfonyl]phenyl]-2-[(3-chloro-4-methylphenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



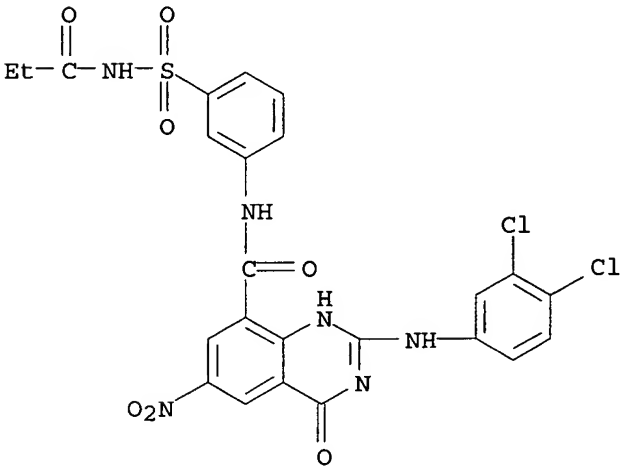
RN 331643-72-2 CAPLUS
CN 8-Quinazolinecarboxamide, N-[3-[(acetylamino)sulfonyl]phenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



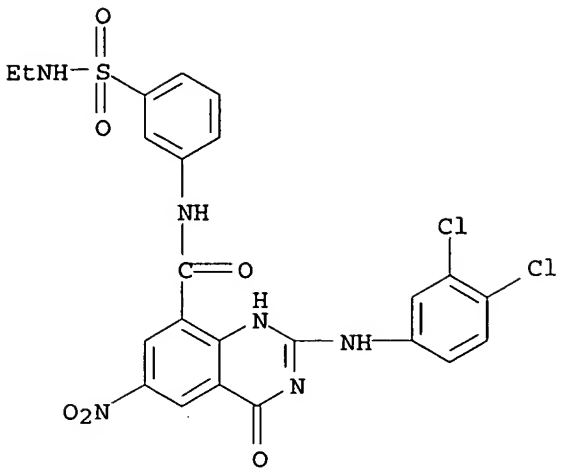
RN 331643-73-3 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3-chloro-4-methylphenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[3-[[(1-oxopropyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



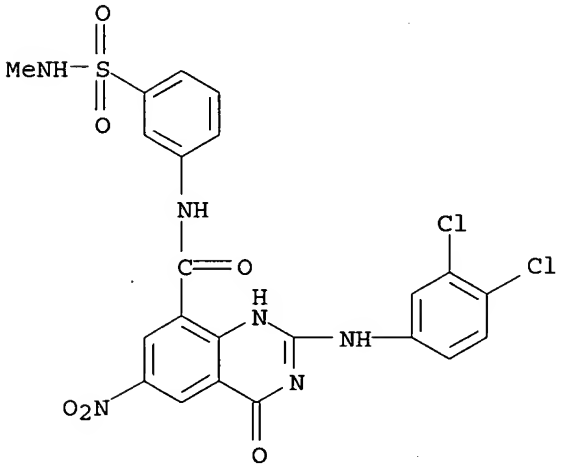
RN 331643-74-4 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[3-[[(1-oxopropyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



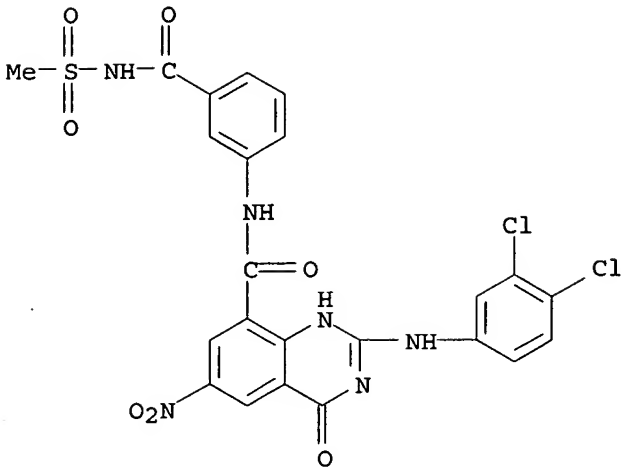
RN 331643-75-5 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[3-[(ethylamino)sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



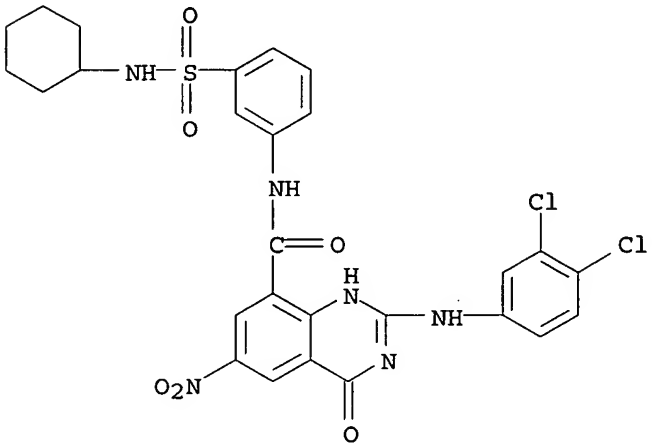
RN 331643-76-6 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[3-[(methylamino)sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



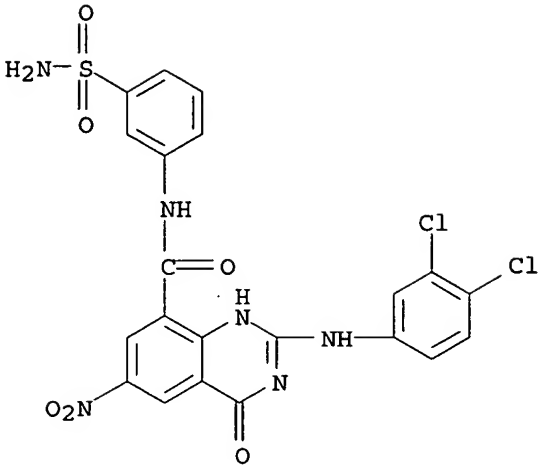
RN 331643-77-7 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[3-[[(methylsulfonyl)amino]carbonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



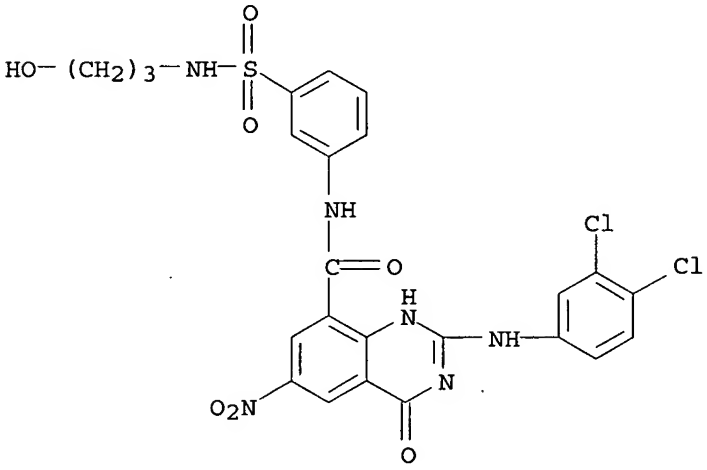
RN 331643-78-8 CAPLUS
CN 8-Quinazolinecarboxamide, N-[3-[(cyclohexylamino)sulfonyl]phenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



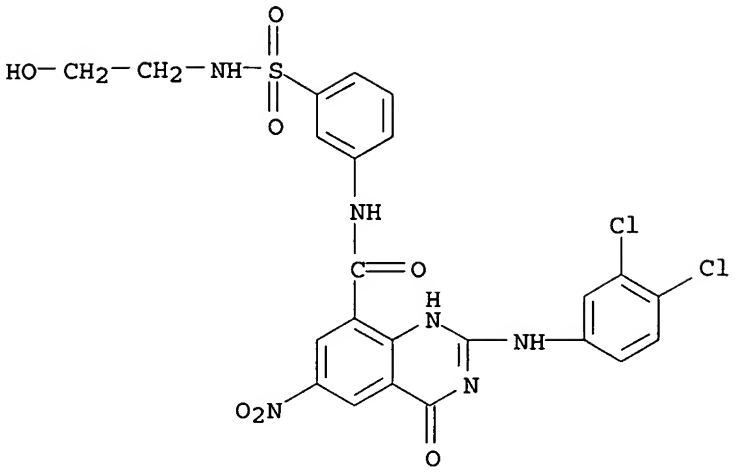
RN 331643-83-5 CAPLUS
CN 8-Quinazolinecarboxamide, N-[3-(aminosulfonyl)phenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



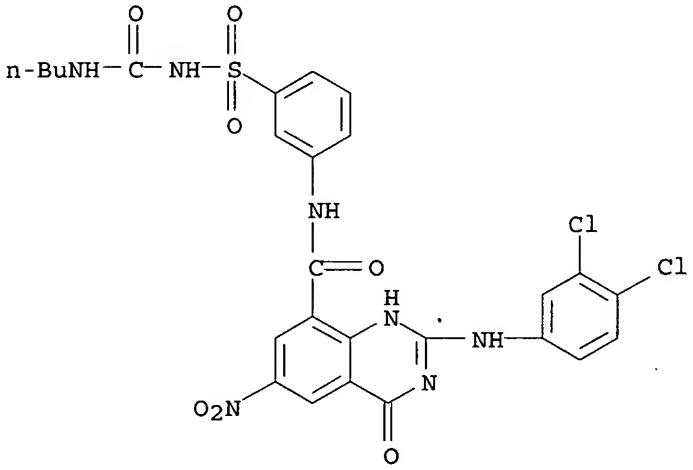
RN 331643-84-6 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[3-[[(3-hydroxypropyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



RN 331643-86-8 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[3-[[(2-hydroxyethyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

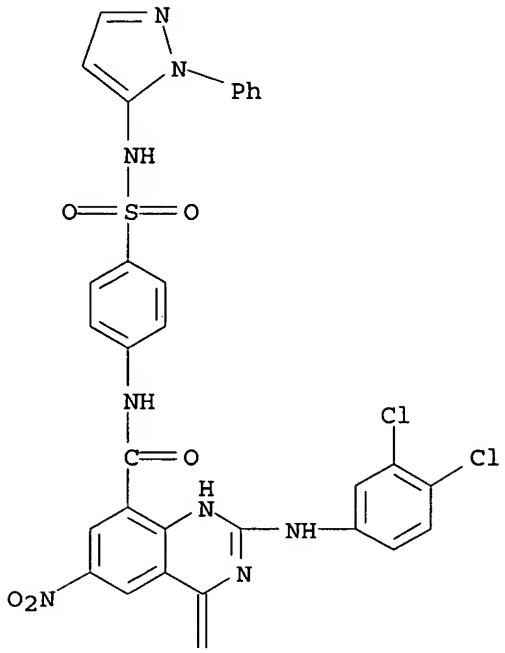


RN 331643-87-9 CAPLUS
CN 8-Quinazolinecarboxamide, N-[3-[[[(butylamino)carbonyl]amino]sulfonyl]phenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



RN 331643-91-5 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[4-[[(1-phenyl-1H-pyrazol-5-yl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

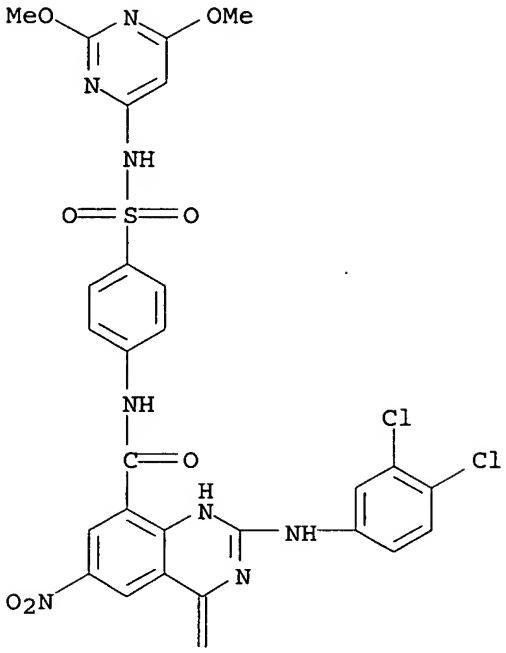
PAGE 1-A



PAGE 2-A

RN 331643-92-6 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[4-[[(2,6-dimethoxy-4-pyrimidinyl)amino]sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

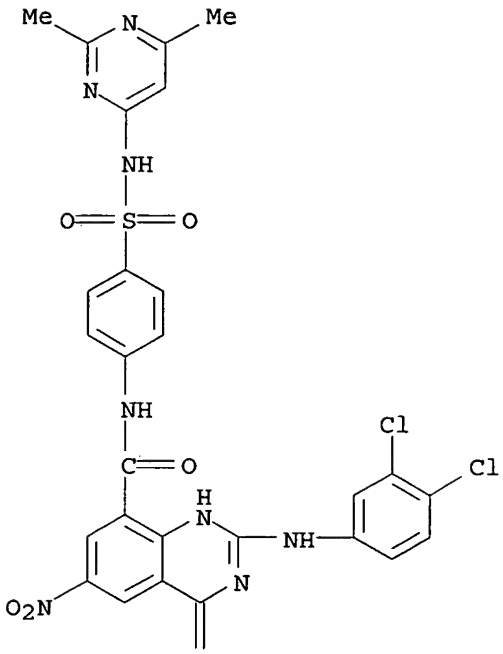
PAGE 1-A



PAGE 2-A

RN 331643-93-7 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[4-[[(2,6-dimethyl-4-pyrimidinyl)amino]sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

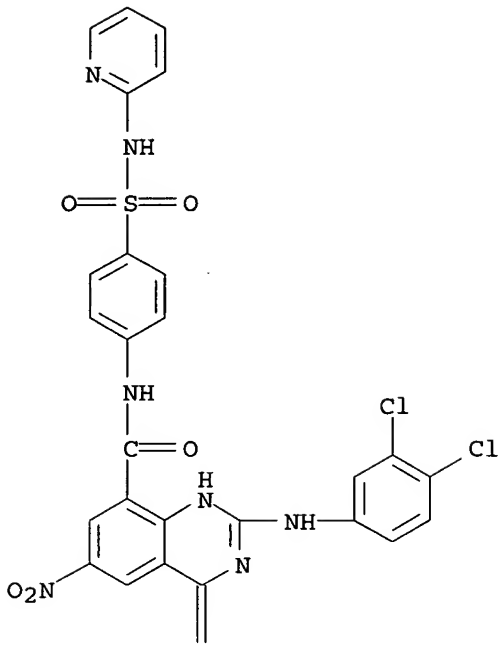
PAGE 1-A



PAGE 2-A

RN 331643-94-8 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[4-[(2-pyridinylamino)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

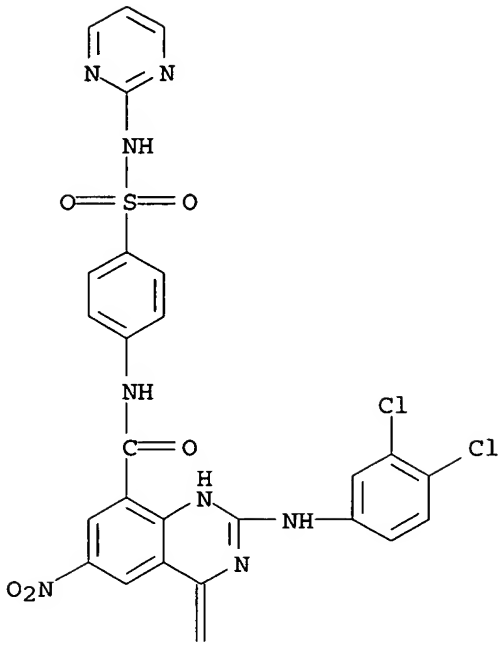


PAGE 2-A

RN 331643-95-9 CAPLUS

CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[4-[(2-pyrimidinylamino)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

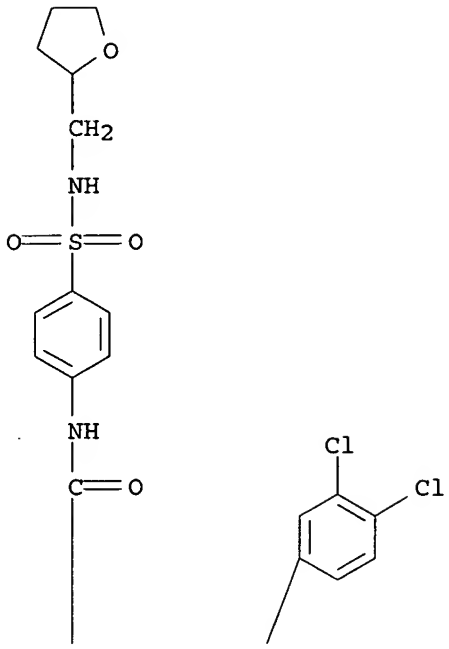
PAGE 1-A



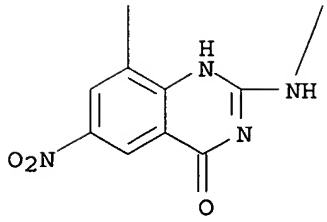
PAGE 2-A

RN 331643-96-0 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[4-[[[(tetrahydro-2-furanyl)methyl]amino]sulfonyl]phenyl]-(9CI) (CA INDEX NAME)

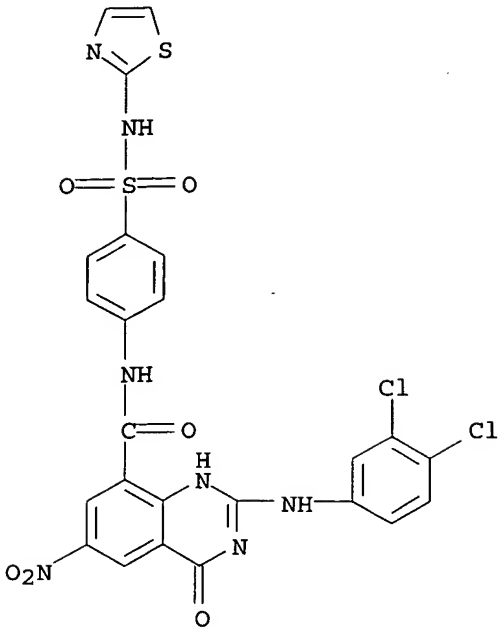
PAGE 1-A



PAGE 2-A

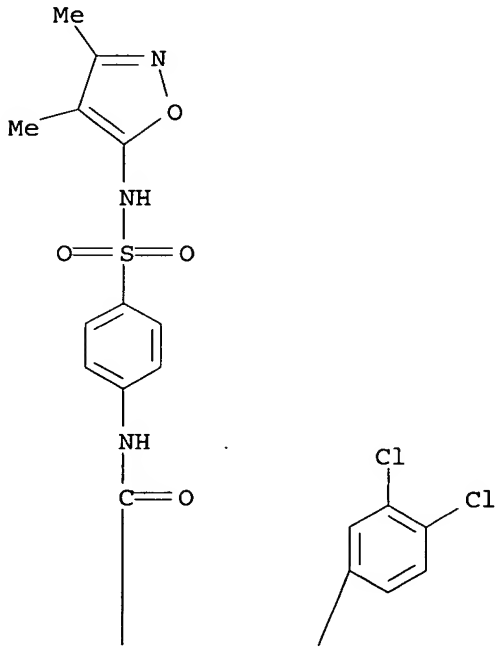


RN 331643-97-1 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[4-[(2-thiazolylamino)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

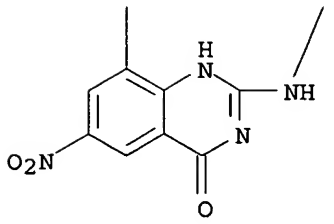


RN 331643-98-2 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[4-[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

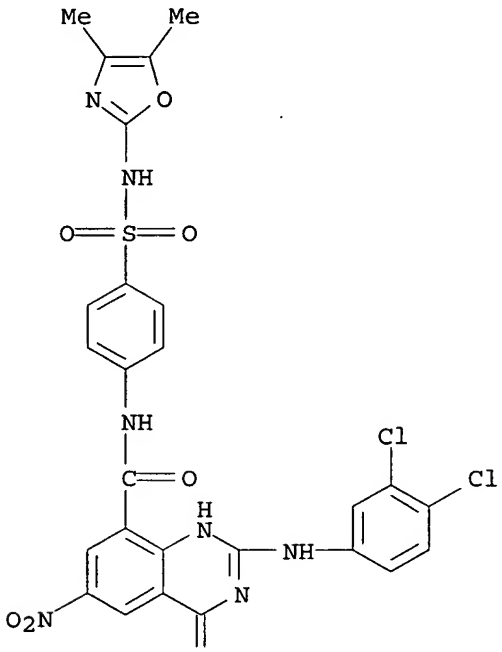


PAGE 2-A



RN 331643-99-3 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[4-[[(4,5-dimethyl-2-oxazolyl)amino]sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

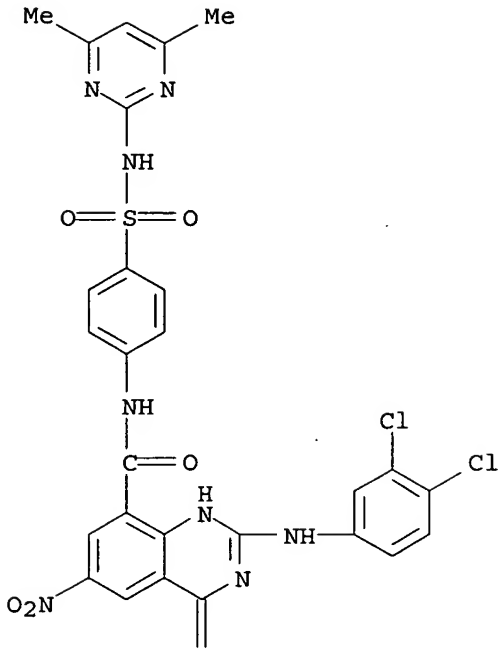
PAGE 1-A



PAGE 2-A

RN 331644-01-0 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[4-[[(4,6-dimethyl-2-pyrimidinyl)amino]sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

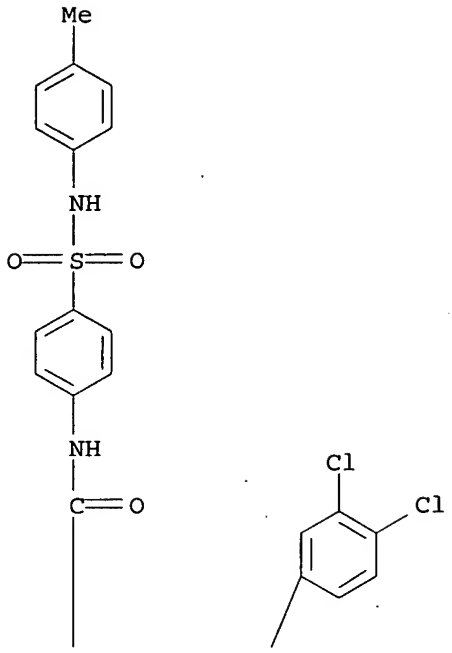
PAGE 1-A



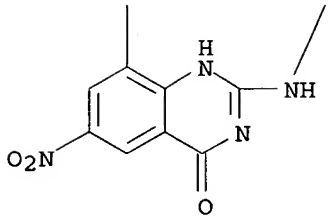
PAGE 2-A

RN 331644-06-5 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[4-[[(4-methylphenyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

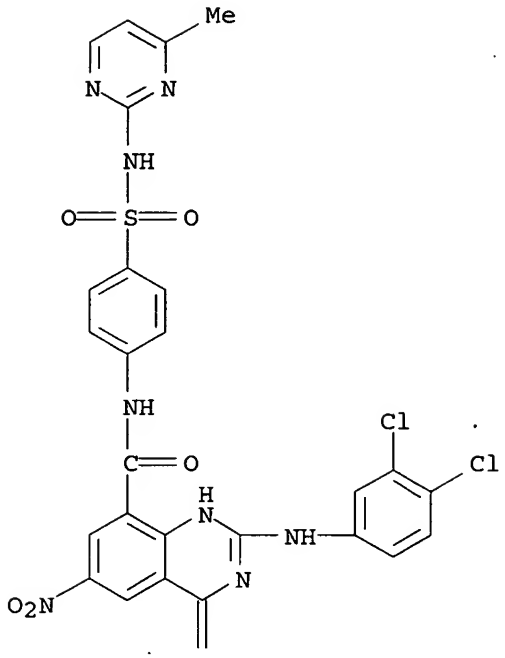


PAGE 2-A



RN 331644-10-1 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[4-[[(4-methyl-2-pyrimidinyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

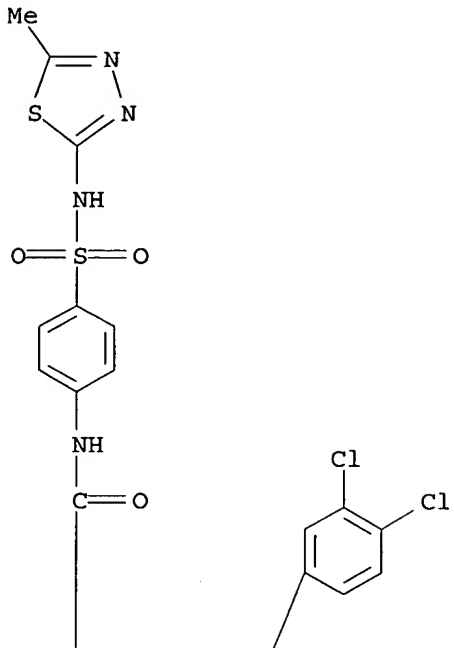
PAGE 1-A



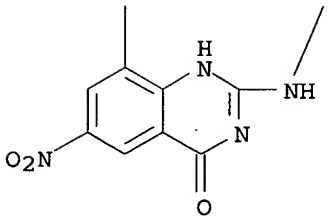
PAGE 2-A

RN 331644-15-6 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[4-[[(5-methyl-1,3,4-thiadiazol-2-yl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

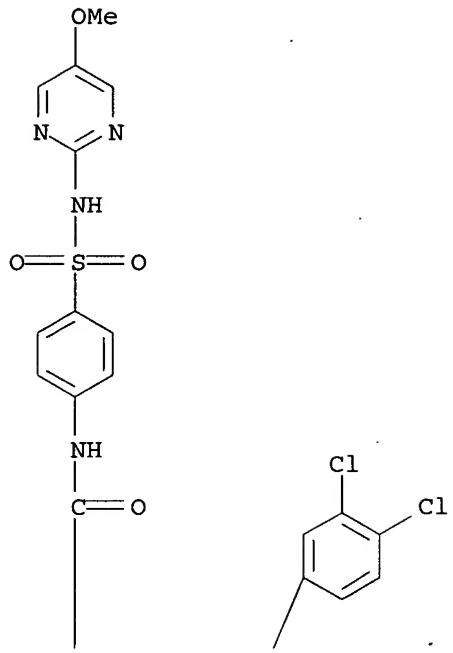


PAGE 2-A

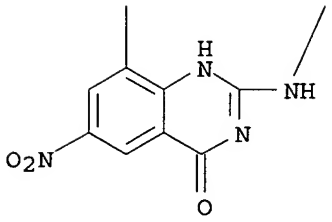


RN 331644-16-7 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[4-[[(5-methoxy-2-pyrimidinyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

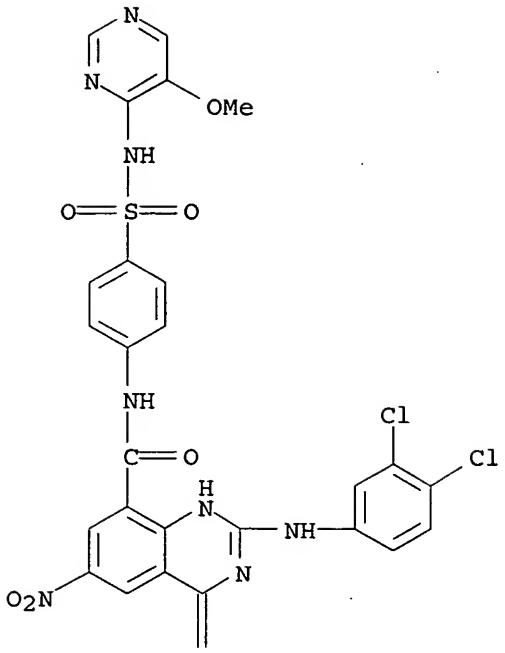


PAGE 2-A



RN 331644-17-8 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[4-[[(5-methoxy-4-pyrimidinyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

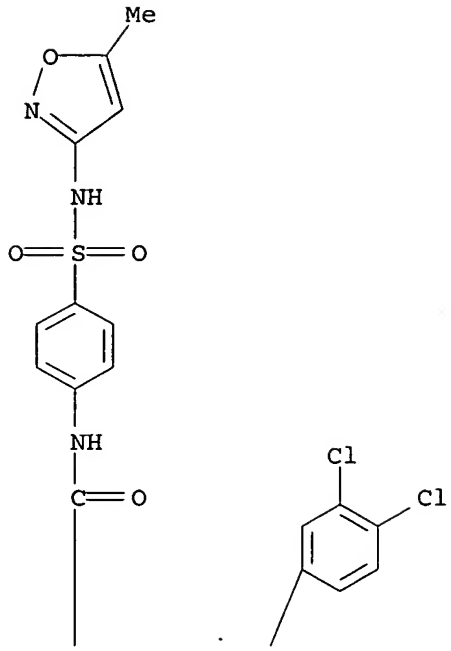
PAGE 1-A



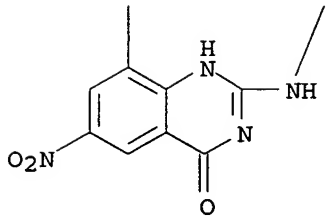
PAGE 2-A

RN 331644-18-9 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[4-[[(5-methyl-3-isoxazolyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

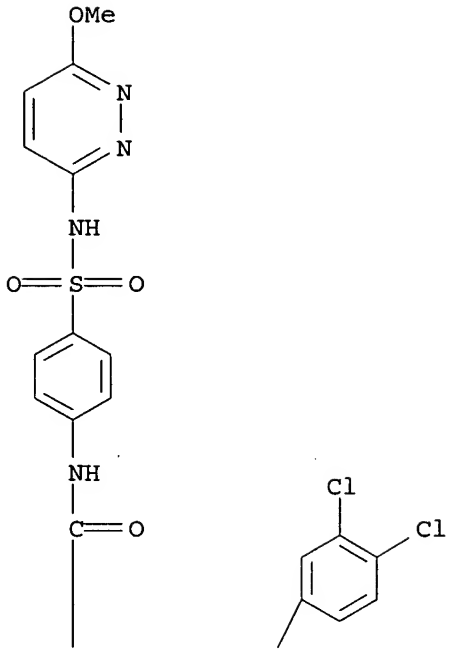


PAGE 2-A

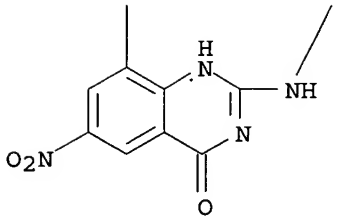


RN 331644-19-0 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[4-[[(6-methoxy-3-pyridazinyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

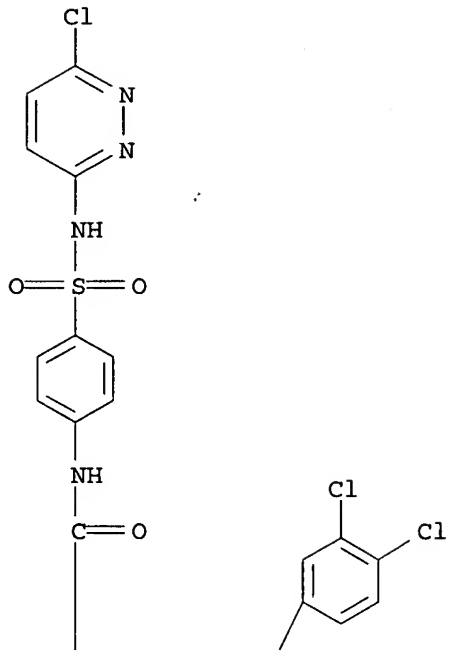


PAGE 2-A

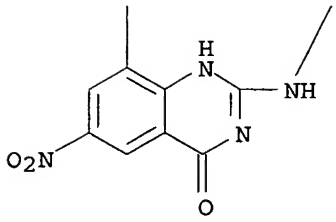


RN 331644-20-3 CAPLUS
CN 8-Quinazolinecarboxamide, N-[4-[[(6-chloro-3-pyridazinyl)amino]sulfonyl]phenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

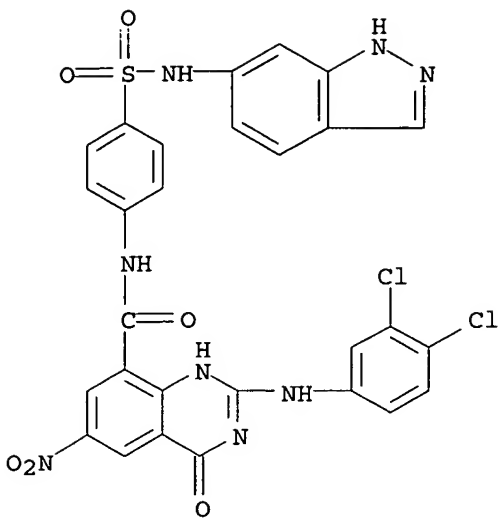
PAGE 1-A



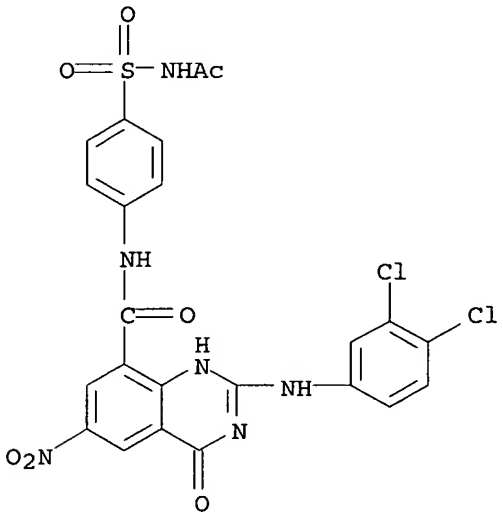
PAGE 2-A



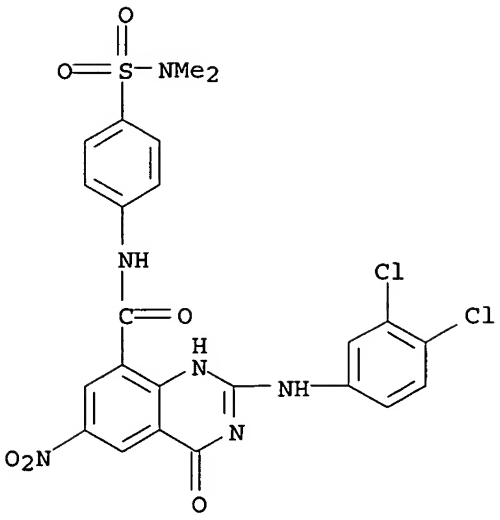
RN 331644-21-4 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[4-[(1H-indazol-6-ylamino)sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



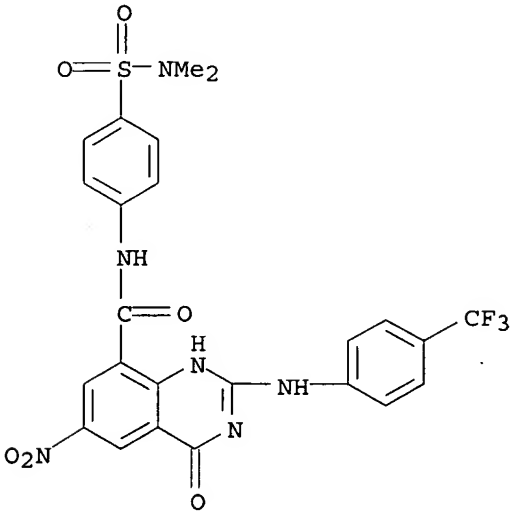
RN 331644-22-5 CAPLUS
CN 8-Quinazolinecarboxamide, N-[4-[(acetylamino)sulfonyl]phenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



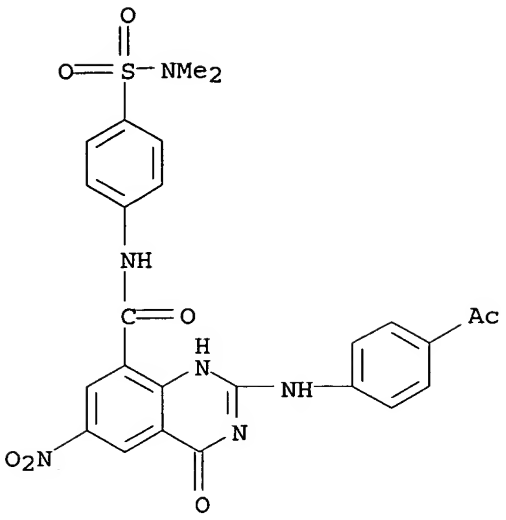
RN 331644-24-7 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[4-[(dimethylamino)sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



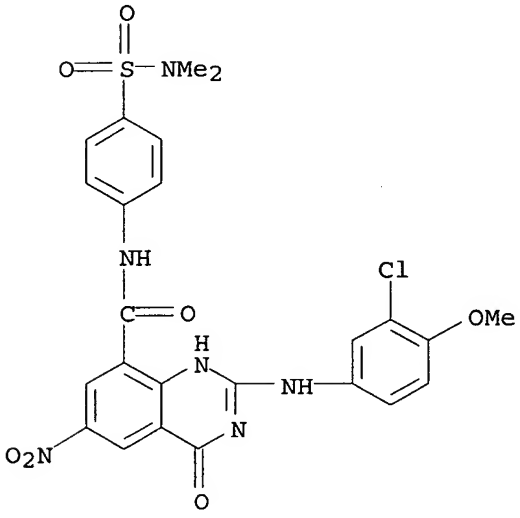
RN 331644-25-8 CAPLUS
CN 8-Quinazolinecarboxamide, N-[4-[(dimethylamino)sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo-2-[[4-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



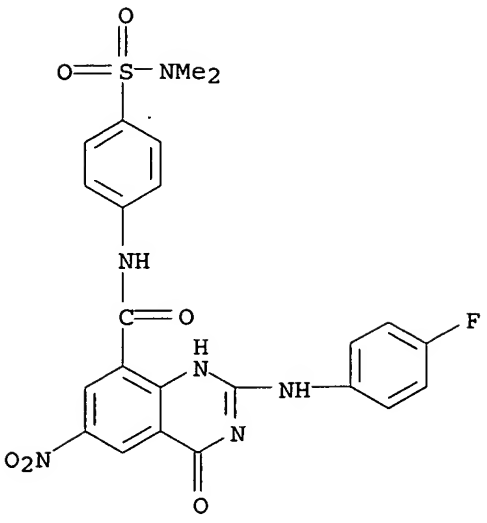
RN 331644-26-9 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(4-acetylphenyl)amino]-N-[4-[(dimethylamino)sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



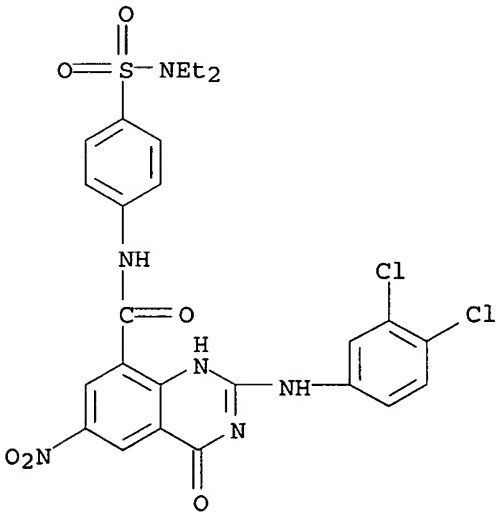
RN 331644-27-0 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3-chloro-4-methoxyphenyl)amino]-N-[4-[(dimethylamino)sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



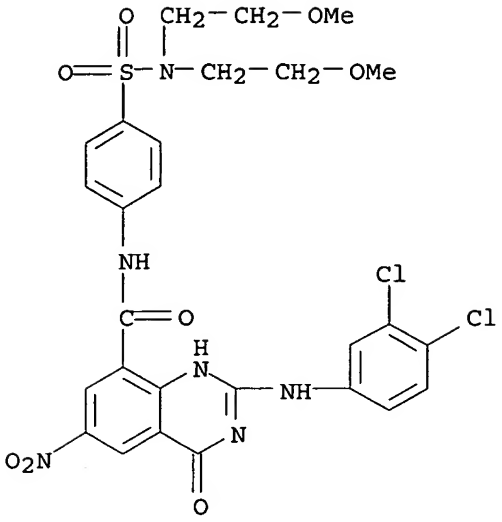
RN 331644-28-1 CAPLUS
CN 8-Quinazolinecarboxamide, N-[4-[(dimethylamino)sulfonyl]phenyl]-2-[(4-fluorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



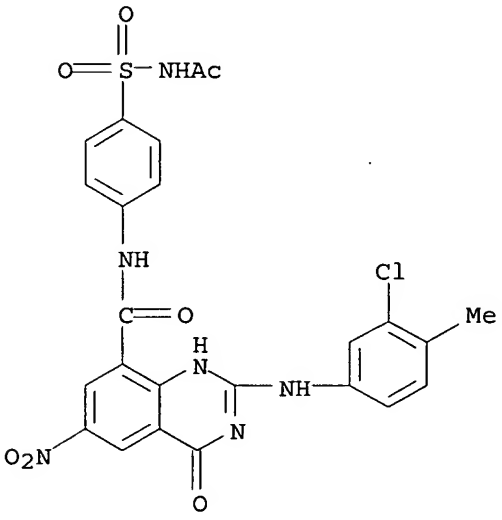
RN 331644-30-5 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[4-[(diethylamino)sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



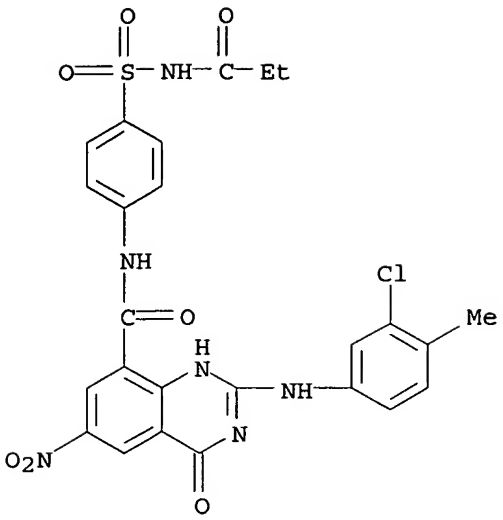
RN 331644-31-6 CAPLUS
CN 8-Quinazolinecarboxamide, N-[4-[[bis(2-methoxyethyl)amino]sulfonyl]phenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



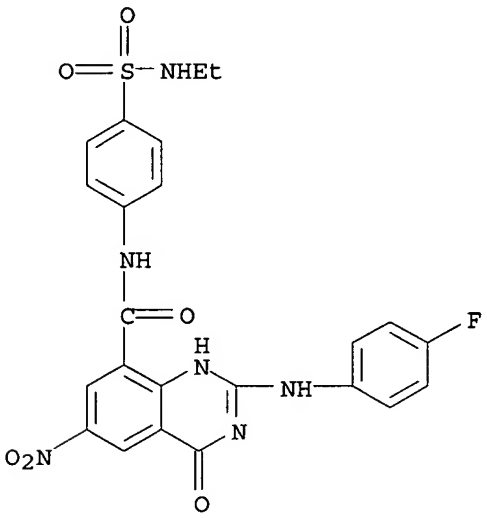
RN 331644-38-3 CAPLUS
CN 8-Quinazolinecarboxamide, N-[4-[(acetylamino)sulfonyl]phenyl]-2-[(3-chloro-4-methylphenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



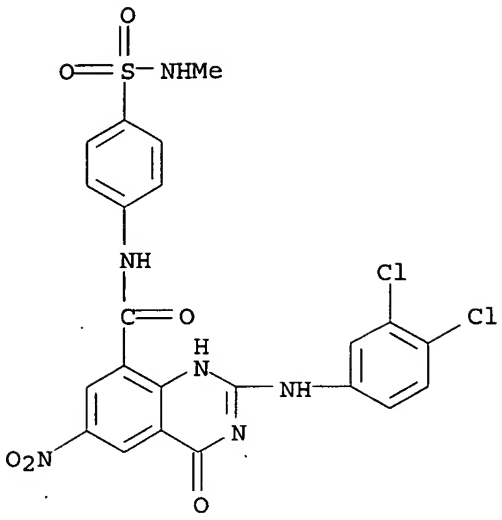
RN 331644-39-4 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3-chloro-4-methylphenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[4-[[(1-oxopropyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



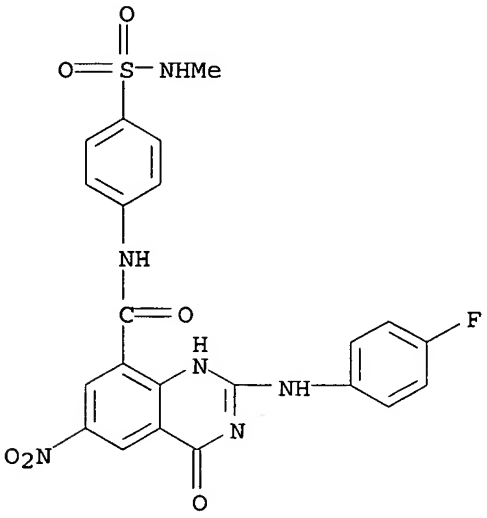
RN 331644-40-7 CAPLUS
CN 8-Quinazolinecarboxamide, N-[4-[(ethylamino)sulfonyl]phenyl]-2-[(4-fluorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



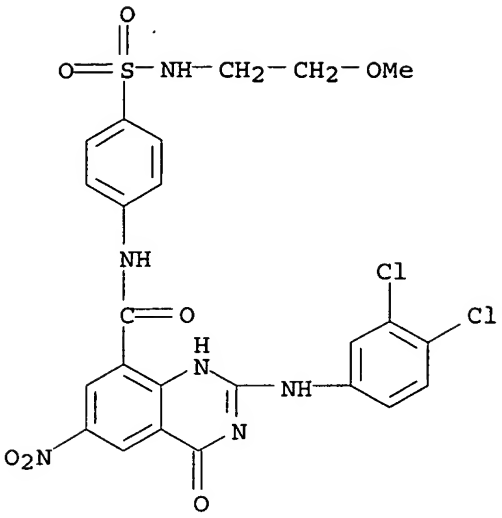
RN 331644-43-0 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[4-[(methylamino)sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



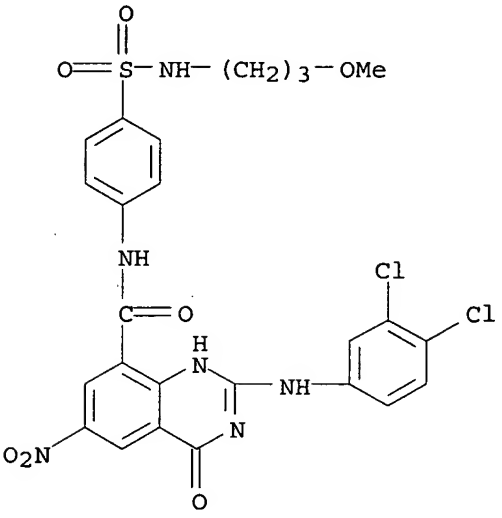
RN 331644-44-1 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(4-fluorophenyl)amino]-1,4-dihydro-N-[4-[(methylamino)sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



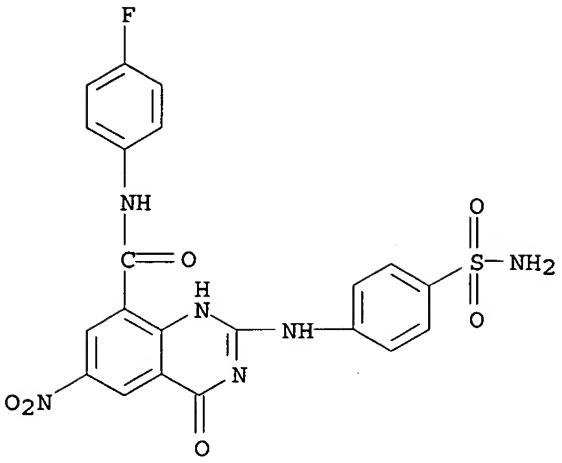
RN 331644-45-2 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[4-[[(2-methoxyethyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



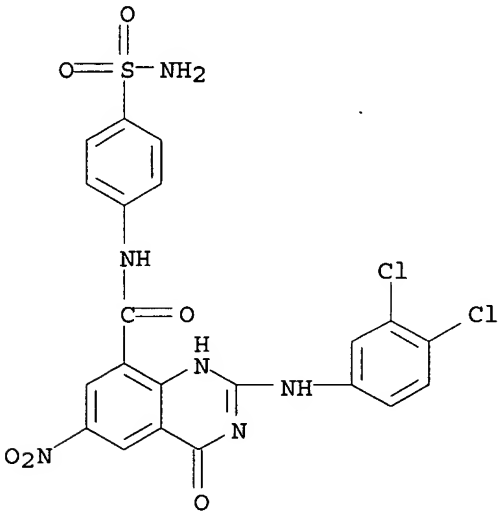
RN 331644-46-3 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[4-[[(3-methoxypropyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



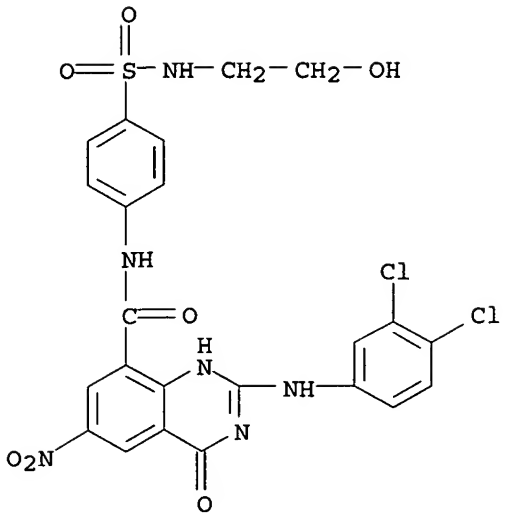
RN 331644-67-8 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[[4-(aminosulfonyl)phenyl]amino]-N-(4-fluorophenyl)-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



RN 331644-77-0 CAPLUS
CN 8-Quinazolinecarboxamide, N-[4-(aminosulfonyl)phenyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

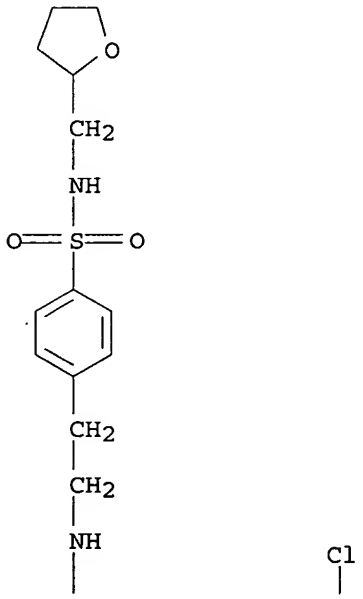


RN 331644-79-2 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[4-[[(2-hydroxyethyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

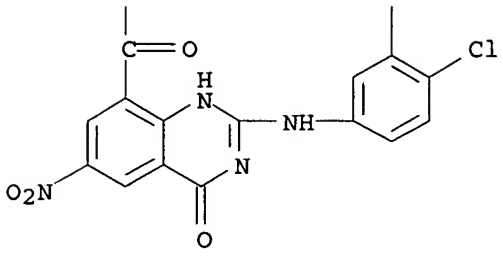


RN 331645-11-5 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[2-[4-[[[(tetrahydro-2-furanyl)methyl]amino]sulfonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)

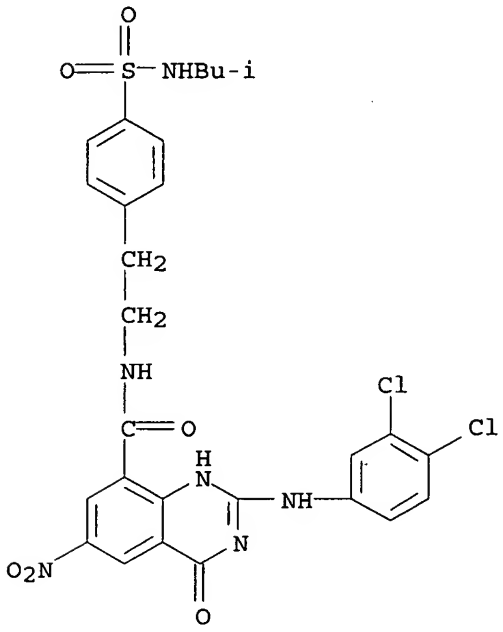
PAGE 1-A



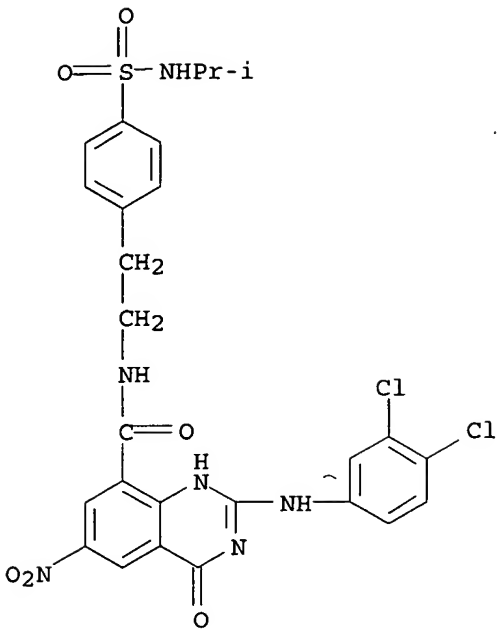
PAGE 2-A



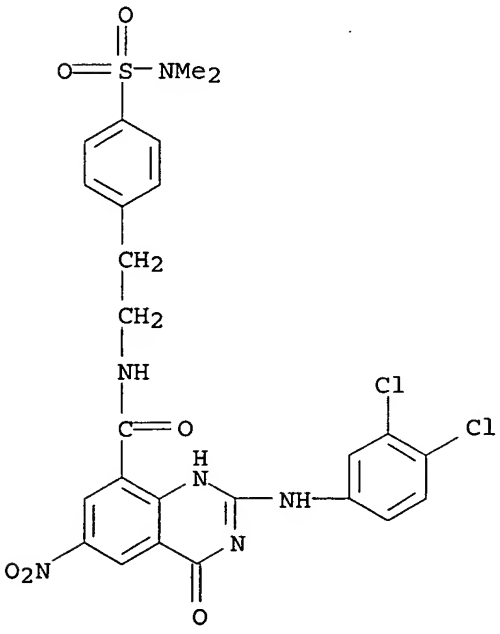
RN 331645-12-6 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[4-[[(2-methylpropyl)amino]sulfonyl]phenyl]ethyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



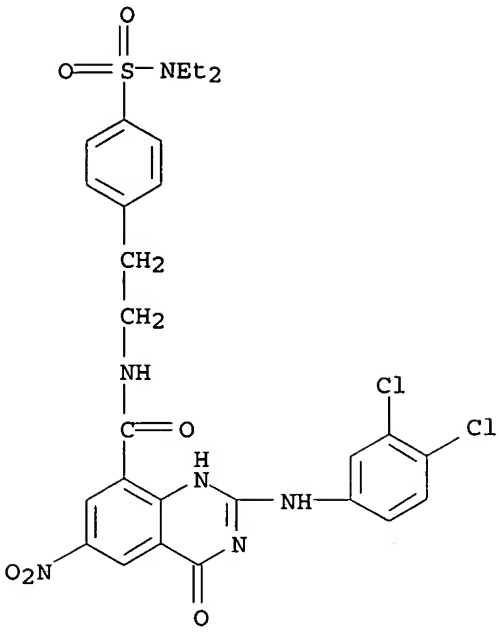
RN 331645-13-7 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[4-[[(1-methylethyl)amino]sulfonyl]phenyl]ethyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



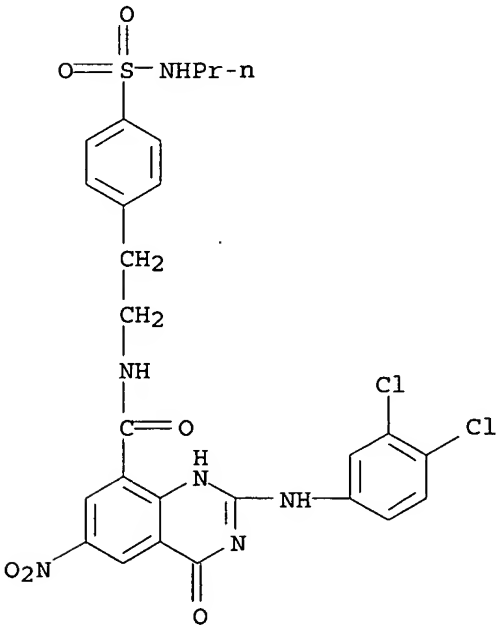
RN 331645-14-8 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[2-[4-[(dimethylamino)sulfonyl]phenyl]ethyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



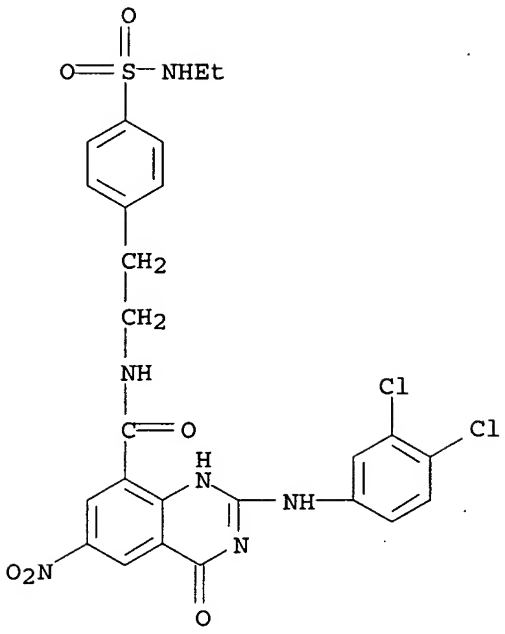
RN 331645-15-9 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[2-[4-[(diethylamino)sulfonyl]phenyl]ethyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



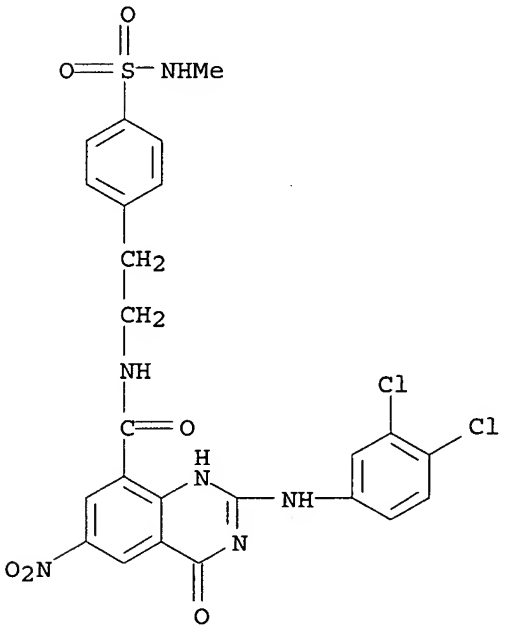
RN 331645-16-0 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[2-[4-[(propylamino)sulfonyl]phenyl]ethyl]- (9CI) (CA INDEX NAME)



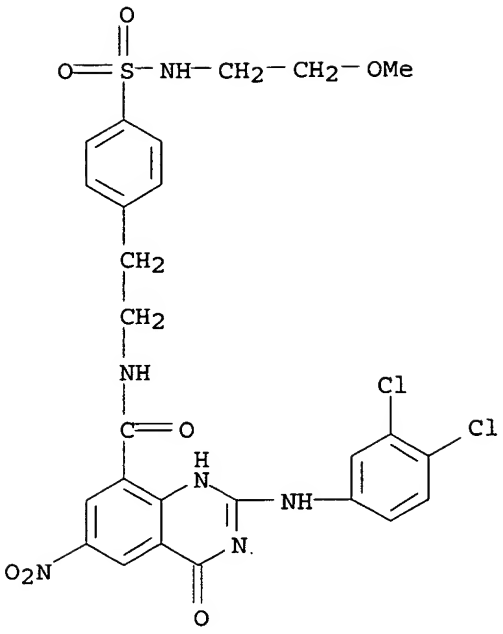
RN 331645-17-1 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[2-[4-[(ethylamino)sulfonyl]phenyl]ethyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



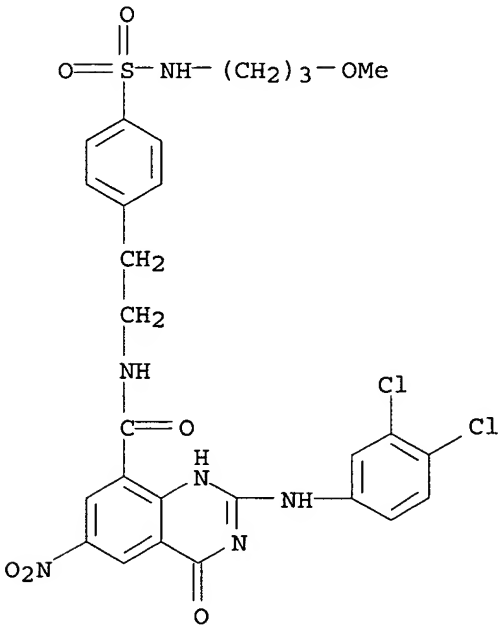
RN 331645-18-2 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[4-[(methylamino)sulfonyl]phenyl]ethyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



RN 331645-19-3 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[4-[[(2-methoxyethyl)amino]sulfonyl]phenyl]ethyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

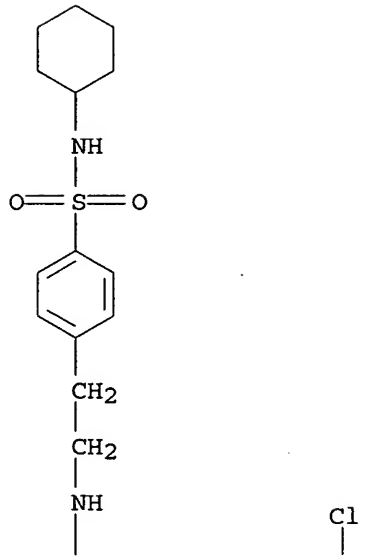


RN 331645-20-6 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[4-[[(3-methoxypropyl)amino]sulfonyl]phenyl]ethyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

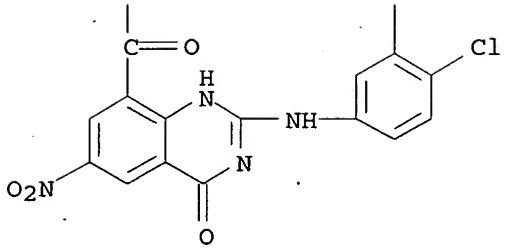


RN 331645-21-7 CAPLUS
CN 8-Quinazolinecarboxamide, N-[2-[4-[(cyclohexylamino)sulfonyl]phenyl]ethyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

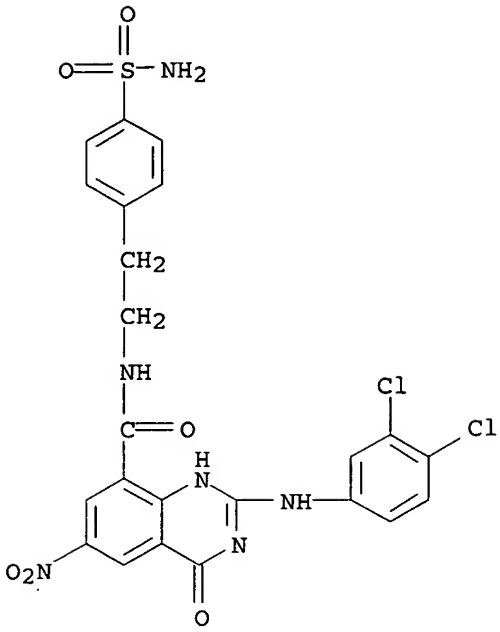
PAGE 1-A



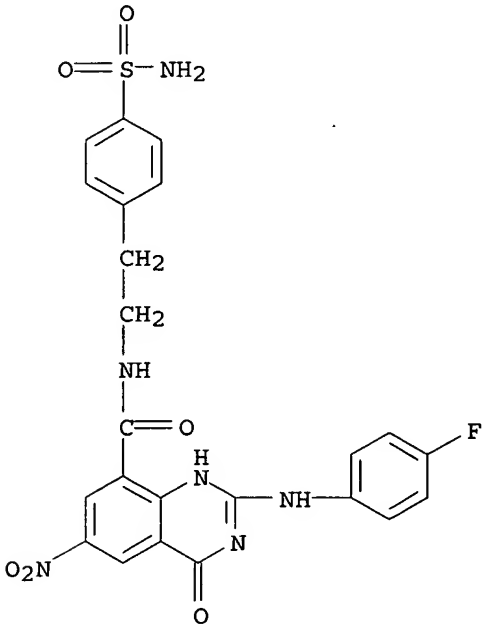
PAGE 2-A



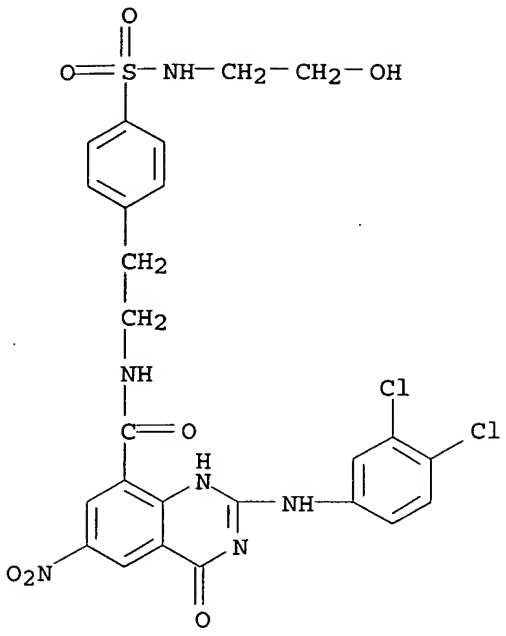
RN 331645-22-8 CAPLUS
CN 8-Quinazolinecarboxamide, N-[2-[4-(aminosulfonyl)phenyl]ethyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



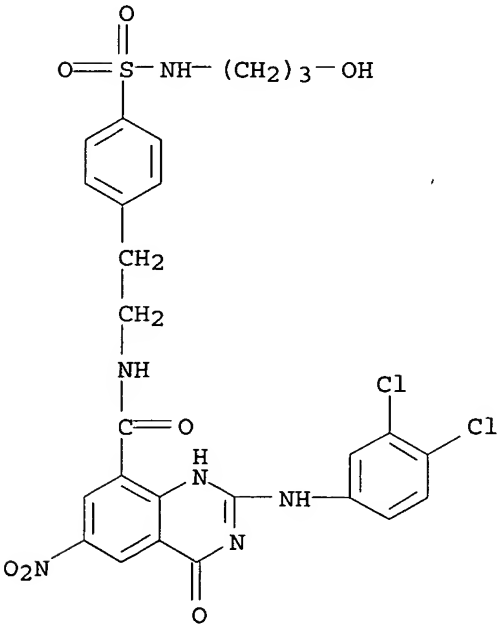
RN 331645-23-9 CAPLUS
CN 8-Quinazolinecarboxamide, N-[2-[4-(aminosulfonyl)phenyl]ethyl]-2-[(4-fluorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



RN 331645-24-0 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[4-[[(2-hydroxyethyl)amino]sulfonyl]phenyl]ethyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

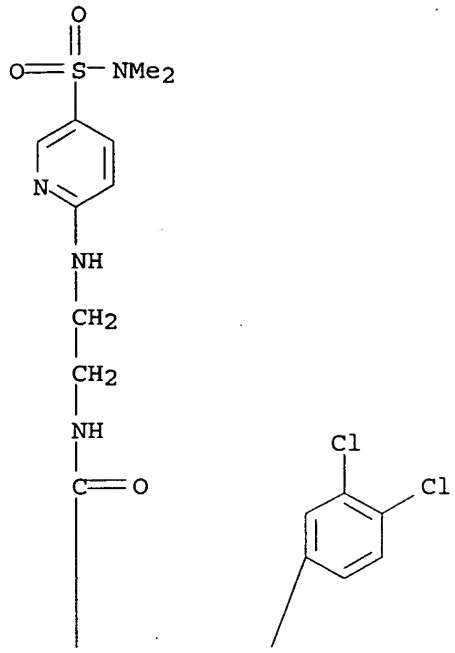


RN 331645-25-1 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[2-[4-[[(3-hydroxypropyl)amino]sulfonyl]phenyl]ethyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

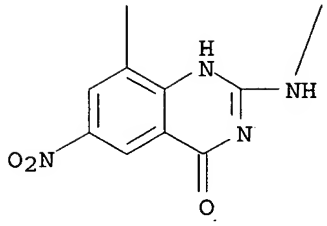


RN 331645-35-3 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[2-[[5-[(dimethylamino)sulfonyl]-2-pyridinyl]amino]ethyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)

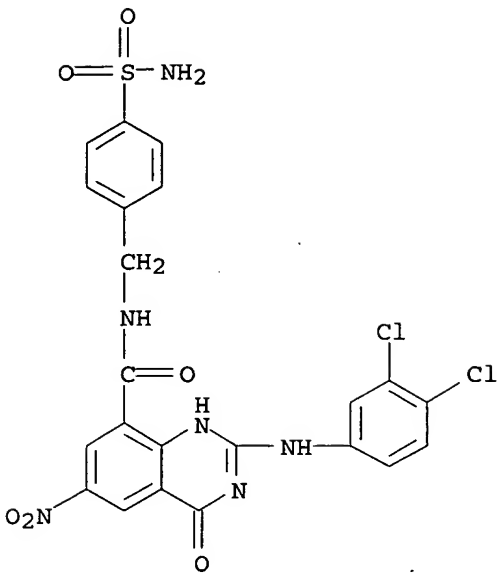
PAGE 1-A



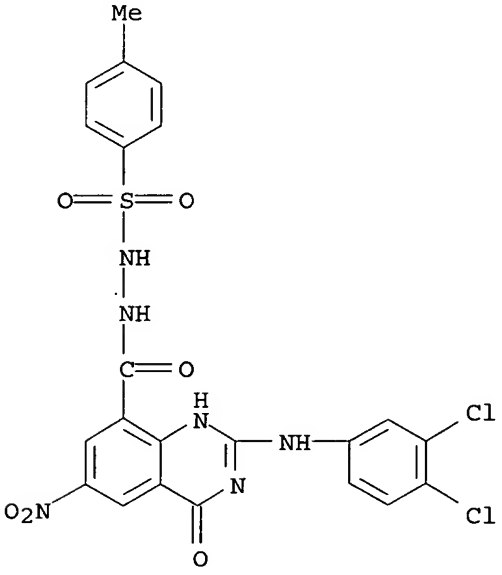
PAGE 2-A



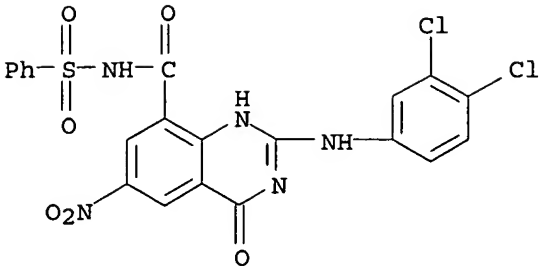
RN 331645-78-4 CAPLUS
CN 8-Quinazolinecarboxamide, N-[[4-(aminosulfonyl)phenyl]methyl]-2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



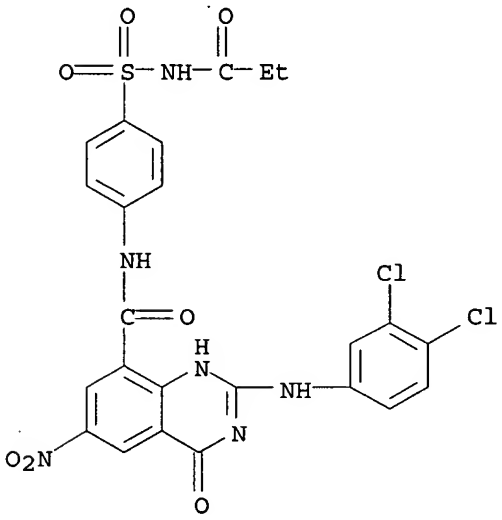
RN 331645-89-7 CAPLUS
CN 8-Quinazolinecarboxylic acid, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-, 2-[(4-methylphenyl)sulfonyl]hydrazide (9CI) (CA INDEX NAME)



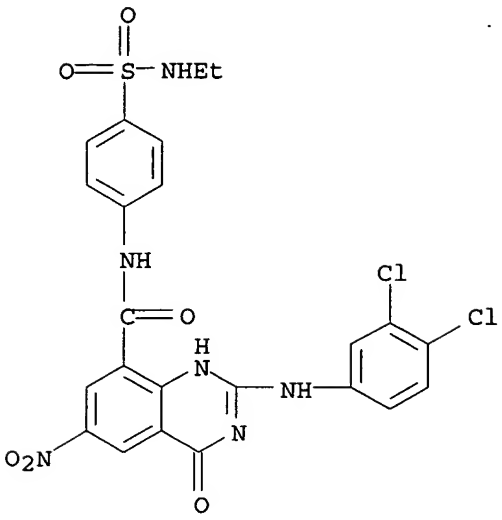
RN 331645-90-0 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



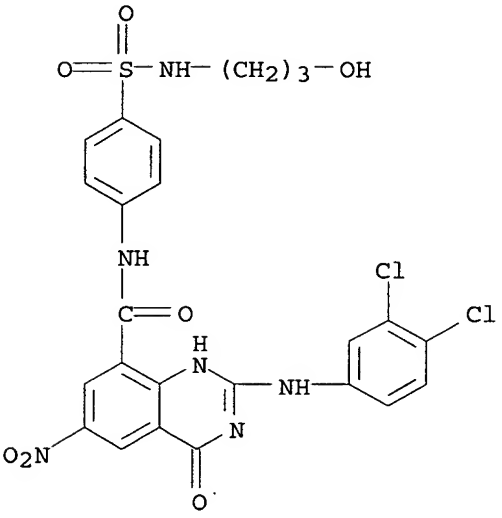
RN 331647-51-9 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-6-nitro-4-oxo-N-[4-[[(1-oxopropyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 331647-53-1 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-N-[4-[(ethylamino)sulfonyl]phenyl]-1,4-dihydro-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



RN 331681-70-0 CAPLUS
CN 8-Quinazolinecarboxamide, 2-[(3,4-dichlorophenyl)amino]-1,4-dihydro-N-[4-[[(3-hydroxypropyl)amino]sulfonyl]phenyl]-6-nitro-4-oxo- (9CI) (CA INDEX NAME)



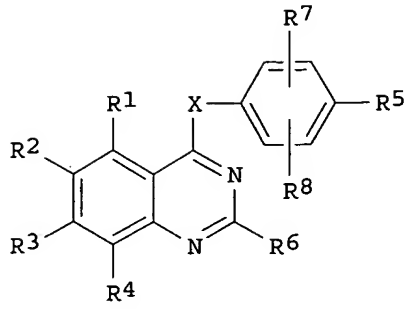
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:228866 CAPLUS
DOCUMENT NUMBER: 134:266317
TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2

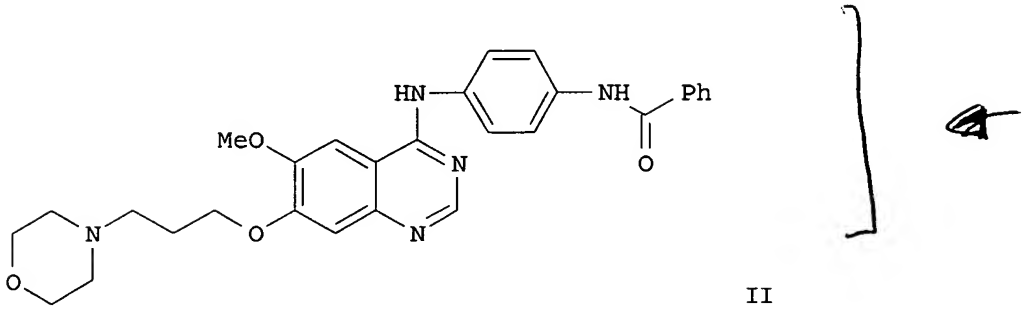
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001021596	A1	20010329	WO 2000-GB3580		20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2384291	AA	20010329	CA 2000-2384291		20000918
BR 2000014116	A	20020521	BR 2000-14116		20000918
EP 1218354	A1	20020703	EP 2000-960840		20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003509499	T2	20030311	JP 2001-524975		20000918
EE 200200119	A	20030415	EE 2002-119		20000918
HU 200300059	A2	20030728	HU 2003-59		20000918
BG 106492	A	20030131	BG 2002-106492		20020307
ZA 2002002234	A	20030619	ZA 2002-2234		20020319
NO 2002001399	A	20020430	NO 2002-1399		20020320
PRIORITY APPLN. INFO.:			GB 1999-22154	A	19990921
			GB 1999-22170	A	19990921
			WO 2000-GB3580	W	20000918

OTHER SOURCE(S): MARPAT 134:266317
ED Entered STN: 30 Mar 2001
GI



I



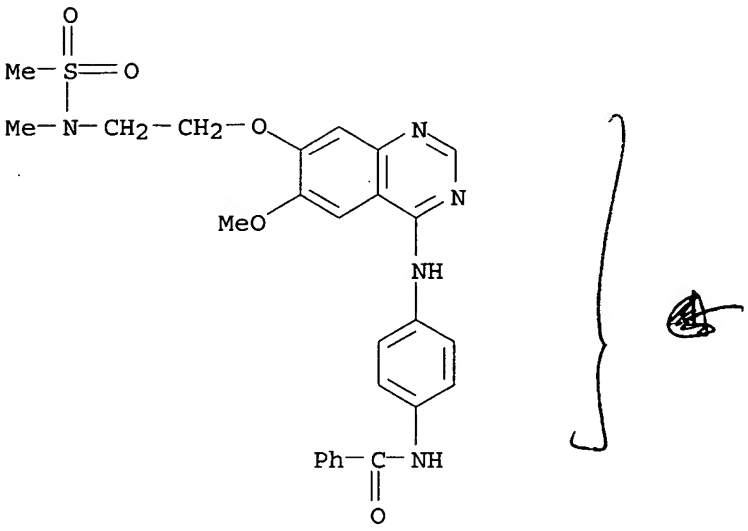
II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR12; R12 = H or alkyl; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R13, or R15X1; R13 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, CO2, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R15 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R5 = NHCO2R9, NHCOR9, NHSO2R9, COR9, CO2R9, SOR9, SO2OR9, CONR10R11, SONR10R11, or SO2NR10R11; R9-R11 = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R10 and R11 together with the N to which they are attached = (un)substituted heterocyclyl; R6 = H or (un)substituted hydrocarbyl or heterocyclyl; R7 and R8 = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF3, CN, NHY2, alkenyl, alkynyl, or (un)substituted Ph, PhCH2, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the quinazoline(68%), (6) chlorination to give 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.0193 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06 μM and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209 μM.

IT **331773-41-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

RN 331773-41-2 CAPLUS

CN Benzamide, N-[4-[[6-methoxy-7-[2-[methyl(methylsulfonyl)amino]ethoxy]-4-quinazolinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

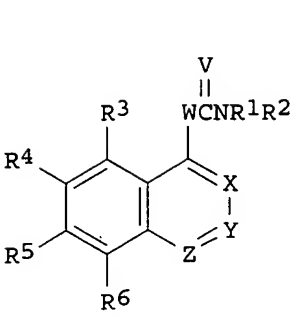
L24 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:10086 CAPLUS
DOCUMENT NUMBER: 134:86277
TITLE: 1,3-Diazines with platelet-derived growth factor receptor inhibitory activity
INVENTOR(S): Matsuno, Kenji; Ichimura, Michio; Nomoto, Yuji; Fujiwara, Shigeki; Ide, Shinichi; Tsukuda, Eiji; Irie, Junko; Oda, Shoji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: U.S., 127 pp., Cont.-in-part of PCT 9814431.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6169088	B1	20010102	US 1998-88199	19980601
WO 9814431	A1	19980409	WO 1997-JP3510	19971001
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6207667	B1	20010327	US 2000-481544	20000112
US 2002068734	A1	20020606	US 2000-734918	20001213
US 6472391	B2	20021029		

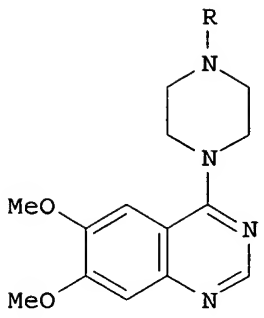
PRIORITY APPLN. INFO.:
JP 1996-260743 A 19960110
WO 1997-JP3510 A2 19971001
US 1998-88199 A3 19980601
US 2000-481544 A3 20000112

OTHER SOURCE(S): MARPAT 134:86277
ED Entered STN: 04 Jan 2001

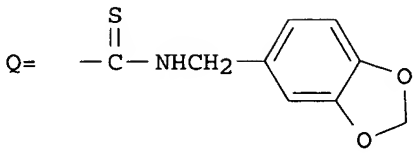
GI



I



II



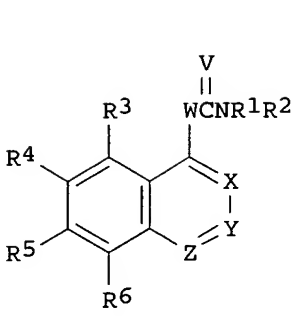
AB 1,3-Diazines and related N heterocycles [I; wherein V = O or S; W = 1,4-piperazinediyl or 1,4-homopiperazinediyl which may be substituted with unsubstituted alkyl on the ring; X = N or CR9; Y = N or CR8; Z = N or CR7, with at least one of X, Y and Z being N; R1 = H, (un)substituted alkyl, cycloalkyl, aryl, heterocyclyl, etc.; R2 = substituted alkyl, (un)substituted cycloalkyl, aryl, heterocyclyl, etc.; R3, R4, R5, R6 = H, halo, (un)substituted alkyl, NO2, cyano, (un)substituted OH or NH2, etc.; R7, R8 = R1 groups, halo, etc.; R9 = H, CO2H or derivs.] and their pharmacol. acceptable salts are prepared These compds. inhibit the phosphorylation of PDGF receptors and the abnormal proliferation or migration of cells, and so are effective in preventing or treating cell proliferative diseases such as arteriosclerosis, vascular reocclusion diseases, cancer, and glomerulosclerosis. Thus, 6,7-dimethoxy-4-(1-piperazinyl)quinazoline reacted with Ph isocyanate in refluxing EtOH to give invention compound II [R = CONHPh] in 44% isolated yield. The analog II [R = Q] showed an IC50 of 0.03 μM for inhibiting the phosphorylation of PDGF receptor in vitro. Pharmaceutical formulations, e.g. tablets containing II [R = N-(p-nitrophenyl)carbamoyl], were prepared

IT **205259-17-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-diazines with platelet-derived growth factor receptor inhibitory activity)

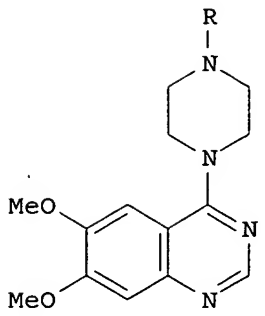
RN 205259-17-2 CAPLUS

CN 1-Piperazinecarbothioamide, 4-[7-(ethylamino)-6-[(methylsulfonyl)amino]-4-quinazolinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

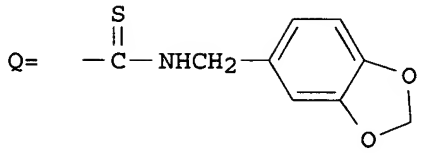
GI



I



II

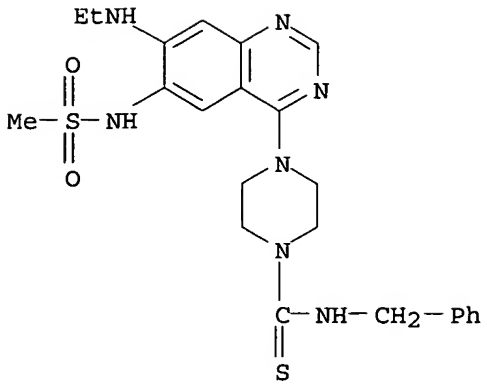


AB Nitrogenous heterocyclic compds. of general formula [I; wherein V is oxygen or sulfur; W is 1,4-piperazinediyl or 1,4-homopiperazinediyl which may be substituted with unsubstituted alkyl on the ring; X is nitrogen or C-R9; Y is nitrogen or C-R8; Z is nitrogen or C-R7, with at least one of X, Y and Z being nitrogen; R1 is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl or the like; R2 is substituted alkyl, substituted or unsubstituted cycloalkyl or the like; R3, R4, R5 and R6 are each independently hydrogen, halogeno, substituted or unsubstituted alkyl, nitro, cyano, (un)substituted OH or NH2 or the like; R7, R8 = R1, halogeno or the like; R9 is hydrogen or acyl] and pharmacol. acceptable salts thereof are prepared These compds. inhibit the phosphorylation of PDGF acceptors and the abnormal proliferation or migration of cells and so are effective in preventing or treating cell proliferative diseases such as arterial sclerosis, vascular reocclusion diseases, cancer, and glomerulosclerosis. Thus, 6,7-dimethoxy-4-piperazinylquinazoline was dissolved in ethanol, followed by adding Ph isocyanate, and the resulting mixture was heated at reflux for 10 min to give 4(4-quinazolinyl)piperazine derivative (II; R = CONHPh). II (R = Q) in vitro showed IC50 of 0.03 μM for inhibiting the phosphorylation of PDGF receptor. Pharmaceutical formulations, e.g. tablet containing II (R = N-p-nitrophenylcarbamoyl), were prepared

IT **205259-17-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrogenous heterocyclic compds. inhibiting phosphorylation of platelet-derived growth factors (PDGF) receptors)

RN 205259-17-2 CAPLUS

CN 1-Piperazinecarbothioamide, 4-[7-(ethylamino)-6-[(methylsulfonyl)amino]-4-quinazolinyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:219675 CAPLUS
DOCUMENT NUMBER: 128:257441
TITLE: Preparation of quinazoline derivatives and pharmaceutical compositions containing them
INVENTOR(S): Thomas, Andrew Peter; Hennequin, Laurent Francois Andre; Johnstone, Craig; Stokes, Elaine Sophie Elizabeth; Lohmann, Jean Jacques Marcel; Clayton, Edward
PATENT ASSIGNEE(S): Zeneca Ltd., UK; Zeneca Pharma S.A.; Thomas, Andrew Peter; Hennequin, Laurent Francois Andre; Johnstone, Craig; Stokes, Elaine Sophie Elizabeth; Lohmann, Jean Jacques Marcel; Clayton, Edward
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

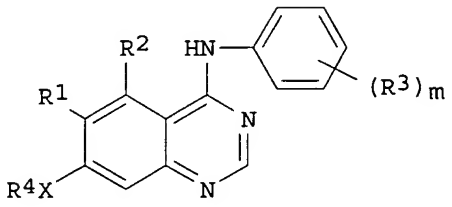
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9813354	A1	19980402	WO 1997-GB2588	19970923
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9708553	A	19980325	ZA 1997-8553	19970923
CA 2263319	AA	19980402	CA 1997-2263319	19970923
CA 2263319	C	20040323		
AU 9745613	A1	19980417	AU 1997-45613	19970923
AU 729968	B2	20010215		
EP 929530	A1	19990721	EP 1997-943954	19970923
EP 929530	B1	20021120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

BR 9711302	A	19990817	BR 1997-11302		19970923
CN 1231662	A	19991013	CN 1997-198133		19970923
HU 9902850	A2	20000428	HU 1999-2850		19970923
NZ 334014	A	20001027	NZ 1997-334014		19970923
JP 2001500891	T2	20010123	JP 1998-515387		19970923
JP 3438818	B2	20030818			
IL 129038	A1	20021110	IL 1997-129038		19970923
AT 228114	E	20021215	AT 1997-943954		19970923
RU 2198879	C2	20030220	RU 1999-108663		19970923
SK 283175	B6	20030304	SK 1999-389		19970923
PT 929530	T	20030331	PT 1997-943954		19970923
ES 2185999	T3	20030501	ES 1997-943954		19970923
JP 2003238539	A2	20030827	JP 2003-79216		19970923
PL 190326	B1	20051130	PL 1997-332385		19970923
DE 69717294	C5	20060209	DE 1997-69717294		19970923
CZ 296962	B6	20060816	CZ 1999-1039		19970923
TW 520364	B	20030211	TW 1997-86113896		19970924
NO 9901422	A	19990324	NO 1999-1422		19990324
NO 313138	B1	20020819			
KR 2000048572	A	20000725	KR 1999-702499		19990324
US 6414148	B1	20020702	US 1999-269595		19990325
HK 1019332	A1	20030905	HK 1999-104114		19990922
US 2002173646	A1	20021121	US 2002-80716		20020225
US 6673803	B2	20040106			
JP 2004002406	A2	20040108	JP 2003-120734		20030320
US 2004242574	A1	20041202	US 2003-698388		20031103
US 6897210	B2	20050524			
US 2005239777	A1	20051027	US 2004-24840		20041230

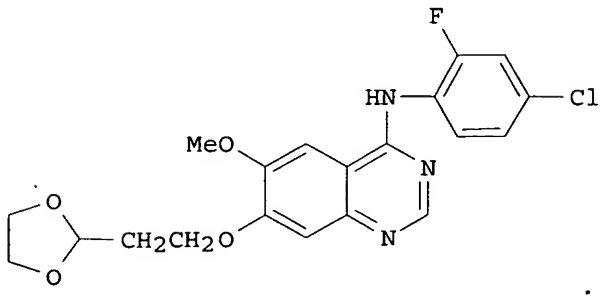
PRIORITY APPLN. INFO.:

EP 1996-402033	A	19960925
EP 1997-401042	A	19970509
JP 1998-515387	A3	19970923
WO 1997-GB2588	W	19970923
US 1999-269595	A3	19990325
US 2002-80716	A1	20020225
US 2003-698388	A1	20031103

OTHER SOURCE(S): MARPAT 128:257441
ED Entered STN: 18 Apr 1998
GI



I



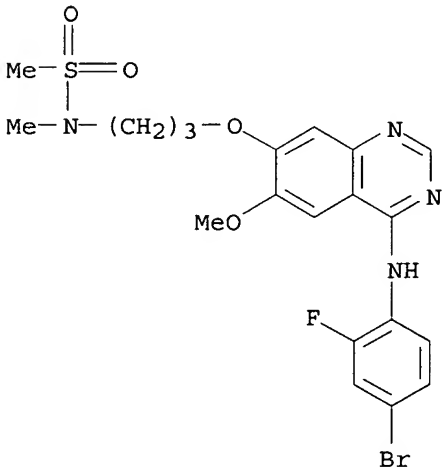
II

AB Quinazoline derivs. of formula I [R1 = H, OH, halo, NO2, alkyl, etc.; R2 = H, OH, halo, OMe, NH2, NO2; R3 = OH, halo, alkyl, alkoxy, acyloxy, CF3, CN, NH2, NO2; m = 1-2; X = O, CH2, S, SO, SO2, etc.; R4 = heterocyclo-alkyl, cycloalkyl, etc.] are prepared These compds. and the pharmaceutically acceptable salts thereof inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis. Thus, 2-(2-bromoethyl)-1,3-dioxolane is added to 4-(4-chloro-2-fluoroanilino)-7-hydroxy-6-methoxyquinazoline (preparation given) to give II. Pharmaceutical compns. containing I are described.

IT **205193-79-9P 205193-89-1P 205194-40-7P 205194-47-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivs. as antitumor, antiangiogenic and antiarthritic agents)

RN 205193-79-9 CAPLUS

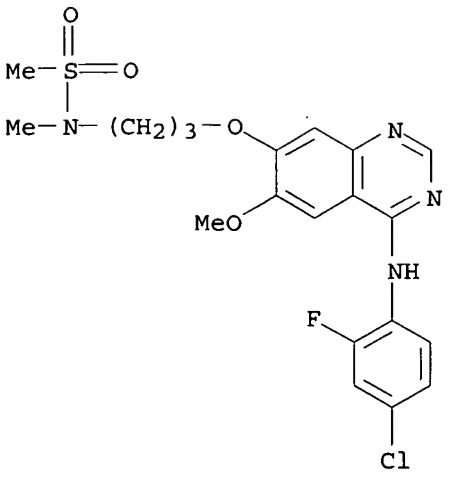
CN Methanesulfonamide, N-[3-[[4-[(4-bromo-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]propyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

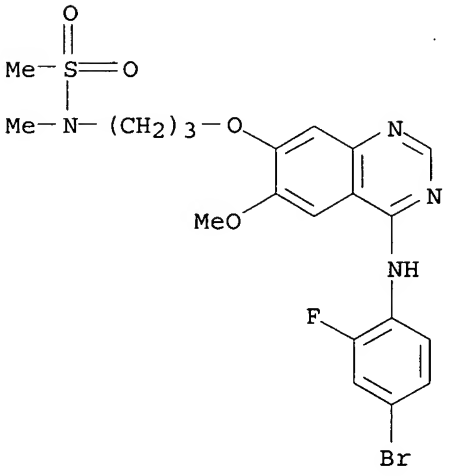
RN 205193-89-1 CAPLUS

CN Methanesulfonamide, N-[3-[[4-[(4-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]propyl]-N-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

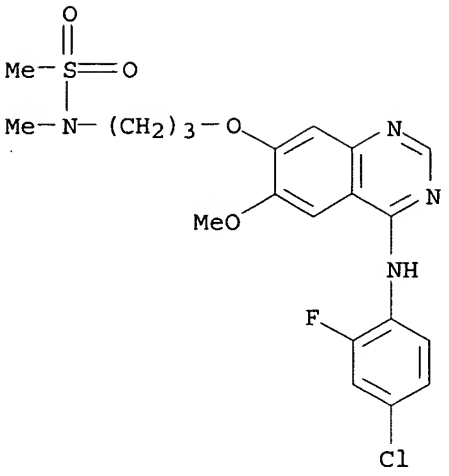


● HCl

RN 205194-40-7 CAPLUS
CN Methanesulfonamide, N-[3-[[4-[(4-bromo-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]propyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 205194-47-4 CAPLUS
CN Methanesulfonamide, N-[3-[[4-[(4-chloro-2-fluorophenyl)amino]-6-methoxy-7-quinazolinyl]oxy]propyl]-N-methyl- (9CI) (CA INDEX NAME)

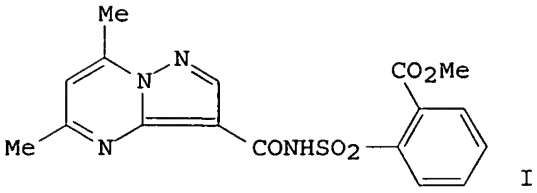


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:7508 CAPLUS
DOCUMENT NUMBER: 112:7508
TITLE: Heterocyclic acyl sulfonamides useful as herbicides and plant growth regulants, and their compositions and use
INVENTOR(S): Tseng, Chi Ping
PATENT ASSIGNEE(S): du Pont de Nemours, E. I., and Co., USA
SOURCE: U.S., 117 pp. Cont.-in-part of U.S. Ser. No. 22,949, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4838925	A	19890613	US 1987-101314	19870925
CA 1273336	A1	19900828	CA 1987-535404	19870423
DK 8702085	A	19871026	DK 1987-2085	19870424
AU 8771955	A1	19871029	AU 1987-71955	19870424
JP 63022077	A2	19880129	JP 1987-101171	19870425
ZA 8702980	A	19881228	ZA 1987-2980	19870427
US 4908056	A	19900313	US 1989-311897	19890217

PRIORITY APPLN. INFO.: US 1986-856511 A2 19860425
US 1986-892062 A2 19860801
US 1987-22949 A2 19870317
US 1987-22279 B2 19870317
US 1987-101314 A3 19870925
OTHER SOURCE(S): CASREACT 112:7508; MARPAT 112:7508
ED Entered STN: 06 Jan 1990
GI



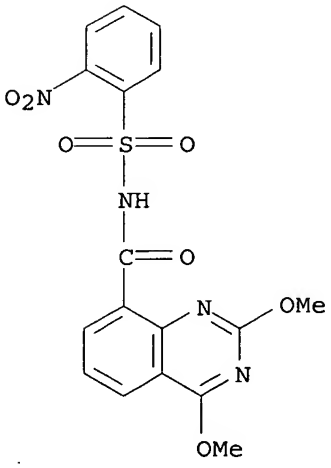
I

AB Sulfonamides LSO2NRC(:W)A and derivs. LSO2N:C(G)A [R = H, (halo)alkyl, (halo)thioalkyl, allyl, propargyl, alkanoyl, CO2Me, CO2Et, (un)substituted PhCH2; G = Cl, (halo)alkoxy, (halo)alkylthio; W = O, S, NH or NOH optionally substituted by (halo)alkyl; L = various (un)substituted aryl and heteroaryl nuclei; A = various fused bi- and tri-cyclic aromatic heterocycles containing ≥1 N atom and possibly O or S; numerous provisos], useful as herbicides and plant growth regulants, are prepared Thus, condensation of 5,7-dimethylpyrazolo[1,5-a]pyrimidine-3-carboxylic acid with 2-(H2NSO2)C6H4CO2Me via the acid chloride (SOCl2, pyridine, CH2Cl2) in CH2Cl2 containing Et3N gave Me [[(dimethylpyrazolopyrimidine)carbonylamino]sulfonyl]benzoate I. At 0.4 kg/ha postemergence, I completely killed 5 weeds including Xanthium pensylvanicum and Bromus secalinus. Over 130 compds. were prepared and over 80 were tested pre- and postemergence.

IT **124166-95-6P 124166-96-7P 124166-97-8P**
124183-31-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as herbicide and plant growth regulant)

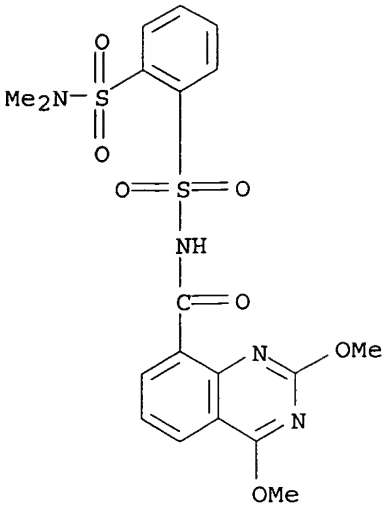
RN 124166-95-6 CAPLUS

CN 8-Quinazolinecarboxamide, 2,4-dimethoxy-N-[(2-nitrophenyl)sulfonyl]- (9CI) (CA INDEX NAME)

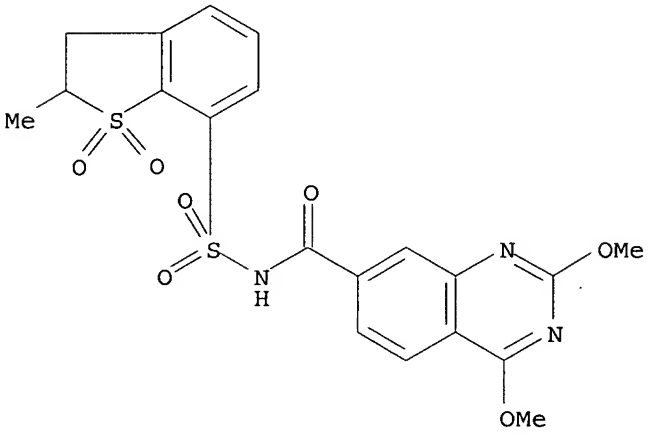


RN 124166-96-7 CAPLUS

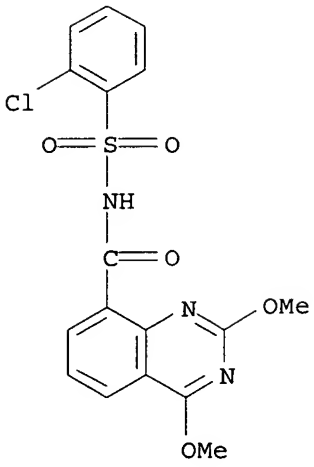
CN 8-Quinazolinecarboxamide, N-[[2-[(dimethylamino)sulfonyl]phenyl]sulfonyl]-2,4-dimethoxy- (9CI) (CA INDEX NAME)



RN 124166-97-8 CAPLUS
CN 7-Quinazolinecarboxamide, N-[(2,3-dihydro-2-methyl-1,1-dioxidobenzo[b]thien-7-yl)sulfonyl]-2,4-dimethoxy- (9CI) (CA INDEX NAME)



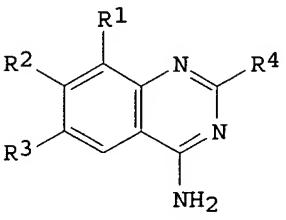
RN 124183-31-9 CAPLUS
CN 8-Quinazolinecarboxamide, N-[(2-chlorophenyl)sulfonyl]-2,4-dimethoxy- (9CI) (CA INDEX NAME)



L24 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:636731 CAPLUS
DOCUMENT NUMBER: 107:236731
TITLE: Preparation of (oxopiperidinyl)quinazolines as antihypertensives
INVENTOR(S): Tomiyama, Tsuyoshi; Kawai, Tomoyuki; Ichikawa, Yumiko
PATENT ASSIGNEE(S): Kotobuki Seiyaku Co., Ltd., Japan
SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3708129	A1	19870917	DE 1987-3708129	19870313
JP 62212385	A2	19870918	JP 1986-53630	19860313
US 4749705	A	19880607	US 1987-14370	19870213
GB 2187735	A1	19870916	GB 1987-3638	19870217
GB 2187735	B2	19900214		
FR 2597479	A1	19871023	FR 1987-3023	19870306
FR 2597479	B1	19900323		

PRIORITY APPLN. INFO.: JP 1986-53630 A 19860313
OTHER SOURCE(S): CASREACT 107:236731; MARPAT 107:236731
ED Entered STN: 25 Dec 1987
GI

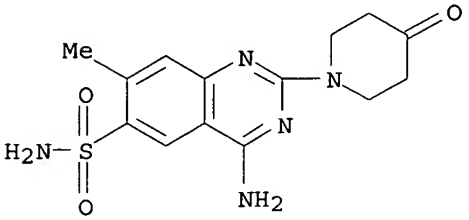


I

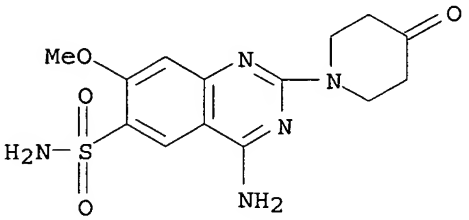
AB The title compds. (I; R1 = H, alkoxy; R2 = H, halo, alkyl, alkoxy; R3 = H, alkoxy, sulfamoyl; R2R3 = methylenedioxy; R4 = 4-oxopiperidino, 4-thioxopiperidino, 4-oximinopiperidino, etc.) were prepared as antihypertensives. 2-Chloro-4-amino-6,7-dimethoxyquinazoline (1.0 g) and 4-piperidone·HCl were refluxed with K2CO3 in BuOH to give 0.98 g I (R1 = H, R2 = R3 = MeO, R4 = 4-oxopiperidino). I [R1 = H, R2 = R3 = MeO, R1 = 4-[(propoxyimino)piperidino] (II) at 0.074 mg/kg i.v. reduced blood pressure in rats by 30 mm Hg. Tablets were prepared containing II 5, lactose 90, cornstarch 15, methylcellulose 3, and Mg stearate 2 g per 103.

IT **111376-15-9P 111376-16-0P 111376-29-5P**
111376-33-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)

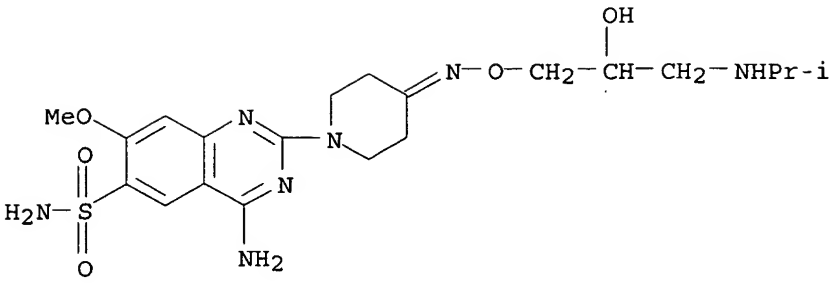
RN 111376-15-9 CAPLUS
CN 6-Quinazolinesulfonamide, 4-amino-7-methyl-2-(4-oxo-1-piperidinyl)- (9CI) (CA INDEX NAME)



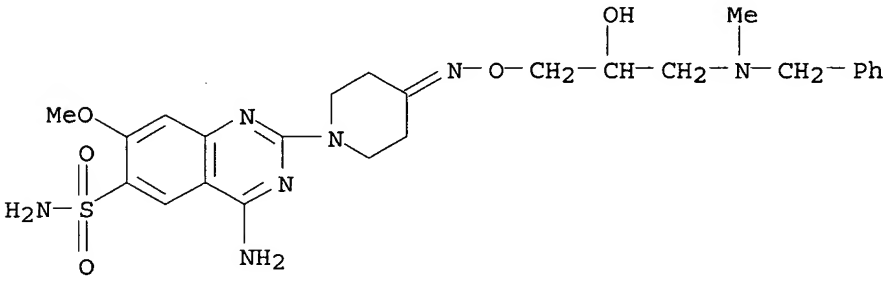
RN 111376-16-0 CAPLUS
CN 6-Quinazolinesulfonamide, 4-amino-7-methoxy-2-(4-oxo-1-piperidinyl)- (9CI) (CA INDEX NAME)



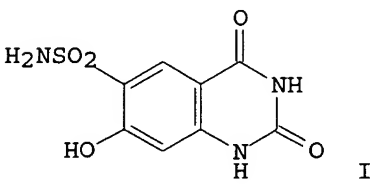
RN 111376-29-5 CAPLUS
CN 6-Quinazolinesulfonamide, 4-amino-2-[4-[[2-hydroxy-3-[(1-methylethyl)amino]propoxy]imino]-1-piperidinyl]-7-methoxy- (9CI) (CA INDEX NAME)



RN 111376-33-1 CAPLUS
CN 6-Quinazolinesulfonamide, 4-amino-2-[4-[[2-hydroxy-3-[methyl(phenylmethyl)amino]propoxy]imino]-1-piperidinyl]-7-methoxy- (9CI) (CA INDEX NAME)



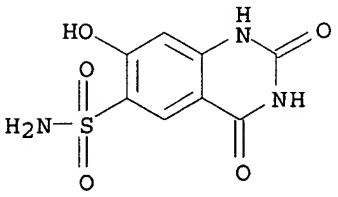
L24 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:139562 CAPLUS
DOCUMENT NUMBER: 86:139562
TITLE: Attempted preparation of 7-chloro-6-sulfamoyl-1,2,3,4-tetrahydro-2,4-dioxoquinazoline
AUTHOR(S): El-Ashmawi, M. I.; Badran, M. M.; Khalifa, M.
CORPORATE SOURCE: Fac. Pharm., Univ. Cairo, Cairo, Egypt
SOURCE: Bulletin of the Faculty of Pharmacy (Cairo University) (1974), 13(1), 105-10
CODEN: BFPHA8; ISSN: 1110-0931
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 May 1984
GI



I

AB Reaction of 4-chloro-5-sulfamoylanthranilic acid with H2NCONH2 gave the quinazoline I.

IT **62306-75-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 62306-75-6 CAPLUS
CN 6-Quinazolinesulfonamide, 1,2,3,4-tetrahydro-7-hydroxy-2,4-dioxo-, monosodium salt (9CI) (CA INDEX NAME)

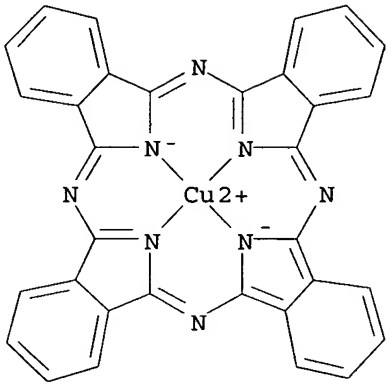


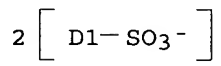
● Na

L24 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1968:468244 CAPLUS
DOCUMENT NUMBER: 69:68244
TITLE: Reactive dyes from copper phthalocyanines and 2-amino-4-(quinazolonylanilino)-s-triazines
AUTHOR(S): Arcoria, Antonino
CORPORATE SOURCE: Univ. Catania, Catania, Italy
SOURCE: Gazzetta Chimica Italiana (1968), 98(6), 729-37
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: Italian
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB (Throughout this abstract, Pc = phthalocyanine.) I, where m = 3, n = 1 or m = n = 2, are prepared and give fast dyeings on cotton and wool. Thus, a mixture of 0.02 mole 2-amino-4,6-dichloro-s-triazine, 0.02 mole 2-(m-aminophenyl)-4(3H)-quinazolone, 0.02 mole Na2CO3, and 50 ml. water is stirred for 2 hrs. at 40-5° to give 2-amino-4-[m-[4(3H)-quinazolon-2-yl]anilino]-6-chloro-s-triazine (II, R = H, linkage in 3-position) (III), m. > 350°. Similarly, other II, m. > 350°, are prepared (R and linkage position given): H, 4; CO2H, 3; CO2H, 4. CuPc is heated for 4 hrs. at 135° with ClSO3H, SOCl2 is added, and the mixture is heated for 1 hr. at 80° to give CuPc(4-SO2Cl)4 which (0.01 mole) is stirred with 0.012 mole III in 100 ml. PhNO2 for 1 hr. at room temperature, treated with NaHCO3 to give pH 7, heated at 60-5° for 1 hr., let stand for 4 hrs. and diluted with 200 cc. Et2O to give I (m = 3, n = 1, R = H, linkage in 3-position). Similarly, other I were prepared (m, n, R, and linkage position given): 3, 1, H, 4; 3, 1, CO2H, 3; 3, 1, CO2H, 4; 2, 2, H, 3; 2, 2, H, 4; 2, 2, CO2H, 3; 2, 2, CO2H, 4. The dyes were applied to cotton and wool (dominant λ 484-5 nm.) and the fastness properties of the dyeings were determined
IT **27776-02-9P 27776-03-0P 27813-49-6P 27813-50-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 27776-02-9 CAPLUS
CN Copper, [[tetrahydrogen 2,2'-[(disulfophthalocyaninediyl)bis[sulfonylimino(6-chloro-s-triazine-4,2-diyl)imino-m-phenylene]]bis[3,4-dihydro-4-oxo-5-

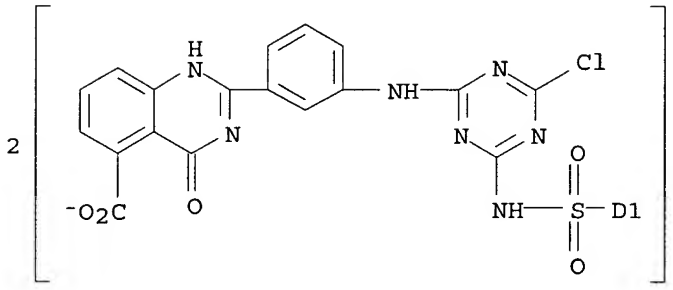
quinazolinecarboxylato]](2-)]- (8CI) (CA INDEX NAME)

PAGE 1-A



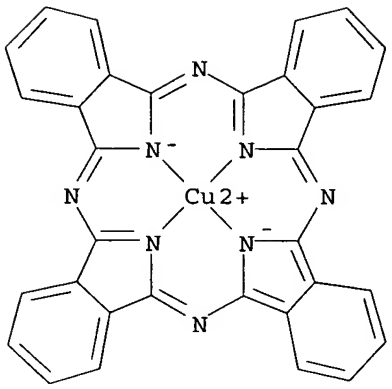


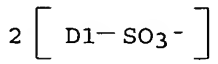
PAGE 2-A



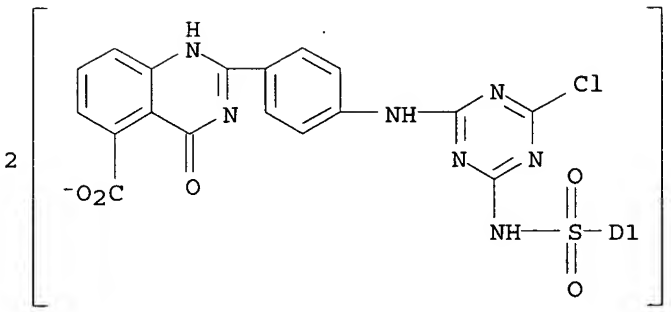
RN 27776-03-0 CAPLUS
CN Copper, [[tetrahydrogen 2,2'-[(disulfophthalocyaninediyl)bis[sulfonylimino (6-chloro-s-triazine-4,2-diyl)imino-p-phenylene]]bis[3,4-dihydro-4-oxo-5-quinazolinecarboxylato]](2-)]- (8CI) (CA INDEX NAME)

PAGE 1-A



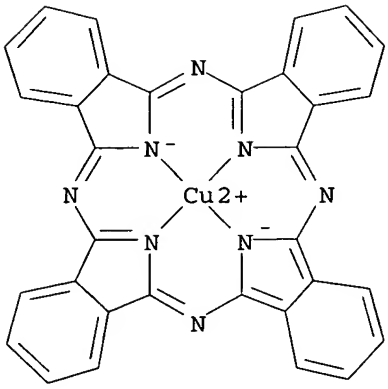


PAGE 2-A



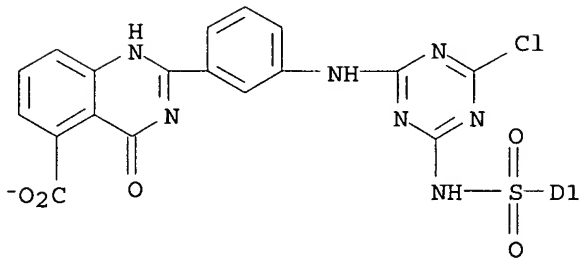
RN 27813-49-6 CAPLUS
CN Copper, [tetrahydrogen 2-[m-[[4-chloro-6-(trisulfophthalocyaninesulfonamido)-s-triazin-2-yl]amino]phenyl]-3,4-dihydro-4-oxo-5-quinazolinecarboxylato(2-)]- (8CI) (CA INDEX NAME)

PAGE 1-A



3 [D1—SO_3^-]

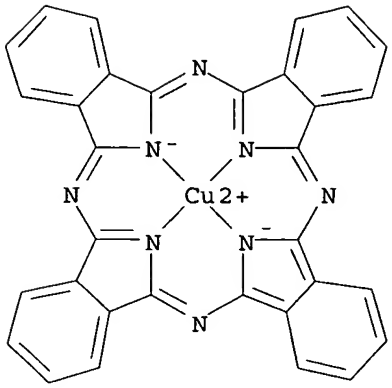
PAGE 2-A



● 4 H^+

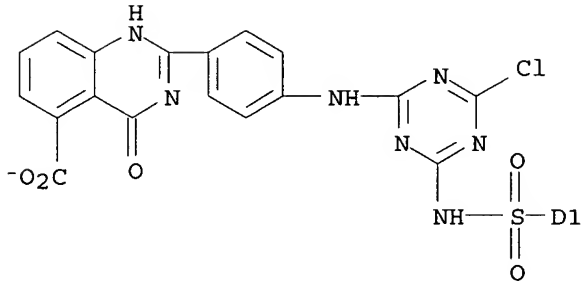
RN 27813-50-9 CAPLUS
CN Copper, [tetrahydrogen 2-[p-[[4-chloro-6-(trisulfophthalocyaninesulfonamido)-s-triazin-2-yl]amino]phenyl]-3,4-dihydro-4-oxo-5-quinazolinecarboxylato(2-)]- (8CI) (CA INDEX NAME)

PAGE 1-A



3 [D1—SO_3^-]

PAGE 2-A



● 4 H^+

L24 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1967:38862 CAPLUS
DOCUMENT NUMBER: 66:38862
TITLE: New phthalocyanine sulfonamide dyes and quinazolinone derivatives
AUTHOR(S): Arcoria, Antonino; Scarlata, Giuseppe
CORPORATE SOURCE: Univ. Catania, Sicily, Italy
SOURCE: Gazzetta Chimica Italiana (1966), 96(3), 264-78
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: Italian
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB Dyes with excellent light fastness and saturation, having the general structure

I (Pc = phthalocyanine, X = H or CO2H) were prepared by chlorosulfonation of CuPc and condensation with 2-(m-or p-aminophenyl)quinazolone (II and III). A solution of 6.3 g. 2-(m-nitrophenyl)-4-quinazolone-5-carboxylic acid in 200 ml. water and 90 ml. 10% NH3 was reduced with 33 g. FeSO4.7H2O in 50 ml. water, neutralized with HCl or AcOH, filtered, and dissolved with NaOH to give 2-(m-aminophenyl)-4-quinazolone-5-carboxylic acid (IV), λmaximum 305 mμ, log ε 4.11 (0.1N NaOH), yellow prisms, m. 298° (HCONMe2 or PhNO2). The p-derivative (V) was prepared similarly, λmaximum 290 mμ, log ε 4.39, yellow prisms (PhNO2), m. 305°. II. m. 238° (EtOH), and III, m. 284° (EtOH), were prepared either by decarboxylating IV and V by heating in quinoline with Cu, or by hydrogenation of the nitro compds. over Raney Ni at 25 atmospheric and 60° for 5 hrs. CuPc(4-SO2Cl)4 (VI) was prepared by treating CuPc with SOCl2 (U.S. 2,897,207, CA 54, 2767). VI was treated with II, III IV or V at 5° for 1 hr. and at 10° for another hr. at pH 7.5-8 maintained by slow addition of 10% Na2CO3. After standing for 10 hrs. the product was purified by dissolving in 5% NaOH and precipitating with HCl. The deep blue, microcryst. products, m. >450°, were insol. in H2O, soluble in Me2CO and aqueous Na2CO3. I were applied to wool by dissolving in a min. amount of 0.1N NaOH and steeping at 50° for 2 min. and at 90° for 15 min. Wool was pretreated with dilute solns. of AcOH or H2SO4. Cotton, rayon, and nylon were dyed at pH 6.

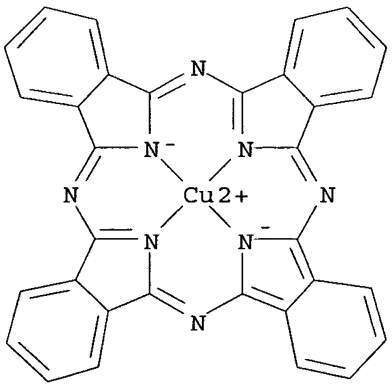
IT **29692-49-7P 29692-50-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

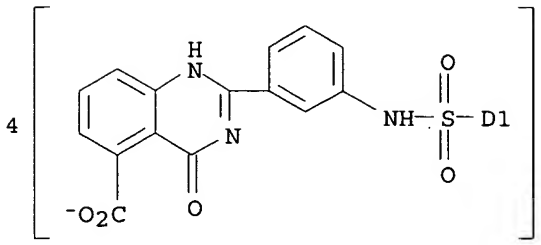
RN 29692-49-7 CAPLUS

CN Copper, [[tetrahydrogen 2,2',2'',2'''-[phthalocyaninetetrayltetrakis(sulfonylimino-m-phenylene)]tetrakis[3,4-dihydro-4-oxo-5-quinazolinecarboxylato]](2-)]- (8CI) (CA INDEX NAME)

PAGE 1-A



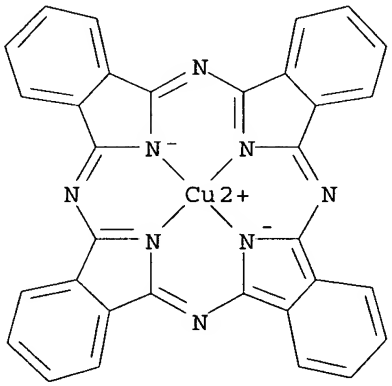
PAGE 2-A



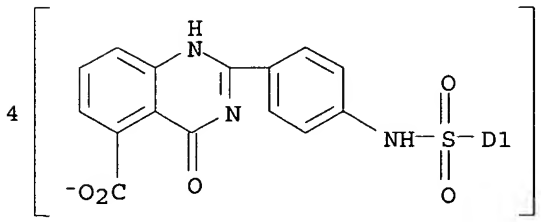
●4 H+

RN 29692-50-0 CAPLUS
CN Copper, [[tetrahydrogen 2,2',2'',2'''-[phthalocyaninetetrayltetrakis(sulfonylimino-p-phenylene)]tetrakis[3,4-dihydro-4-oxo-5-quinazolinecarboxylato]](2-)]- (8CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



●4 H+

L24 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1961:22868 CAPLUS
DOCUMENT NUMBER: 55:22868
ORIGINAL REFERENCE NO.: 55:4546h-i,4547a-i
TITLE: Derivatives of 4-quinazolone
INVENTOR(S): Novello, Frederick C.
PATENT ASSIGNEE(S): Merck & Co., Inc.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2952680		19600913	US	
GB 899362			GB	

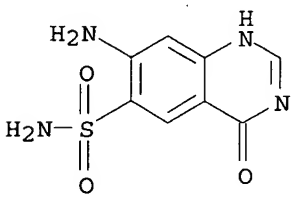
ED Entered STN: 22 Apr 2001
AB The title compds. (which had a sulfamyl substituent in the benzenoid nucleus) were useful as diuretic agents (dosage 5-10 mg./kg. per day; L.D.50 in mice of 7-chloro-6-sulfamyl-4-quinazolone was 445 mg./kg. with no toxic reaction in dogs for intravenous dosage up to 15 mg./kg.). A solution of 18 g. 5-chloro-2-methylacetanilide in 50 ml. chlorosulfonic acid was heated 45 min. on a steam bath, cooled, poured onto ice, filtered, 50 ml. NH4OH added to the residue, and the mixture heated on a steam bath 1 hr., cooled in an ice bath, and filtered to yield 5-chloro-2-methyl-4-sulfamylacetanilide (I), m. 262-3° (50% EtOH-H2O). A mixture of 31.5 g. I, 37.2 g. MgSO4, and 52.8 g. KMnO4 was stirred and refluxed 5 hrs. in 2.8 l. H2O, 51 g. Na2CO3 added with caution, the solution filtered through charcoal, and the filtrate cooled in an ice bath, acidified with HCl, and filtered to yield 2-carboxy-5-chloro-4-sulfamylacetanilide (II), m. 269-70° (decomposition) (H2O-EtOH). A suspension of 10 g. II in 100 ml. concentrated HCl and 40 ml. EtOH was refluxed 10-15 min., diluted with 50 ml. H2O, cooled in an ice bath, and filtered to yield 2-carboxy-5-chloro-4-sulfamylaniline (III), in 267° (decomposition). A mixture of 5 g. III and 5 g. HCONH2 was heated 3 hrs. at 130-40°, cooled, diluted with 25 ml. H2O, and filtered to yield 7-chloro-6-sulfamyl-4-quinazolone (IV), m. 314-5° (decomposition). A suspension of 30 g. II and 21 g. PCl5 in 300 ml. C6H6 was stirred 1-2 hrs. at room temperature and filtered, the precipitate washed with 100 ml. hot C6H6, the C6H6 exts. combined and cooled in an ice bath, a solution of 25 g. EtNH2 in 100 ml. anhydrous Et2O added, the mixture stirred 30 min., solvent removed, and the residue crystallized from aqueous EtOH to yield 2-(N-ethylcarbamyl)-5-chloro-4-sulfamylacetanilide (V). V (5 g.) heated 2 hrs. at 200-50° gave 7-chloro-3-ethyl-2-methyl-6-sulfamyl-4-quinazolone. Prepared by similar reactions were: 7-chloro-1-methyl-6-sulfamyl-4-quinazolone; 7-methoxy-6-sulfamyl-4-quinazolone; 1,2-dimethyl-7-methoxy-6-sulfamyl-4-quinazolone; 1-methyl-7-nitro-6-sulfamyl-4-quinazolone; 7-amino-1-methyl-6-sulfamyl-4-quinazolone; 6-sulfamyl-4-quinazolone; 7-methyl-6-sulfamyl-4-quinazolone; and 7-nitro-6-sulfamyl-4-quinazolone (VI). A solution of 3.0 g. VI in 600 ml. 50% EtOH in H2O was shaken with 400 mg. Pt oxide catalyst and H, filtered, and evaporated in vacuo to yield 7-amino-6-sulfamyl-4-quinazolone. To 1.5 moles Ac2O cooled in an ice bath, 1 mole of 2-methyl-5-propylaniline was added in 10-15 min., the mixture kept at room temperature 1-2 hrs., heated on a steam bath 30 min., cooled, 1 l. cold H2O added, and the product taken up in Et2O, washed (H2O), dried, and evaporated to give 2-methyl-5-propylacetanilide (VII); VII (by procedures given for I, II, and III) gave

2-carboxy-5-propyl-4-sulfamylacetanilide and 7-propyl-6-sulfamyl-4-quinazolone. To a solution of 165 g. 2-methyl-5-hydroxyacetanilide and 27.6 g. Na in 600 ml. anhydrous EtOH, 164 g. PrBr was added during 30 min.; after 2 hrs. at room temperature, the mixture was heated on steam bath 5 hrs., cooled, filtered, and concentrated in vacuo to yield 2-methyl-5-propoxyacetanilide (VIII). Similarly to I, VIII gave 2-carboxy-5-propoxy-4-sulfamylacetanilide and thence 7-propoxy-6-sulfamyl-4-quinazolone. Into a stirred mixture of 132 g. 5-chloro-2-methylaniline and 100 g. NaOH in 1 l. cooled H2O, 207 g. PhSO2Cl was added in 30 min.; the mixture was stirred 2 hrs. at room temperature, filtered, the residue dissolved in 750 ml. H2O, cooled in an ice bath and 170 g. PrI was added in 30 min.; the mixture was stirred 1 hr. at room temperature, extracted (Et2O), washed (H2O), dried, and evaporated The residue was dissolved in 150 ml. HOAc and refluxed 6 hrs. with 350 ml. concentrated HCl, the mixture cooled, made basic with NaOH, and extracted (Et2O), and the extract washed, dried, and distilled in vacuo to yield 5-chloro-2-methyl-N-propylaniline. With minor modifications in procedures described, the following compds. were also prepared: 7-chloro-1-propyl-6-sulfamyl-4-quinazolone, 7-fluoro-6-sulfamyl-4-quinazolone, 6-chloro-7-sulfamyl-4-quinazolone, 1-ethyl-7-nitro-6-sulfamyl-4-quinazolone, 1-ethyl-7-nitro-6-sulfamyl-4-quinazolone, 7-chloro-2,3-dipropyl-6-sulfamyl-4-quinazolone, 7-chloro-3-ethyl-6-sulfamyl-2-undecyl-4-quinazolone, and 7-chloro-3-ethyl-2-phenyl-6-sulfamyl-4-quinazolone. A mixture of 10 g. 2-carboxy-5-chloro-4-sulfamylaniline, 75 ml. ethyl chlorocarbonate, and 75 ml. dioxane was refluxed 48-65 hrs., cooled in an ice bath, and filtered to yield 4-chloro-5-sulfamylisatoic anhydride (IX), m. 293° (decomposition). The filtrate was dried in vacuo to give 2-carbethoxy-5-chloro-4-sulfamylphenylurethan, m. 219-21° (EtOH). A solution of 4.5 g. IX in 25 ml. cold 28% NH4OH kept 30 min. at room temperature, warmed 30 min. on a steam bath, cooled, and filtered yielded 2-carbamyl-5-chloro-4-sulfamylaniline (X), m. 277-8° (decomposition). The filtrate was acidified and filtered to yield (2-carboxy-5-chloro-4-sulfamylphenyl)urea, m. 218° (decomposition). A mixture of 6.25 g. X and 25 ml. ethyl orthoformate was heated 1 hr. at 120-30° in an open flask (to distil EtOH) and then concentrated to dryness in vacuo to give 7-chloro-6-sulfamyl-4-quinazolone, m. 314-15°.

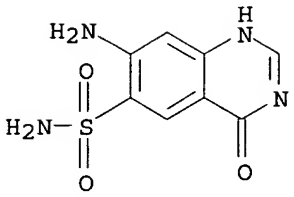
IT **99055-53-5**, 6-Quinazolinesulfonamide, 7-amino-1,4-dihydro-4-oxo- (preparation of)

RN 99055-53-5 CAPLUS

CN 6-Quinazolinesulfonamide, 7-amino-1,4-dihydro-4-oxo- (6CI) (CA INDEX NAME)



```
=> file caold; d que nos l28
FILE 'CAOLD' ENTERED AT 12:48:22 ON 01 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.  Title keywords, authors, patent
  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

  New CAS Information Use Policies, enter HELP USAGETERMS for details.

  This file supports REG1stRY for direct browsing and searching of
  all substance data from the REGISTRY file.  Enter HELP FIRST for
  more information.


L15             STR
L19             STR
L21         159 SEA FILE=REGISTRY SSS FUL L15 AND L19
L28           1 SEA FILE=CAOLD ABB=ON  PLU=ON  L21


=> d iall hitstr l28 1

L28  ANSWER 1 OF 1  CAOLD  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:  CA55:4546h  CAOLD
TITLE:             4-quinazolone, derivs. of
PATENT ASSIGNEE:   Merck & Co., Inc.
DOCUMENT TYPE:     Patent
TITLE:             derivs. of 4-quinazolone
AUTHOR NAME:       Novello, Frederick C.
DOCUMENT TYPE:     Patent
     PATENT NO.    KIND         DATE
     ------------  -----------  ----
PI   US 2952680                 1960
     GB 899362
INDEX TERM:        3086-91-7  14422-41-4  17560-53-1  17560-54-2  18620-62-7
                   18620-63-8  23380-53-2  34121-17-0  99055-53-5
                   99767-50-7  99839-95-9  99845-02-0  99860-28-3  99864-75-2
                   103795-57-9 103796-88-9 108991-98-6
IT  99055-53-5
RN   99055-53-5  CAOLD
CN   6-Quinazolinesulfonamide, 7-amino-1,4-dihydro-4-oxo- (6CI)  (CA INDEX
     NAME)
```



```
=> d his full

     (FILE 'HOME' ENTERED AT 10:38:54 ON 01 DEC 2006)

     FILE 'CAPLUS' ENTERED AT 10:39:08 ON 01 DEC 2006
                E US2005-523577/APPS
L1            1 SEA ABB=ON  PLU=ON  US2005-523577/AP
                D IALL
                SEL RN

     FILE 'REGISTRY' ENTERED AT 10:41:16 ON 01 DEC 2006
L2           23 SEA ABB=ON  PLU=ON  (125313-65-7/BI OR 131848-97-0/BI OR
                137592-43-9/BI OR 152121-34-1/BI OR 152121-47-6/BI OR 165245-96
                -5/BI OR 184475-71-6/BI OR 212391-58-7/BI OR 295330-61-9/BI OR
                372092-80-3/BI OR 60-00-4/BI OR 655247-74-8/BI OR 655247-75-9/B
                I OR 655247-76-0/BI OR 655247-77-1/BI OR 655247-78-2/BI OR
                655247-79-3/BI OR 655247-80-6/BI OR 655247-81-7/BI OR 67-42-5/B
                I OR 7365-45-9/BI OR 9002-93-1/BI OR 9055-15-6/BI)
                D SCAN

     FILE 'CAPLUS' ENTERED AT 10:42:14 ON 01 DEC 2006
                E GODL K/AU
                E MISSIO A/AU
                E DAUB H/AU
                E STEIN GERLACH M/AU
                E ZOLTAN G/AU
                E GREFF Z/AU
                E ORFI L/AU
                E VARGA Z/AU
                E VAARGA Z/AU
                E KERI G/AU
                E KLEBL B/AU
L3           16 SEA ABB=ON  PLU=ON  GODL K?/AU
L4           21 SEA ABB=ON  PLU=ON  MISSIO A?/AU
L*** DEL      1 S DAUB H/AU OR DAUB HENDRIK/AU
L5           33 SEA ABB=ON  PLU=ON  DAUB H/AU OR DAUB HEN?/AU
L6           18 SEA ABB=ON  PLU=ON  STEIN GERLACH M?/AU
L7            0 SEA ABB=ON  PLU=ON  GREGG Z?/AU
L8          163 SEA ABB=ON  PLU=ON  KERI G/AU OR KERI GYORG?/AU
L9          138 SEA ABB=ON  PLU=ON  VARGA Z/AU OR VARGA ZOLTAN?/AU
L10          37 SEA ABB=ON  PLU=ON  KLEBL B?/AU
                E ORFI L?/AU
L11          60 SEA ABB=ON  PLU=ON  ORFI L?/AU
L12          10 SEA ABB=ON  PLU=ON  (L3 OR L4 OR L5 OR L6 OR L7 OR L8 OR L9 OR
                L10 OR L11) AND ATP

     FILE 'CAPLUS' ENTERED AT 10:53:03 ON 01 DEC 2006
                D QUE L12
                D IBIB ED AB L12 1-10

     FILE 'ZREGISTRY' ENTERED AT 11:18:37 ON 01 DEC 2006
L13             STR

     FILE 'REGISTRY' ENTERED AT 11:32:49 ON 01 DEC 2006
L14          50 SEA SSS SAM L13
L15             STR L13
                D L15
L16           8 SEA SSS SAM L15
                D SCAN
```

```
     FILE 'ZREGISTRY' ENTERED AT 11:51:56 ON 01 DEC 2006
L17             STR

     FILE 'REGISTRY' ENTERED AT 11:55:19 ON 01 DEC 2006
L18           8 SEA SSS SAM L15 AND L17
                D SCAN
                D L15
                D L17

     FILE 'ZREGISTRY' ENTERED AT 12:04:07 ON 01 DEC 2006
L19             STR L17

     FILE 'REGISTRY' ENTERED AT 12:19:59 ON 01 DEC 2006
L20           8 SEA SSS SAM L15 AND L19
                D SCAN
                D L17
                D L19
L21         159 SEA SSS FUL L15 AND L19
                SAVE L21 KHA577FU/A TEMP

     FILE 'ZREGISTRY' ENTERED AT 12:30:44 ON 01 DEC 2006
L22             STR

     FILE 'REGISTRY' ENTERED AT 12:37:21 ON 01 DEC 2006
L23           0 SEA SUB=L21 SSS SAM L22
                D L22
                D STAT QUE L21

     FILE 'CAPLUS' ENTERED AT 12:39:21 ON 01 DEC 2006
L24          23 SEA ABB=ON  PLU=ON  L21

     FILE 'REGISTRY' ENTERED AT 12:41:23 ON 01 DEC 2006
L25             STR L22
L26           0 SEA SUB=L21 SSS SAM L25
L27           0 SEA SUB=L21 SSS FUL L25

     FILE 'CAOLD' ENTERED AT 12:42:49 ON 01 DEC 2006
L28           1 SEA ABB=ON  PLU=ON  L21

     FILE 'USPATFULL' ENTERED AT 12:43:26 ON 01 DEC 2006
L*** DEL     30 S L21

     FILE 'REGISTRY' ENTERED AT 12:46:13 ON 01 DEC 2006
                D STAT QUE L21
                D STAT QUE L27

     FILE 'CAPLUS' ENTERED AT 12:47:02 ON 01 DEC 2006
                D QUE L24
                D QUE NOS L24
                D IBIB ED ABS HITSTR L24 1-23

     FILE 'CAOLD' ENTERED AT 12:48:22 ON 01 DEC 2006
                D QUE NOS L28
                D IALL HITSTR L28 1


     FILE HOME

     FILE CAPLUS
```

FILE COVERS 1907 - 1 Dec 2006 VOL 145 ISS 24
FILE LAST UPDATED: 30 Nov 2006 (20061130/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

FILE REGISTRY
Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 30 NOV 2006 HIGHEST RN 914452-16-7
DICTIONARY FILE UPDATES: 30 NOV 2006 HIGHEST RN 914452-16-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE ZREGISTRY
Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 30 NOV 2006 HIGHEST RN 914452-16-7
DICTIONARY FILE UPDATES: 30 NOV 2006 HIGHEST RN 914452-16-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE CAOLD
FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=>